



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 184106**

**TO: Shailendra Kumar**  
**Location: rem/5C03/5C18**  
**Art Unit: 1621**  
**Friday, April 28, 2006**  
**Case Serial Number: 10/502075**

**From: Barb O'Bryen**  
**Location: Biotech-Chem Library**  
**Remsen 1a69**  
**Phone: 571-272-2518**

*BOB*  
**barbara.obryen@uspto.gov**

### **Search Notes**

=> fil reg; d ide l28 1-2  
FILE 'REGISTRY' ENTERED AT 13:49:13 ON 28 APR 2006  
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STRUCTURE FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5  
DICTIONARY FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

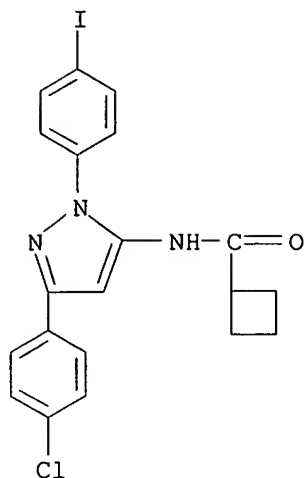
Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

L28 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 562045-26-5 REGISTRY  
ED Entered STN: 07 Aug 2003  
CN Cyclobutanecarboxamide, N-[3-(4-chlorophenyl)-1-(4-iodophenyl)-1H-pyrazol-  
5-yl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C20 H17 Cl I N3 O  
SR CA  
LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER, USPATFULL

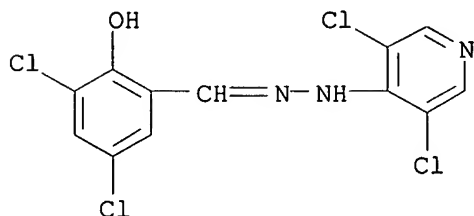
*compounds  
of claim 12*



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L28 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 286832-83-5 REGISTRY  
ED Entered STN: 20 Aug 2000  
CN Benzaldehyde, 3,5-dichloro-2-hydroxy-, (3,5-dichloro-4-pyridinyl)hydrazone (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C12 H7 Cl4 N3 O  
SR CAS Client Services  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil capl uspatf toxcenter chemcats; s l28  
FILE 'CAPLUS' ENTERED AT 13:49:40 ON 28 APR 2006  
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L29 5 L28

=> dup rem l29  
DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'.  
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE  
PROCESSING COMPLETED FOR L29  
L30 4 DUP REM L29 (1 DUPLICATE REMOVED)  
ANSWER '1' FROM FILE CAPLUS  
ANSWER '2' FROM FILE USPATFULL  
ANSWERS '3-4' FROM FILE CHEMCATS

=> d ibib ed abs hitrn 1-2; d iall 3-4

L30 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2003:570813 CAPLUS  
DOCUMENT NUMBER: 139:113668  
TITLE:  $\beta$ -secretase inhibitors for use in treatment of  
diseases caused by deposits of  $\beta$ -amyloid peptides  
INVENTOR(S): Dietrich, Axel; Nimz, Olaf; Rester, Ulrich; Fecke,  
Wolfgang; Haemmerle, Marcus; Baier, Friedrich  
PATENT ASSIGNEE(S): The Genetics Company Inc., Switz.  
SOURCE: PCT Int. Appl., 42 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2003059346 | A1   | 20030724 | WO 2003-EP504   | 20030120 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| CA 2473441    | AA   | 20030724 | CA 2003-2473441 | 20030120 |
| AU 2003205630 | A1   | 20030730 | AU 2003-205630  | 20030120 |
| EP 1467729    | A1   | 20041020 | EP 2003-702474  | 20030120 |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |          |
| JP 2005516967 | T2   | 20050609 | JP 2003-559508  | 20030120 |
| US 2005239899 | A1   | 20051027 | US 2005-502075  | 20050418 |



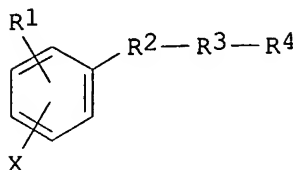
## PRIORITY APPLN. INFO.:

|               |   |          |
|---------------|---|----------|
| EP 2002-1339  | A | 20020118 |
| EP 2002-12566 | A | 20020605 |
| WO 2003-EP504 | W | 20030120 |

OTHER SOURCE(S): MARPAT 139:113668

ED Entered STN: 25 Jul 2003

GI



AB The invention relates to novel substituted halophenyl inhibitors of  $\beta$ -secretase (II, R1 = halo, hydroxy, cyano, trifluoromethyl, C1-4 substituted saturated or unsatd. alkyl, n = 0-4; X = halo, Me, trifluoromethyl; R2 = C1-8 alkyl containing at least one heteroatom and optionally unsatd.; R3 = aryl, carbocycle or heterocycle; R4 = R1 or a substituted aryl or heterocycle) and their use in treatment of diseases caused by deposits of  $\beta$ -amyloid, such as Alzheimer's disease. Thus, 7 compds. with IC50 10-170  $\mu$ M in in vitro  $\beta$ -secretase assays are disclosed.

IT 286832-83-5 562045-26-5

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(  $\beta$ -secretase inhibitors for use in treatment of diseases caused by deposits of  $\beta$ -amyloid peptides)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 4 USPATFULL on STN

ACCESSION NUMBER: 2005:275334 USPATFULL

TITLE: Beta-secretase inhibitors

INVENTOR(S): Fecke, Wolfgang, Florence, ITALY  
 Hammerle, Marcus, Berlin, GERMANY, FEDERAL REPUBLIC OF  
 Rester, Ulrich, Wuppertal, GERMANY, FEDERAL REPUBLIC OF

|                     | NUMBER         | KIND | DATE                  |
|---------------------|----------------|------|-----------------------|
| PATENT INFORMATION: | US 2005239899  | A1   | 20051027              |
| APPLICATION INFO.:  | US 2003-502075 | A1   | 20030120 (10)         |
|                     | WO 2003-EP504  |      | 20030120              |
|                     |                |      | 20050418 PCT 371 date |

|                       | NUMBER  | DATE     |
|-----------------------|---|----------|
| PRIORITY INFORMATION: | EP 2002-1339  | 20020118 |
|                       | EP 2003-2012566   | 20020605 |
| DOCUMENT TYPE:        | Utility   |          |
| FILE SEGMENT:         | APPLICATION   |          |
| LEGAL REPRESENTATIVE: | SUTHERLAND ASBILL & BRENNAN LLP, 999 PEACHTREE STREET, N.E., ATLANTA, GA, 30309, US |          |
| NUMBER OF CLAIMS:     | 12  |          |
| EXEMPLARY CLAIM:      | 1   |          |
| LINE COUNT:           | 431   |          |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel beta-secretase inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 286832-83-5 562045-26-5

( $\beta$ -secretase inhibitors for use in treatment of diseases caused by deposits of  $\beta$ -amyloid peptides)

'IALL' IS NOT A VALID FORMAT

REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT):all

L30 ANSWER 3 OF 4 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2005:1488038 CHEMCATS

Catalog Name (CO): Interchim Intermediates

Publication Date (PD): 18 Jan 2005

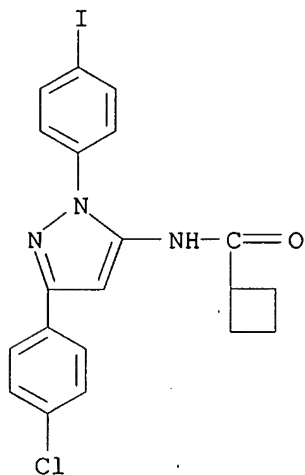
Order Number (ON): SP 01009

Chemical Name (CN): Cyclobutanecarboxamide, N-[3-(4-chlorophenyl)-1-(4-iodophenyl)-1H-pyrazol-5-yl]-

CAS Registry No. (RN): 562045-26-5

Supplementary Term (ST): CHEMICAL LIBRARY

Structure :



#### PRICES

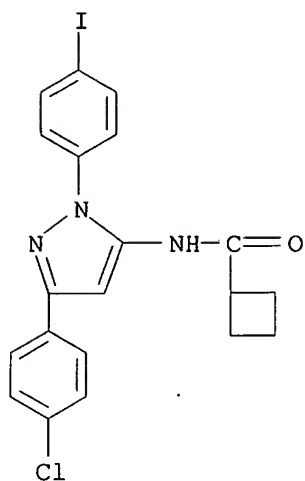
Quantity : milligram quantities, Price: contact supplier

#### COMPANY INFORMATION

Interchim  
211 bis Av J.F. Kennedy  
BP 1140  
Montlucon, 03103  
France

Phone: (33) (0) 4 70 03 88 55  
Fax: (33) (0) 4 70 03 82 60  
Email: [interchim@interchim.com](mailto:interchim@interchim.com)  
Web: <http://www.interchim.com>

L30 ANSWER 4 OF 4 CHEMCATS COPYRIGHT 2006 ACS on STN  
Accession No. (AN): 2000:578516 CHEMCATS  
Catalog Name (CO): Maybridge HTS  
Publication Date (PD): 7 Nov 2005  
Order Number (ON): SP 01009  
Chemical Name (CN): N-[3-(4-chlorophenyl)-1-(4-iodophenyl)-1H-pyrazol-5-yl]cyclobutanecarboxamide  
CAS Registry No. (RN): 562045-26-5  
Supplementary Term (ST): CHEMICAL LIBRARY  
Structure :



## PRICES

Quantity : milligram quantities, Price: contact supplier

## COMPANY INFORMATION

Maybridge plc  
Trevillet  
Tintagel, Cornwall, PL34 0HW  
United Kingdom

Phone: (44) 01840 770453  
Fax: (44) 01840 770111  
Email: [enquiries@maybridge.com](mailto:enquiries@maybridge.com)  
Web: <http://www.maybridge.com>

=>

=> => fil reg; d que l47

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STRUCTURE FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5

DICTIONARY FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

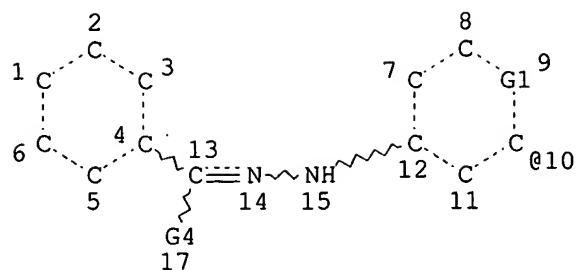
Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

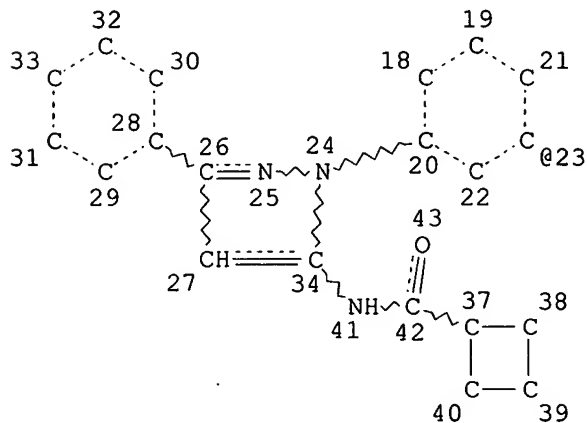
L12

STR



G10 36

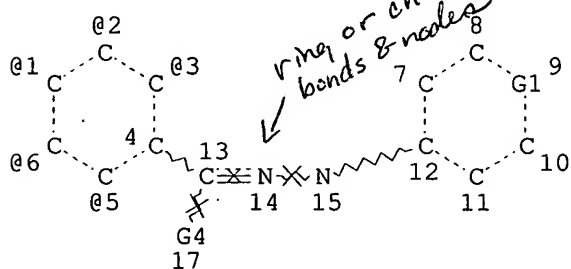
} either of these 2  
structures searched



VAR G1=N/C  
VAR G4=H/X/OH/C  
VAR G10=10/23  
NODE ATTRIBUTES:  
NSPEC IS RC AT 13  
NSPEC IS RC AT 14  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE  
L16 STR



G2 @16 C @18

this structure "AND"-ed  
with structures above

VAR G1=N/C  
VAR G2=X/ME/CF3  
VAR G4=H/X/OH/18  
VPA 16-1/2/3/5/6 U

NODE ATTRIBUTES:

```

NSPEC      IS RC      AT 13
NSPEC      IS RC      AT 14
NSPEC      IS RC      AT 15
NSPEC      IS RC      AT 18
CONNECT    IS X2 C    AT 15
DEFAULT    MLEVEL IS ATOM
DEFAULT    ECLEVEL IS LIMITED

```

GRAPH ATTRIBUTES:

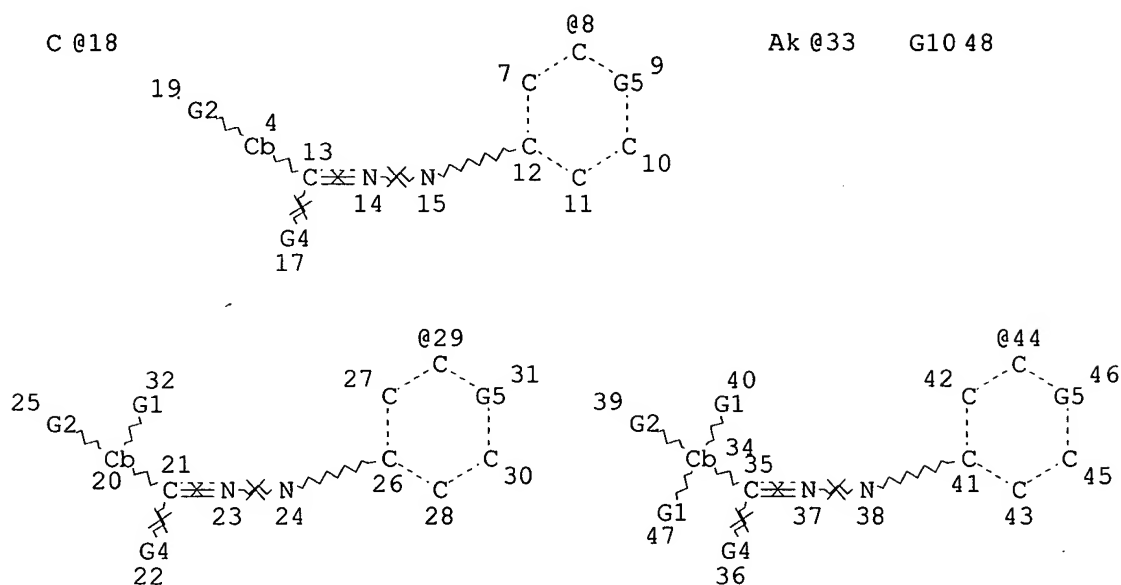
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RSPEC I
NUMBER OF NODES IS 18
```

## STEREO ATTRIBUTES: NONE

```

L18      7460 SEA FILE=REGISTRY SSS FUL L12 AND L16
L34      STR

```



VAR G1=X/OH/CN/CF3/NO2/33

```
VAR G2=X/ME/CF3
```

VAR G4=H/X/OH/18

VAR G5=N/C

VAR G10=8/29/44

NODE ATTRIBUTES:

|         |    |    |    |    |    |
|---------|----|----|----|----|----|
| NSPEC   | IS | RC | AT | 13 |    |
| NSPEC   | IS | RC | AT | 14 |    |
| NSPEC   | IS | RC | AT | 15 |    |
| NSPEC   | IS | RC | AT | 18 |    |
| NSPEC   | IS | RC | AT | 21 |    |
| NSPEC   | IS | RC | AT | 23 |    |
| NSPEC   | IS | RC | AT | 24 |    |
| NSPEC   | IS | RC | AT | 35 |    |
| NSPEC   | IS | RC | AT | 37 |    |
| NSPEC   | IS | RC | AT | 38 |    |
| CONNECT | IS | E2 | RC | AT | 4  |
| CONNECT | IS | X2 | C  | AT | 15 |
| CONNECT | IS | E3 | RC | AT | 20 |
| CONNECT | IS | X2 | C  | AT | 24 |
| CONNECT | IS | E1 | RC | AT | 33 |

limitation of definitions  
for R1

STR



The image displays two chemical structures, 56 and 66, which are dendritic polymers. Structure 56 is a dendritic polymer with a central core (C≡N-N) and two dendritic arms. The left arm is a dendritic polymer with a central core (C≡N-N) and two dendritic arms. The right arm is a dendritic polymer with a central core (C≡N-N) and two dendritic arms. Structure 66 is a dendritic polymer with a central core (C≡N-N) and two dendritic arms. The left arm is a dendritic polymer with a central core (C≡N-N) and two dendritic arms. The right arm is a dendritic polymer with a central core (C≡N-N) and two dendritic arms.

limitation for  
definitions of  $k_3$

NSPEC IS RC AT 43

```

NSPEC   IS RC      AT  44
NSPEC   IS RC      AT  45
NSPEC   IS RC      AT  52
NSPEC   IS RC      AT  53
NSPEC   IS RC      AT  54
NSPEC   IS RC      AT  62
NSPEC   IS RC      AT  63
NSPEC   IS RC      AT  64
CONNECT IS E1  RC AT  12
CONNECT IS X2   C AT  15
CONNECT IS E2  RC AT  19
CONNECT IS X2   C AT  20
CONNECT IS E1  RC AT  27
CONNECT IS E3  RC AT  42
CONNECT IS X2   C AT  43
CONNECT IS E4  RC AT  51
CONNECT IS X2   C AT  52
CONNECT IS E5  RC AT  61
CONNECT IS X2   C AT  62
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY LOC  UNS AT   4
GGCAT   IS MCY LOC  UNS AT  24
GGCAT   IS MCY LOC  UNS AT  37
GGCAT   IS MCY LOC  UNS AT  47
GGCAT   IS MCY LOC  UNS AT  56
GGCAT   IS MCY LOC  UNS AT  66
DEFAULT ECLEVEL IS LIMITED

```

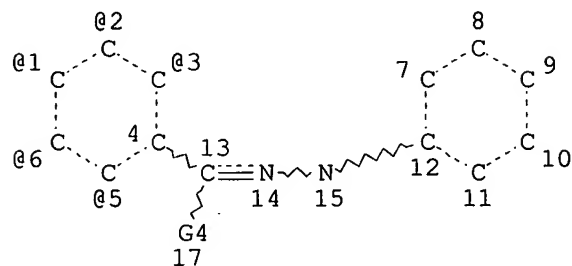
## GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 62

STEREO ATTRIBUTES: NONE

L37 STR



G2 @16 Ak @18

```

VAR G2=X/ME/CF3
VAR G4=X/OH/H/CN/CF3/18
VPA 16-1/2/3/5/6 U
NODE ATTRIBUTES:
NSPEC   IS RC      AT  13
NSPEC   IS RC      AT  14
NSPEC   IS RC      AT  15
CONNECT IS X2   C AT  15
CONNECT IS E1  RC AT  18
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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## GRAPH ATTRIBUTES:

RSPEC I



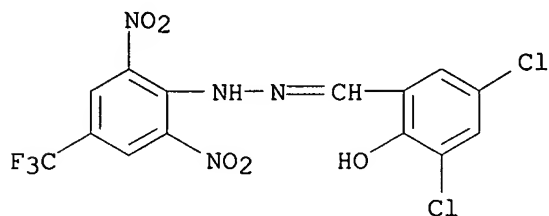
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L40 2424 SEA FILE=REGISTRY SUB=L18 SSS FUL (L34 AND L35 AND L37)  
 L44 3 SEA FILE=REGISTRY ABB=ON C14H7CL2F3N4O5/MF  
 L45 1890 SEA FILE=REGISTRY ABB=ON C14H12CL2N2O2/MF  
 L46 9 SEA FILE=REGISTRY ABB=ON (L44 OR L45) AND L40  
 L47 2 SEA FILE=REGISTRY ABB=ON L46 AND (PHENYLHYDRAZONE OR TRIFLUORO  
 METHYL)

=> d ide l47 1-2

L47 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 562045-36-7 REGISTRY  
 ED Entered STN: 07 Aug 2003  
 CN Benzaldehyde, 3,5-dichloro-2-hydroxy-, [2,6-dinitro-4-(trifluoromethyl)phenyl]hydrazone (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C14 H7 Cl2 F3 N4 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER, USPATFULL

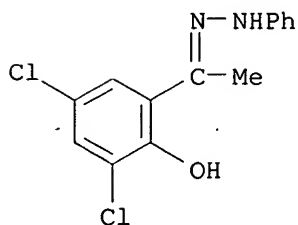


*structures  
of claim 2*

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L47 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 284489-48-1 REGISTRY  
 ED Entered STN: 09 Aug 2000  
 CN Ethanone, 1-(3,5-dichloro-2-hydroxyphenyl)-, phenylhydrazone (9CI)  
 (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C14 H12 Cl2 N2 O  
 SR CAS Client Services  
 LC STN Files: CA, CAPLUS, CASREACT, CHEMCATS, TOXCENTER, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil capl uspatf toxcenter casre chemcats; s l47  
FILE 'CAPLUS' ENTERED AT 15:39:56 ON 28 APR 2006  
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L48 9 L47

=> dup rem l48  
DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'.  
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE  
PROCESSING COMPLETED FOR L48  
L49 7 DUP REM L48 (2 DUPLICATES REMOVED)  
ANSWERS '1-2' FROM FILE CAPLUS  
ANSWER '3' FROM FILE USPATFULL  
ANSWERS '4-7' FROM FILE CHEMCATS

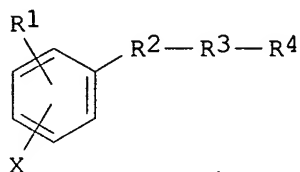
=> d ibib ed abs hitrn 1-3; d all 4-7

L49 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2003:570813 CAPLUS  
DOCUMENT NUMBER: 139:113668  
TITLE:  $\beta$ -secretase inhibitors for use in treatment of  
diseases caused by deposits of  $\beta$ -amyloid peptides  
INVENTOR(S): Dietrich, Axel; Nimz, Olaf; Rester, Ulrich; Fecke,  
Wolfgang; Haemmerle, Marcus; Baier, Friedrich  
PATENT ASSIGNEE(S): The Genetics Company Inc., Switz.  
SOURCE: PCT Int. Appl., 42 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---  | ----- | -----           | ----- |

WO 2003059346 A1 20030724 WO 2003-EP504 20030120  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2473441 AA 20030724 CA 2003-2473441 20030120  
 AU 2003205630 A1 20030730 AU 2003-205630 20030120  
 EP 1467729 A1 20041020 EP 2003-702474 20030120  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2005516967 T2 20050609 JP 2003-559508 20030120  
 US 2005239899 A1 20051027 US 2005-502075 20050418  
 PRIORITY APPLN. INFO.: EP 2002-1339 A 20020118  
 EP 2002-12566 A 20020605  
 WO 2003-EP504 W 20030120

OTHER SOURCE(S): MARPAT 139:113668  
 ED Entered STN: 25 Jul 2003  
 GI



AB The invention relates to novel substituted halophenyl inhibitors of  $\beta$ -secretase (II, R1 = halo, hydroxy, cyano, trifluoromethyl, C1-4 substituted saturated or unsatd. alkyl, n = 0-4; X = halo, Me, trifluoromethyl; R2 = C1-8 alkyl containing at least one heteroatom and optionally unsatd.; R3 = aryl, carbocycle or heterocycle; R4 = R1 or a substituted aryl or heterocycle) and their use in treatment of diseases caused by deposits of  $\beta$ -amyloid, such as Alzheimer's disease. Thus, 7 compds. with IC50 10-170  $\mu$ M in in vitro  $\beta$ -secretase assays are disclosed.

IT 284489-48-1 562045-36-7

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 ( $\beta$ -secretase inhibitors for use in treatment of diseases caused by deposits of  $\beta$ -amyloid peptides)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2003:746764 CAPLUS

DOCUMENT NUMBER: 139:395876

TITLE: Synthesis of 4-alkoxy-4-methyl- and 4-alkoxy-4-fluoromethyl-1,3-benzoxazinones

AUTHOR(S): Alkhathlan, Hamad Z.

CORPORATE SOURCE: Department of Chemistry, King Saud University, Riyadh, 11451, Saudi Arabia

SOURCE: Tetrahedron (2003), 59(41), 8163-8170  
CODEN: TETRAB; ISSN: 0040-4020  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 139:395876  
ED Entered STN: 23 Sep 2003  
AB Cyclization of 2-hydroxyacetophenone hydrazones with triphosgene resulted in the formation of 4-methylene-1,3-benzoxazinones. These compds. were converted to 4-alkoxy-4-methyl-1,3-benzoxazinones and 4-fluoromethyl-4-methoxy-1,3-benzoxazinones upon treatment with alcs. under refluxing conditions and 1-chloromethyl-4-fluoro-1,4-diazoniabicyclo[2.2.2]octane bis(tetrafluoroborate) in acetonitrile and methanol, resp.  
IT 284489-48-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of (alkoxy)(methyl)benzoxazinones and (alkoxy)(fluoromethyl)benzoxazinones)  
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 3 OF 7 USPATFULL on STN

ACCESSION NUMBER: 2005:275334 USPATFULL  
TITLE: Beta-secretase inhibitors  
INVENTOR(S): Fecke, Wolfgang, Florence, ITALY  
Hammerle, Marcus, Berlin, GERMANY, FEDERAL REPUBLIC OF  
Rester, Ulrich, Wuppertal, GERMANY, FEDERAL REPUBLIC OF

|                     | NUMBER         | KIND | DATE                  |
|---------------------|----------------|------|-----------------------|
| PATENT INFORMATION: | US 2005239899  | A1   | 20051027              |
| APPLICATION INFO.:  | US 2003-502075 | A1   | 20030120 (10)         |
|                     | WO 2003-EP504  |      | 20030120              |
|                     |                |      | 20050418 PCT 371 date |

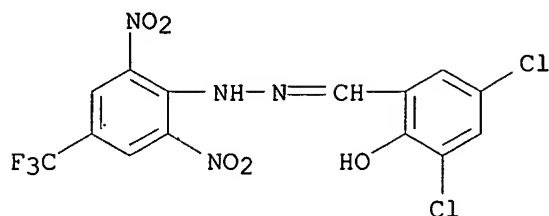
|  | NUMBER  | DATE     |
|--|---|----------|
| PRIORITY INFORMATION:                      | EP 2002-1339  | 20020118 |
|  | EP 2003-2012566   | 20020605 |
| DOCUMENT TYPE:                             | Utility   |          |
| FILE SEGMENT:                              | APPLICATION   |          |
| LEGAL REPRESENTATIVE:                      | SUTHERLAND ASBILL & BRENNAN LLP, 999 PEACHTREE STREET, N.E., ATLANTA, GA, 30309, US |          |
| NUMBER OF CLAIMS:                          | 12  |          |
| EXEMPLARY CLAIM:                           | 1   |          |
| LINE COUNT:                                | 431   |          |
| CAS INDEXING IS AVAILABLE FOR THIS PATENT. |   |          |
| AB   | The invention relates to novel beta-secretase inhibitors.                           |          |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 284489-48-1 562045-36-7  
( $\beta$ -secretase inhibitors for use in treatment of diseases caused by deposits of  $\beta$ -amyloid peptides)

L49 ANSWER 4 OF 7 CHEMCATS COPYRIGHT 2006 ACS on STN  
Accession No. (AN): 2005:1488040 CHEMCATS  
Catalog Name (CO): Interchim Intermediates

Publication Date (PD): 18 Jan 2005  
Order Number (ON): CD 03421  
Chemical Name (CN): Benzaldehyde, 3,5-dichloro-2-hydroxy-,  
[2,6-dinitro-4-(trifluoromethyl)phenyl]hydrazone  
CAS Registry No. (RN): 562045-36-7  
Supplementary Term (ST): CHEMICAL LIBRARY  
Structure :



## PRICES

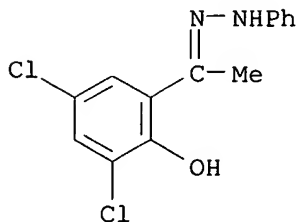
Quantity : milligram quantities, Price: contact supplier

## COMPANY INFORMATION

Interchim  
211 bis Av J.F. Kennedy  
BP 1140  
Montlucon, 03103  
France

Phone: (33) (0) 4 70 03 88 55  
Fax: (33) (0) 4 70 03 82 60  
Email: [interchim@interchim.com](mailto:interchim@interchim.com)  
Web: <http://www.interchim.com>

L49 ANSWER 5 OF 7 CHEMCATS COPYRIGHT 2006 ACS on STN  
Accession No. (AN): 2005:1415516 CHEMCATS  
Catalog Name (CO): Interchim Intermediates  
Publication Date (PD): 18 Jan 2005  
Order Number (ON): RDR 02549  
Chemical Name (CN): Ethanone, 1-(3,5-dichloro-2-hydroxyphenyl)-,  
phenylhydrazone  
CAS Registry No. (RN): 284489-48-1  
Supplementary Term (ST): CHEMICAL LIBRARY  
Structure :



## PRICES

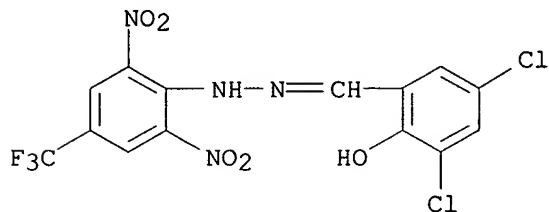
Quantity : milligram quantities, Price: contact supplier

## COMPANY INFORMATION

Interchim  
211 bis Av J.F. Kennedy  
BP 1140  
Montlucon, 03103  
France

Phone: (33) (0) 4 70 03 88 55  
Fax: (33) (0) 4 70 03 82 60  
Email: [interchim@interchim.com](mailto:interchim@interchim.com)  
Web: <http://www.interchim.com>

L49 ANSWER 6 OF 7 CHEMCATS COPYRIGHT 2006 ACS on STN  
Accession No. (AN): 2001:1541895 CHEMCATS  
Catalog Name (CO): Maybridge HTS  
Publication Date (PD): 7 Nov 2005  
Order Number (ON): CD 03421  
Chemical Name (CN): 3,5-dichloro-2-hydroxybenzaldehyde  
N-[2,6-dinitro-4-(trifluoromethyl)phenyl]hydrazone  
CAS Registry No. (RN): **562045-36-7**  
Supplementary Term (ST): CHEMICAL LIBRARY  
Structure :



## PRICES

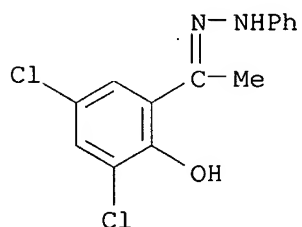
Quantity : milligram quantities, Price: contact supplier

## COMPANY INFORMATION

Maybridge plc  
Trevillet  
Tintagel, Cornwall, PL34 0HW  
United Kingdom

Phone: (44) 01840 770453  
Fax: (44) 01840 770111  
Email: [enquiries@maybridge.com](mailto:enquiries@maybridge.com)  
Web: <http://www.maybridge.com>

L49 ANSWER 7 OF 7 CHEMCATS COPYRIGHT 2006 ACS on STN  
Accession No. (AN): 1998:615488 CHEMCATS  
Catalog Name (CO): Maybridge HTS  
Publication Date (PD): 7 Nov 2005  
Order Number (ON): RDR 02549  
Chemical Name (CN): 1-(3,5-dichloro-2-hydroxyphenyl)ethan-1-one  
1-phenylhydrazone  
CAS Registry No. (RN): **284489-48-1**  
Supplementary Term (ST): CHEMICAL LIBRARY  
Structure :



## PRICES

Quantity : milligram quantities, Price: contact supplier

## COMPANY INFORMATION

Maybridge plc  
Trevillet  
Tintagel, Cornwall, PL34 0HW  
United Kingdom

Phone: (44) 01840 770453  
Fax: (44) 01840 770111  
Email: [enquiries@maybridge.com](mailto:enquiries@maybridge.com)  
Web: <http://www.maybridge.com>

=> =>

=>  
=> => fil reg; d stat que 150; fil capl; d que nos 156; d que nos 158  
FILE 'REGISTRY' ENTERED AT 15:55:24 ON 28 APR 2006  
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provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5  
DICTIONARY FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

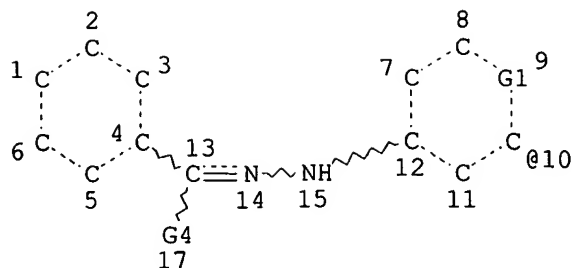
Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document.~ For information  
on property searching in REGISTRY, refer to:

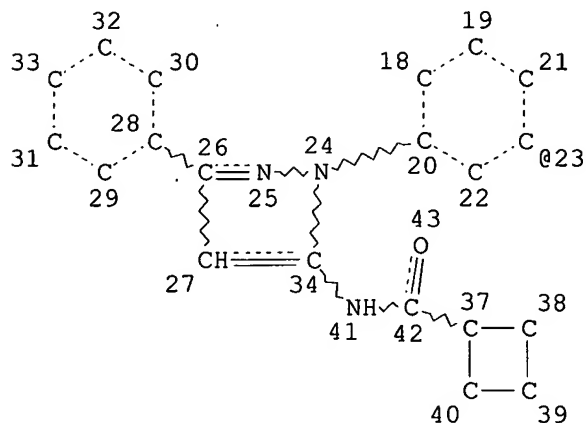
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L12 STR





G10 36

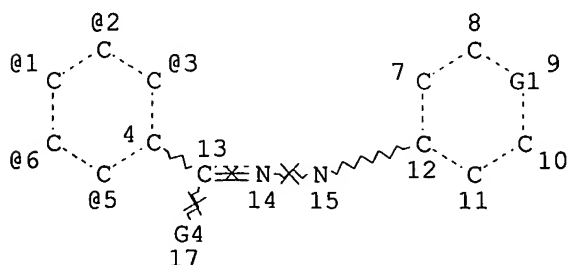


*same set of broader  
structure searches  
as for claim 2*

VAR G1=N/C  
VAR G4=H/X/OH/C  
VAR G10=10/23  
NODE ATTRIBUTES:  
NSPEC IS RC AT 13  
NSPEC IS RC AT 14  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC I  
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE  
L16 STR



G2 @16 C @18

VAR G1=N/C  
VAR G2=X/ME/CF3  
VAR G4=H/X/OH/18  
VPA 16-1/2/3/5/6 U

## NODE ATTRIBUTES:

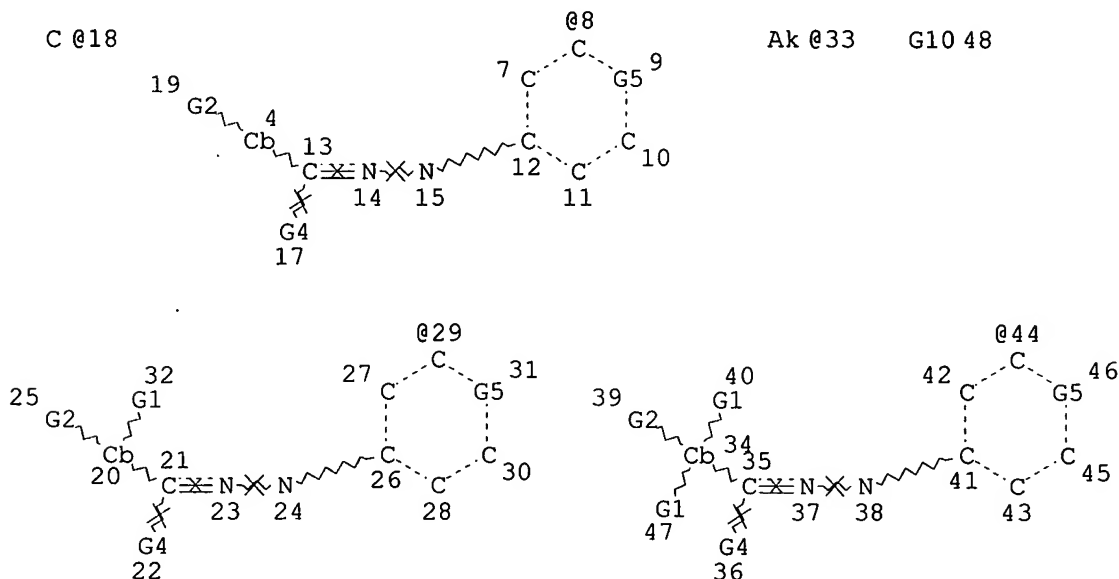
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 NSPEC IS RC AT 14  
 NSPEC IS RC AT 15  
 NSPEC IS RC AT 18  
 CONNECT IS X2 C AT 15  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I  
 NUMBER OF NODES IS 18

## STEREO ATTRIBUTES: NONE

L18 7460 SEA FILE=REGISTRY SSS FUL L12 AND L16  
 L21 68093 SEA FILE=REGISTRY ABB=ON 4.209.1/RID  
 L22 1 SEA FILE=REGISTRY ABB=ON L21 AND L18  
 L27 1 SEA FILE=REGISTRY ABB=ON "BENZALDEHYDE, 3,5-DICHLORO-2-HYDROXY  
 -, (3,5-DICHLORO-4-PYRIDINYL)HYDRAZONE"/CN  
 L28 2 SEA FILE=REGISTRY ABB=ON L27 OR L22  
 L34 STR



VAR G1=X/OH/CN/CF3/NO2/33

VAR G2=X/ME/CF3

VAR G4=H/X/OH/18

VAR G5=N/C

VAR G10=8/29/44

## NODE ATTRIBUTES:

NSPEC IS RC AT 13  
 NSPEC IS RC AT 14  
 NSPEC IS RC AT 15  
 NSPEC IS RC AT 18  
 NSPEC IS RC AT 21  
 NSPEC IS RC AT 23  
 NSPEC IS RC AT 24  
 NSPEC IS RC AT 35  
 NSPEC IS RC AT 37  
 NSPEC IS RC AT 38

CONNECT IS E2 RC AT 4  
 CONNECT IS X2 C AT 15  
 CONNECT IS E3 RC AT 20  
 CONNECT IS X2 C AT 24  
 CONNECT IS E1 RC AT 33  
 CONNECT IS E4 RC AT 34  
 CONNECT IS X2 C AT 38  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

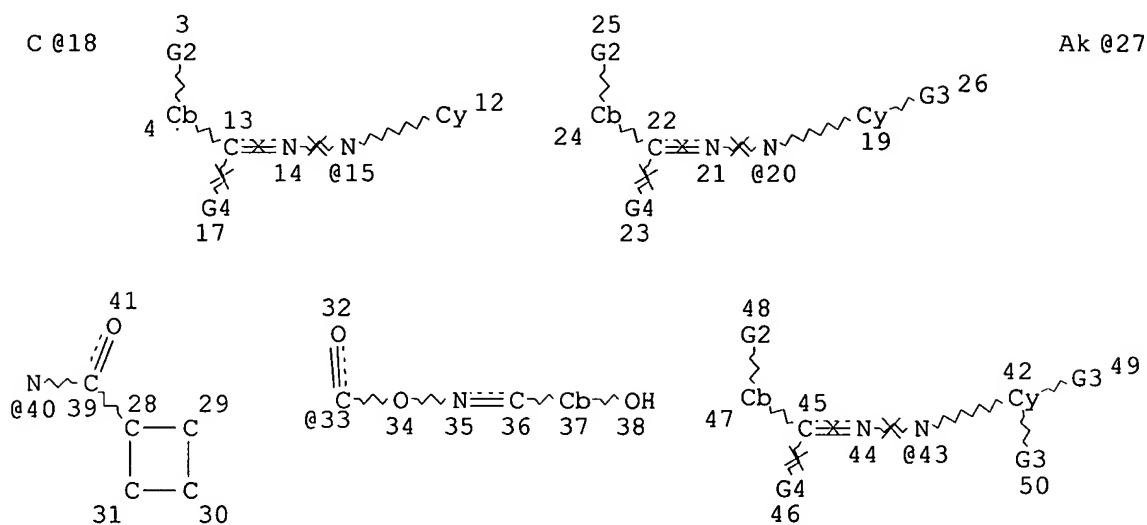
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RSPEC I

NUMBER OF NODES IS 42

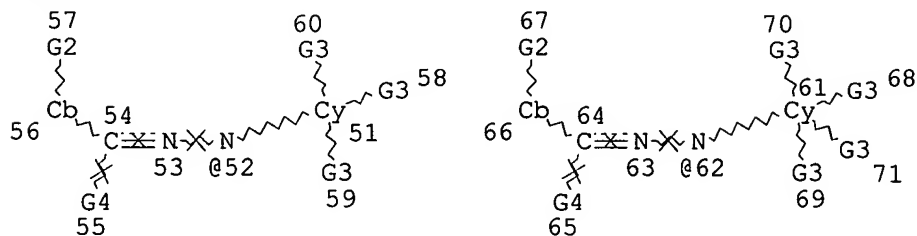
STEREO ATTRIBUTES: NONE

L35 STR



G10 72

Page 1-A



Page 2-A

VAR G2=X/ME/CF3

VAR G3=X/OH/CN/CF3/NO2/27/CY/40/33

VAR G4=H/X/OH/18

VAR G10=15/20/43/52/62

NODE ATTRIBUTES:

NSPEC IS RC AT 13

NSPEC IS RC AT 14

NSPEC IS RC AT 15

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NSPEC   IS RC      AT  18
NSPEC   IS RC      AT  20
NSPEC   IS RC      AT  21
NSPEC   IS RC      AT  22
NSPEC   IS RC      AT  43
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NSPEC   IS RC      AT  45
NSPEC   IS RC      AT  52
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NSPEC   IS RC      AT  62
NSPEC   IS RC      AT  63
NSPEC   IS RC      AT  64
CONNECT IS E1  RC AT  12
CONNECT IS X2   C AT  15
CONNECT IS E2  RC AT  19
CONNECT IS X2   C AT  20
CONNECT IS E1  RC AT  27
CONNECT IS E3  RC AT  42
CONNECT IS X2   C AT  43
CONNECT IS E4  RC AT  51
CONNECT IS X2   C AT  52
CONNECT IS E5  RC AT  61
CONNECT IS X2   C AT  62
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY   LOC  UNS  AT   4
GGCAT   IS MCY   LOC  UNS  AT  24
GGCAT   IS MCY   LOC  UNS  AT  37
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DEFAULT ECLEVEL IS LIMITED

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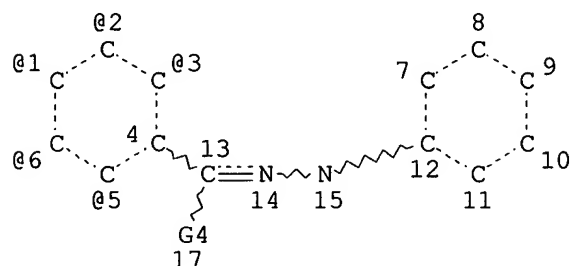
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RSPEC I

NUMBER OF NODES IS 62

STEREO ATTRIBUTES: NONE

L37 STR



G2 @16 Ak @18

VAR G2=X/ME/CF3

VAR G4=X/OH/H/CN/CF3/18

VPA 16-1/2/3/5/6 U

NODE ATTRIBUTES:

```

NSPEC   IS RC      AT  13
NSPEC   IS RC      AT  14
NSPEC   IS RC      AT  15
CONNECT IS X2   C AT  15
CONNECT IS E1  RC AT  18

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DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 18

## STEREO ATTRIBUTES: NONE

L40 2424 SEA FILE=REGISTRY SUB=L18 SSS FUL (L34 AND L35 AND L37)  
L44 3 SEA FILE=REGISTRY ABB=ON C14H7CL2F3N4O5/MF  
L45 1890 SEA FILE=REGISTRY ABB=ON C14H12CL2N2O?/MF  
L46 9 SEA FILE=REGISTRY ABB=ON (L44 OR L45) AND L40  
L47 2 SEA FILE=REGISTRY ABB=ON L46 AND (PHENYLHYDRAZONE OR TRIFLUORO  
METHYL)  
L50 2422 SEA FILE=REGISTRY ABB=ON L40 NOT (L47 OR L28)

*structures of claims 2 & 12*

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'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L12 STR  
L16 STR  
L18 7460 SEA FILE=REGISTRY SSS FUL L12 AND L16  
L21 68093 SEA FILE=REGISTRY ABB=ON 4.209.1/RID  
L22 1 SEA FILE=REGISTRY ABB=ON L21 AND L18  
L27 1 SEA FILE=REGISTRY ABB=ON "BENZALDEHYDE, 3,5-DICHLORO-2-HYDROXY  
-, (3,5-DICHLORO-4-PYRIDINYL)HYDRAZONE"/CN  
L28 2 SEA FILE=REGISTRY ABB=ON L27 OR L22  
L34 STR  
L35 STR  
L37 STR  
L40 2424 SEA FILE=REGISTRY SUB=L18 SSS FUL (L34 AND L35 AND L37)  
L43 1 SEA FILE=REGISTRY ABB=ON B-SECRETASE/CN  
L44 3 SEA FILE=REGISTRY ABB=ON C14H7CL2F3N4O5/MF  
L45 1890 SEA FILE=REGISTRY ABB=ON C14H12CL2N2O?/MF  
L46 9 SEA FILE=REGISTRY ABB=ON (L44 OR L45) AND L40

L47 2 SEA FILE=REGISTRY ABB=ON L46 AND (PHENYLHYDRAZONE OR TRIFLUORO  
METHYL)  
L50 2422 SEA FILE=REGISTRY ABB=ON L40 NOT (L47 OR L28)  
L51 1101 SEA FILE=CAPLUS ABB=ON L50 - *claim 1 structures crossed into*  
L52 1083 SEA FILE=CAPLUS ABB=ON L43 *CAPLUS - too many*  
L53 32103 SEA FILE=CAPLUS ABB=ON ALZHEIMER?/OBI *answers. Narrowed*  
L54 21210 SEA FILE=CAPLUS ABB=ON AMYLOID?/OBI *with text*  
L55 26806 SEA FILE=CAPLUS ABB=ON DOWN#/OBI *terms*  
L56 3 SEA FILE=CAPLUS ABB=ON L51 AND (L52 OR L53 OR L54 OR L55)

L12 STR  
L16 STR  
L18 7460 SEA FILE=REGISTRY SSS FUL L12 AND L16  
L21 68093 SEA FILE=REGISTRY ABB=ON 4.209.1/RID  
L22 1 SEA FILE=REGISTRY ABB=ON L21 AND L18  
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-, (3,5-DICHLORO-4-PYRIDINYL) HYDRAZONE"/CN  
L28 2 SEA FILE=REGISTRY ABB=ON L27 OR L22  
L34 STR  
L35 STR  
L37 STR  
L40 2424 SEA FILE=REGISTRY SUB=L18 SSS FUL (L34 AND L35 AND L37)  
L44 3 SEA FILE=REGISTRY ABB=ON C14H7CL2F3N4O5/MF  
L45 1890 SEA FILE=REGISTRY ABB=ON C14H12CL2N2O?/MF  
L46 9 SEA FILE=REGISTRY ABB=ON (L44 OR L45) AND L40  
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METHYL)  
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L51 1101 SEA FILE=CAPLUS ABB=ON L50  
L58 33 SEA FILE=CAPLUS ABB=ON L51(L) (THU OR BAC OR PAC OR PKT OR  
DMA)/RL

=> s 156 or 158  
L63 33 L56 OR L58

=> d ibib ed abs hitstr l63 1-33; fil hom

L63 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:269035 CAPLUS

DOCUMENT NUMBER: 144:311916

TITLE: Preparation of (hetero)aromatic hydrazones as  $\beta$ -secretase inhibitors

INVENTOR(S): Schindelholz, Benno; Schmid, Gerard; Brigo, Alessandro; Milas, Dragana; Garcia, Gabriel

PATENT ASSIGNEE(S): The Genetics Company, Inc., Switz.

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2006029850  | A1   | 20060323 | WO 2005-EP9902  | 20050914 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, |      |          |                 |          |

*Roles THU = therapeutic use  
BAC = Biological activity  
PAC = pharmacologic activity  
PKT = pharmacokinetics  
DMA = drug mechanism of action  
(claim 1 compounds for any therapeutic use)*

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,  
 LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
 NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
 SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
 ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

EP 2004-21840

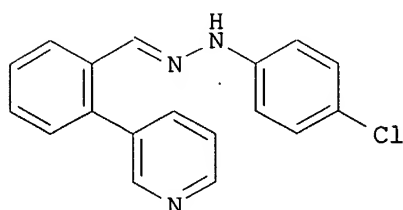
A 20040914

EP 2004-22088

A 20040916

ED Entered STN: 23 Mar 2006

GI



I

AB Z1R3C:NNHZ2 [R3 = H, Me, and hydroxyalkyl; Z1, Z2 = (substituted) Ph, naphthyl, pyridyl, pyrazolyl, pyrimidyl, pyrazidinyl, quinolinyl, isoquinolinyl, coumarinyl, indolyl, thiazolyl, thienyl], were prepared. Several title compds. including (I) (general preparation given) inhibited  $\beta$ -secretase with IC50 <50  $\mu$ M.

IT 158736-49-3,  $\beta$ -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of (hetero)aromatic hydrazones as  $\beta$ -secretase inhibitors)

RN 158736-49-3 CAPLUS

CN  $\beta$ -Secretase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 97783-06-7P 329934-26-1P 625825-15-2P  
 879404-03-2P 879404-23-6P 879404-24-7P  
 879404-25-8P 879404-26-9P 879404-27-0P  
 879404-32-7P 879404-33-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

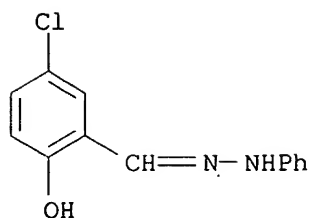
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

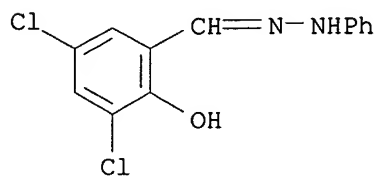
(preparation of (hetero)aromatic hydrazones as  $\beta$ -secretase inhibitors)

RN 97783-06-7 CAPLUS

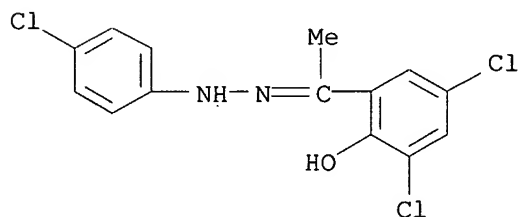
CN Benzaldehyde, 5-chloro-2-hydroxy-, phenylhydrazone (9CI) (CA INDEX NAME)



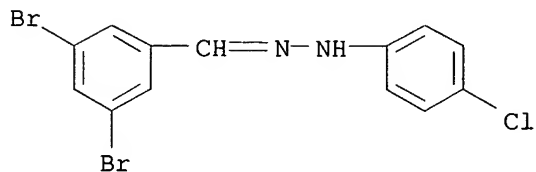
RN 329934-26-1 CAPLUS  
 CN Benzaldehyde, 3,5-dichloro-2-hydroxy-, phenylhydrazone (9CI) (CA INDEX NAME)



RN 625825-15-2 CAPLUS  
 CN Ethanone, 1-(3,5-dichloro-2-hydroxyphenyl)-, (4-chlorophenyl)hydrazone (9CI) (CA INDEX NAME)

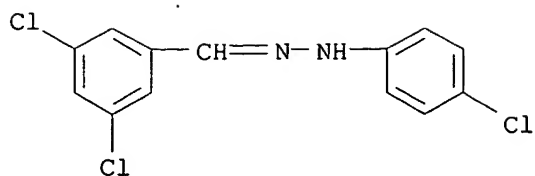


RN 879404-03-2 CAPLUS  
 CN Benzaldehyde, 3,5-dibromo-, (4-chlorophenyl)hydrazone (9CI) (CA INDEX NAME)



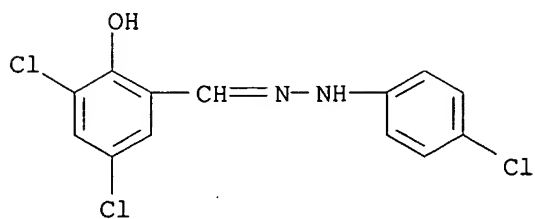
RN 879404-23-6 CAPLUS  
 CN Benzaldehyde, 3,5-dichloro-, (4-chlorophenyl)hydrazone (9CI) (CA INDEX NAME)





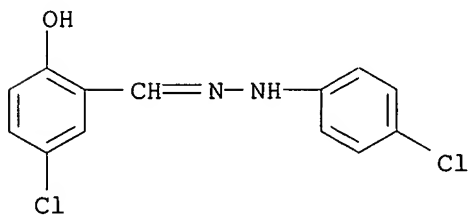
RN 879404-24-7 CAPLUS

CN Benzaldehyde, 3,5-dichloro-2-hydroxy-, (4-chlorophenyl)hydrazone (9CI)  
(CA INDEX NAME)



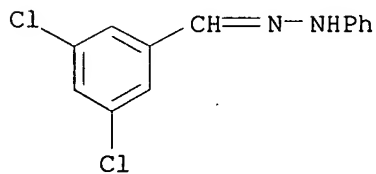
RN 879404-25-8 CAPLUS

CN Benzaldehyde, 5-chloro-2-hydroxy-, (4-chlorophenyl)hydrazone (9CI) (CA  
INDEX NAME)



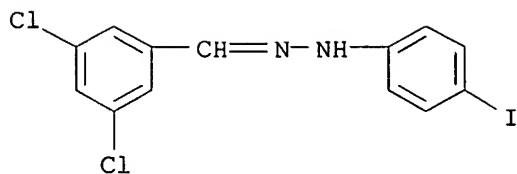
RN 879404-26-9 CAPLUS

CN Benzaldehyde, 3,5-dichloro-, phenylhydrazone (9CI) (CA INDEX NAME)



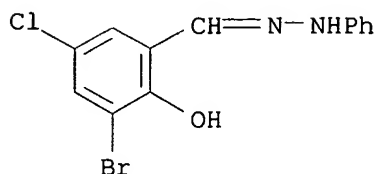
RN 879404-27-0 CAPLUS

CN Benzaldehyde, 3,5-dichloro-, (4-iodophenyl)hydrazone (9CI) (CA INDEX  
NAME)



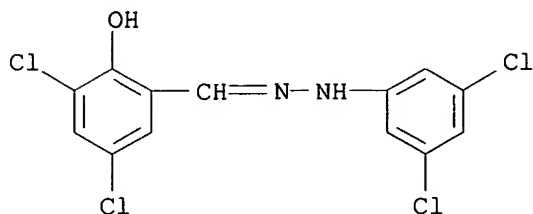
RN 879404-32-7 CAPLUS

CN Benzaldehyde, 3-bromo-5-chloro-2-hydroxy-, phenylhydrazone (9CI) (CA INDEX NAME)



RN 879404-33-8 CAPLUS

CN Benzaldehyde, 3,5-dichloro-2-hydroxy-, (3,5-dichlorophenyl)hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:106896 CAPLUS

DOCUMENT NUMBER: 142:354987

TITLE: Bisaryloxime Ethers as Potent Inhibitors of Transthyretin **Amyloid** Fibril Formation

AUTHOR(S): Johnson, Steven M.; Petrassi, H. Michael; Palaninathan, Satheesh K.; Mohamedmohaideen, Nilofar N.; Purkey, Hans E.; Nichols, Christopher; Chiang, Kyle P.; Walkup, Traci; Sacchettini, James C.; Sharpless, K. Barry; Kelly, Jeffery W.

CORPORATE SOURCE: Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(5), 1576-1587

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:354987

ED Entered STN: 08 Feb 2005

AB Amyloid fibril formation by the plasma protein transthyretin (TTR), requiring rate-limiting tetramer dissociation and monomer misfolding, is implicated in several human diseases. Amyloidogenesis can be inhibited through native state stabilization, mediated by small mol. binding to TTR's primarily unoccupied thyroid hormone binding sites. New native state stabilizers have been discovered herein by the facile condensation of arylaldehydes R1CHO (R1 = Ph, 3-HO2CC6H4, 2-F3CC6H4, 3,5-Cl2C6H3, etc.) with aryloxyamines R2ONH2 (R2 = Ph, 3-F3CC6H4, 3,5-F2C6H4, etc.) affording a bisarylaldoxime ether R1CH:NOR2 library. Of the library's 95 compds., 31 were active inhibitors of TTR amyloid formation in vitro. The bisaryloxime ethers selectively stabilize the native tetrameric state of TTR over the dissociative transition state under amyloidogenic conditions, leading to an increase in the dissociation activation barrier. Several bisaryloxime ethers bind selectively to TTR in human blood plasma over the plethora of other plasma proteins, a necessary attribute for efficacy in vivo. While bisarylaldoxime ethers are susceptible to degradation by N-O bond cleavage, this process is slowed by their binding to TTR. Furthermore, the degradation rate of many of the bisarylaldoxime ethers is slow relative to the half-life of plasma TTR. The bisaryloxime ether library provides valuable structure-activity relationship insight for the development of structurally analogous inhibitors with superior stability profiles, should that prove necessary.

IT 849049-61-2P 849049-62-3P 849049-63-4P  
 849049-64-5P 849049-65-6P 849049-66-7P  
 849049-67-8P 849049-68-9P 849050-12-0P  
 849050-13-1P 849050-14-2P 849050-15-3P  
 849050-16-4P 849050-17-5P 849050-18-6P  
 849050-19-7P 849050-24-4P 849050-25-5P  
 849050-26-6P 849050-27-7P 849050-28-8P  
 849050-29-9P 849050-30-2P 849050-31-3P  
 849050-36-8P 849050-37-9P 849050-38-0P  
 849050-39-1P 849050-40-4P 849050-41-5P  
 849050-42-6P 849050-43-7P 849050-48-2P  
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 849050-55-1P

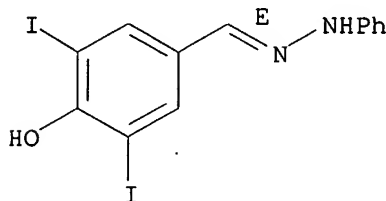
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)

(preparation of libraries of O-aryl ethers of arylaldoximes and diaryl hydrazones as inhibitors of transthyretin amyloid fibril formation)

RN 849049-61-2 CAPLUS

CN Benzaldehyde, 4-hydroxy-3,5-diiodo-, phenylhydrazone, [C(E)]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

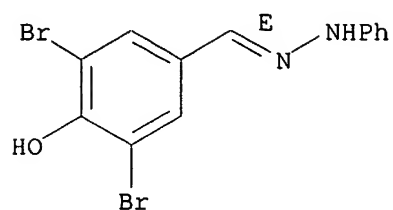


RN 849049-62-3 CAPLUS

CN Benzaldehyde, 3,5-dibromo-4-hydroxy-, phenylhydrazone, [C(E)]- (9CI) (CA

INDEX NAME)

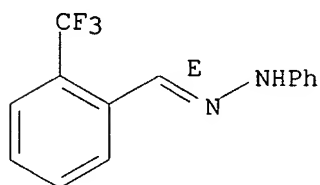
Double bond geometry as shown.



RN 849049-63-4 CAPLUS

CN Benzaldehyde, 2-(trifluoromethyl)-, phenylhydrazone, [C(E)]- (9CI) (CA INDEX NAME)

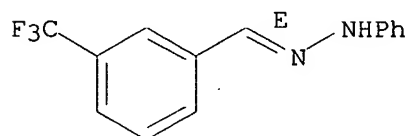
Double bond geometry as shown.



RN 849049-64-5 CAPLUS

CN Benzaldehyde, 3-(trifluoromethyl)-, phenylhydrazone, [C(E)]- (9CI) (CA INDEX NAME)

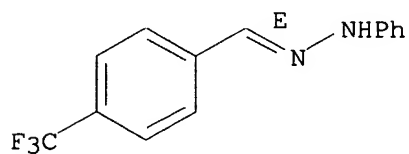
Double bond geometry as shown.



RN 849049-65-6 CAPLUS

CN Benzaldehyde, 4-(trifluoromethyl)-, phenylhydrazone, [C(E)]- (9CI) (CA INDEX NAME)

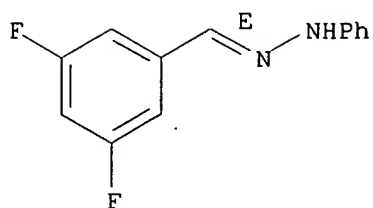
Double bond geometry as shown.



RN 849049-66-7 CAPLUS

CN Benzaldehyde, 3,5-difluoro-, phenylhydrazone, [C(E)]- (9CI) (CA INDEX NAME)

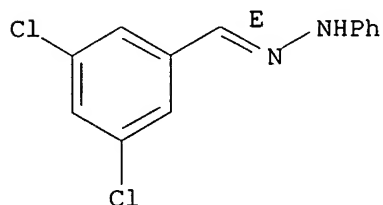
Double bond geometry as shown.



RN 849049-67-8 CAPLUS

CN Benzaldehyde, 3,5-dichloro-, phenylhydrazone, [C(E)]- (9CI) (CA INDEX NAME)

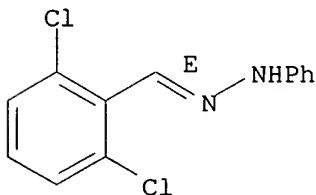
Double bond geometry as shown.



RN 849049-68-9 CAPLUS

CN Benzaldehyde, 2,6-dichloro-, phenylhydrazone, [C(E)]- (9CI) (CA INDEX NAME)

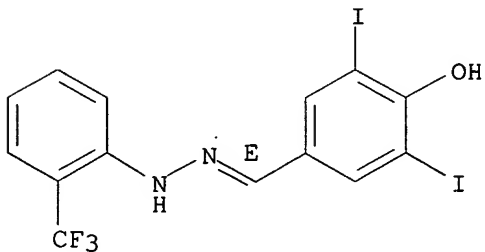
Double bond geometry as shown.



RN 849050-12-0 CAPLUS

CN Benzaldehyde, 4-hydroxy-3,5-diiodo-, [2-(trifluoromethyl)phenyl]hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

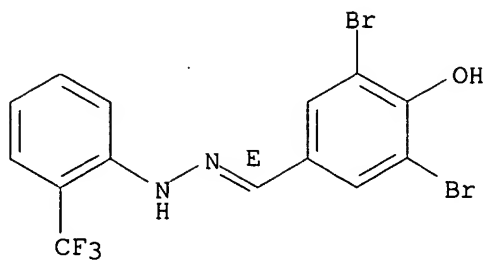
Double bond geometry as shown.



RN 849050-13-1 CAPLUS

CN Benzaldehyde, 3,5-dibromo-4-hydroxy-, [2-(trifluoromethyl)phenyl]hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

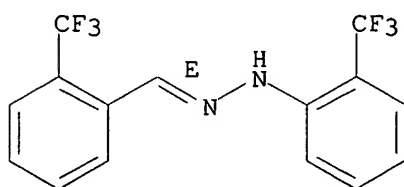
Double bond geometry as shown.



RN 849050-14-2 CAPLUS

CN Benzaldehyde, 2-(trifluoromethyl)-, [2-(trifluoromethyl)phenyl]hydrazone,  
[C(E)]- (9CI) (CA INDEX NAME)

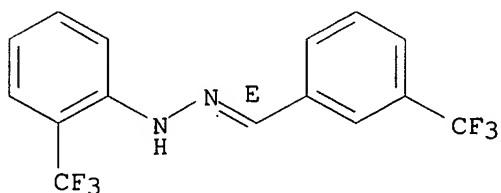
Double bond geometry as shown.



RN 849050-15-3 CAPLUS

CN Benzaldehyde, 3-(trifluoromethyl)-, [2-(trifluoromethyl)phenyl]hydrazone,  
[C(E)]- (9CI) (CA INDEX NAME)

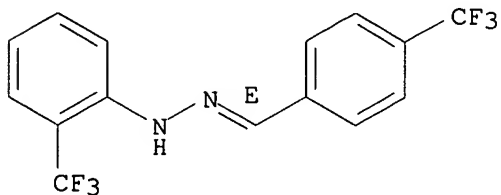
Double bond geometry as shown.



RN 849050-16-4 CAPLUS

CN Benzaldehyde, 4-(trifluoromethyl)-, [2-(trifluoromethyl)phenyl]hydrazone,  
[C(E)]- (9CI) (CA INDEX NAME)

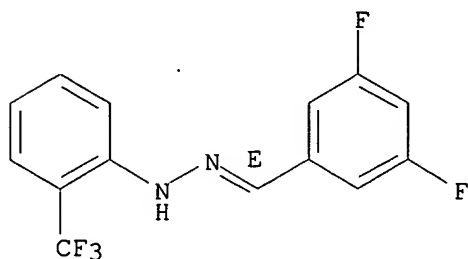
Double bond geometry as shown.



RN 849050-17-5 CAPLUS

CN Benzaldehyde, 3,5-difluoro-, [2-(trifluoromethyl)phenyl]hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

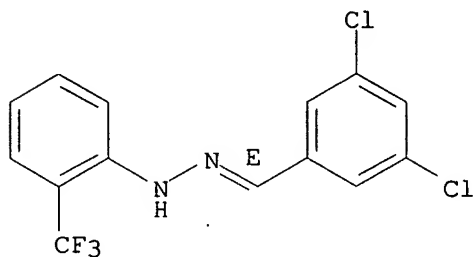
Double bond geometry as shown.



RN 849050-18-6 CAPLUS

CN Benzaldehyde, 3,5-dichloro-, [2-(trifluoromethyl)phenyl]hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

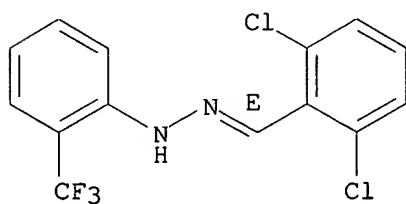
Double bond geometry as shown.



RN 849050-19-7 CAPLUS

CN Benzaldehyde, 2,6-dichloro-, [2-(trifluoromethyl)phenyl]hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

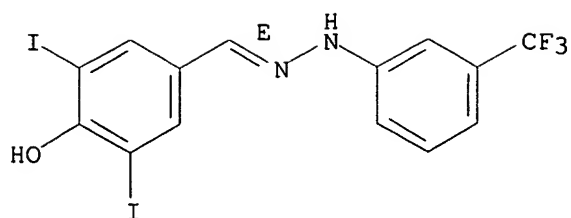
Double bond geometry as shown.



RN 849050-24-4 CAPLUS

CN Benzaldehyde, 4-hydroxy-3,5-diiodo-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

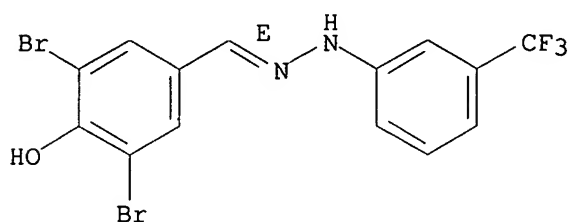
Double bond geometry as shown.



RN 849050-25-5 CAPLUS

CN Benzaldehyde, 3,5-dibromo-4-hydroxy-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

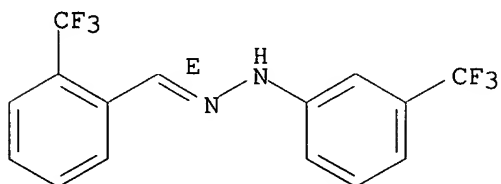
Double bond geometry as shown.



RN 849050-26-6 CAPLUS

CN Benzaldehyde, 2-(trifluoromethyl)-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

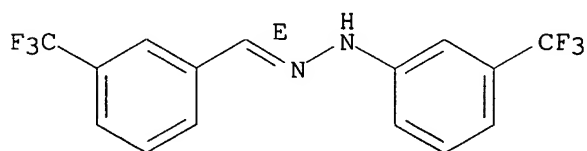
Double bond geometry as shown.



RN 849050-27-7 CAPLUS

CN Benzaldehyde, 3-(trifluoromethyl)-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

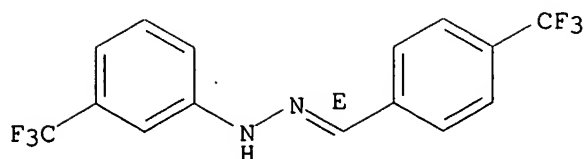


RN 849050-28-8 CAPLUS

CN Benzaldehyde, 4-(trifluoromethyl)-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

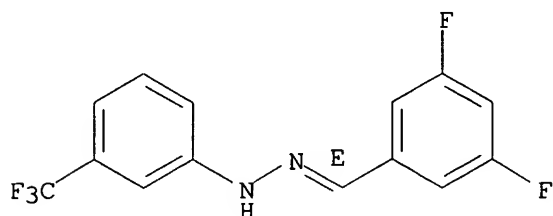




RN 849050-29-9 CAPLUS

CN Benzaldehyde, 3,5-difluoro-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

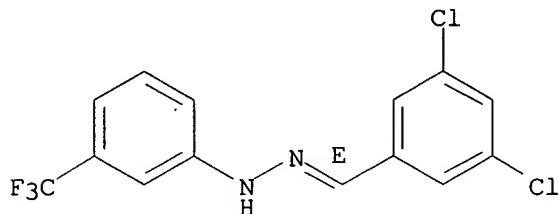
Double bond geometry as shown.



RN 849050-30-2 CAPLUS

CN Benzaldehyde, 3,5-dichloro-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

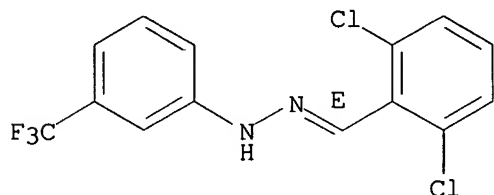
Double bond geometry as shown.



RN 849050-31-3 CAPLUS

CN Benzaldehyde, 2,6-dichloro-, [3-(trifluoromethyl)phenyl]hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

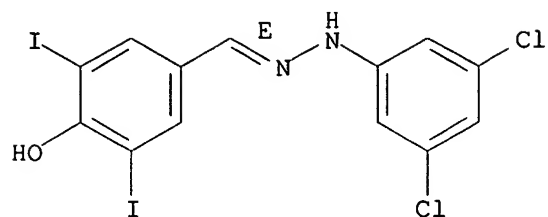
Double bond geometry as shown.



RN 849050-36-8 CAPLUS

CN Benzaldehyde, 4-hydroxy-3,5-diiodo-, (3,5-dichlorophenyl)hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

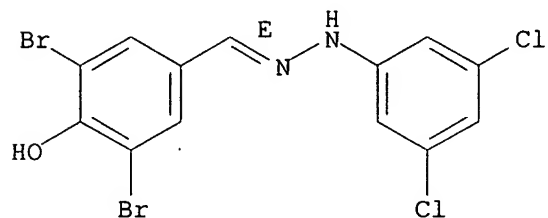
Double bond geometry as shown.



RN 849050-37-9 CAPLUS

CN Benzaldehyde, 3,5-dibromo-4-hydroxy-, (3,5-dichlorophenyl)hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

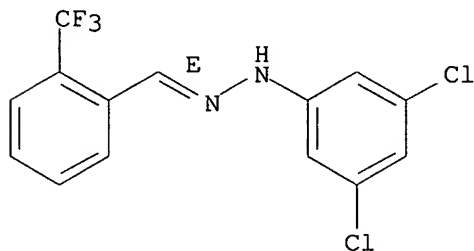
Double bond geometry as shown.



RN 849050-38-0 CAPLUS

CN Benzaldehyde, 2-(trifluoromethyl)-, (3,5-dichlorophenyl)hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

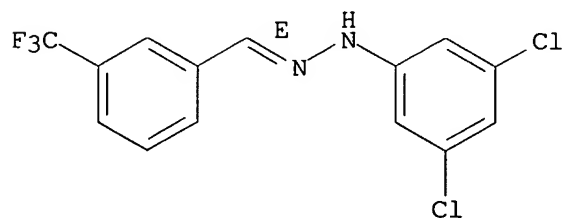
Double bond geometry as shown.



RN 849050-39-1 CAPLUS

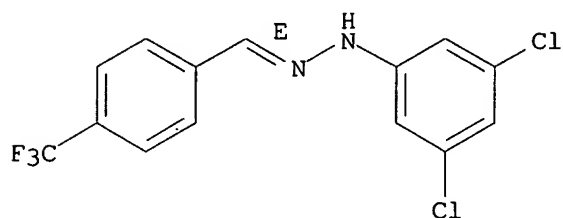
CN Benzaldehyde, 3-(trifluoromethyl)-, (3,5-dichlorophenyl)hydrazone, [C(E)]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



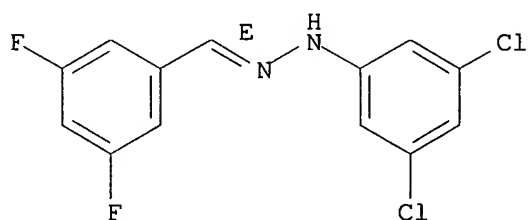
RN 849050-40-4 CAPLUS  
CN Benzaldehyde, 4-(trifluoromethyl)-, (3,5-dichlorophenyl)hydrazone, [C(E)]-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



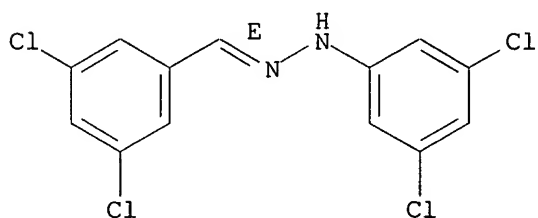
RN 849050-41-5 CAPLUS  
CN Benzaldehyde, 3,5-difluoro-, (3,5-dichlorophenyl)hydrazone, [C(E)]- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



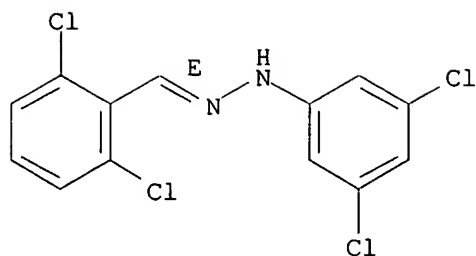
RN 849050-42-6 CAPLUS  
CN Benzaldehyde, 3,5-dichloro-, (3,5-dichlorophenyl)hydrazone, [C(E)]- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



RN 849050-43-7 CAPLUS  
CN Benzaldehyde, 2,6-dichloro-, (3,5-dichlorophenyl)hydrazone, [C(E)]- (9CI)  
(CA INDEX NAME)

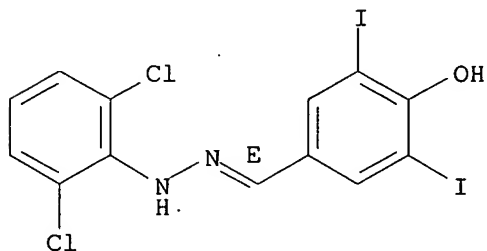
Double bond geometry as shown.



RN 849050-48-2 CAPLUS

CN Benzaldehyde, 4-hydroxy-3,5-diiodo-, (2,6-dichlorophenyl)hydrazone,  
[C(E)]- (9CI) (CA INDEX NAME)

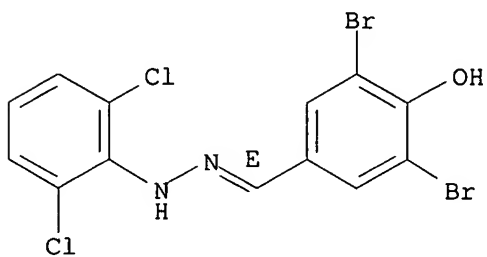
Double bond geometry as shown.



RN 849050-49-3 CAPLUS

CN Benzaldehyde, 3,5-dibromo-4-hydroxy-, (2,6-dichlorophenyl)hydrazone,  
[C(E)]- (9CI) (CA INDEX NAME)

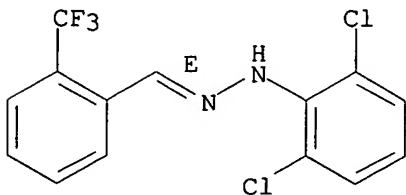
Double bond geometry as shown.



RN 849050-50-6 CAPLUS

CN Benzaldehyde, 2-(trifluoromethyl)-, (2,6-dichlorophenyl)hydrazone, [C(E)]-  
(9CI) (CA INDEX NAME)

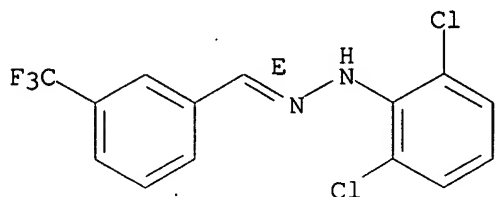
Double bond geometry as shown.



RN 849050-51-7 CAPLUS

CN Benzaldehyde, 3-(trifluoromethyl)-, (2,6-dichlorophenyl)hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

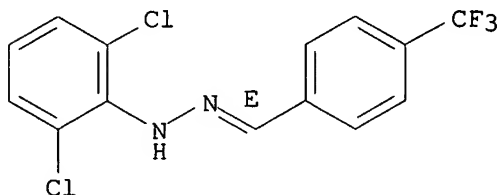
Double bond geometry as shown.



RN 849050-52-8 CAPLUS

CN Benzaldehyde, 4-(trifluoromethyl)-, (2,6-dichlorophenyl)hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

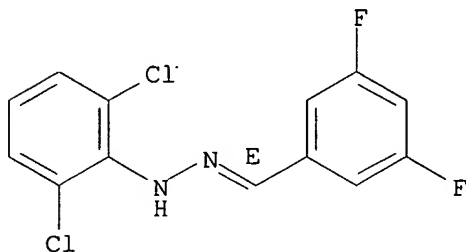
Double bond geometry as shown.



RN 849050-53-9 CAPLUS

CN Benzaldehyde, 3,5-difluoro-, (2,6-dichlorophenyl)hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

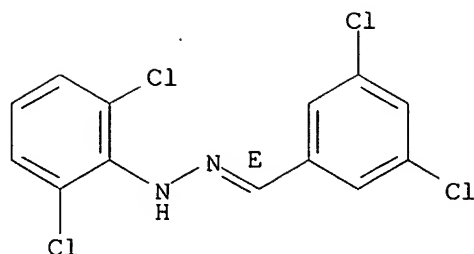
Double bond geometry as shown.



RN 849050-54-0 CAPLUS

CN Benzaldehyde, 3,5-dichloro-, (2,6-dichlorophenyl)hydrazone, [C(E)]-(9CI) (CA INDEX NAME)

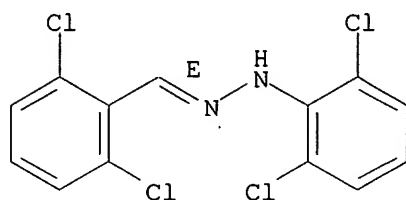
Double bond geometry as shown.



RN 849050-55-1 CAPLUS

CN Benzaldehyde, 2,6-dichloro-, (2,6-dichlorophenyl)hydrazone, [C(E)]- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:76258 CAPLUS

DOCUMENT NUMBER: 142:148826

TITLE: Chromatosis remedies

INVENTOR(S): Itai, Akiko; Muto, Susumu

PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan

SOURCE: PCT Int. Appl., 130 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

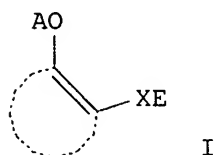
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2005007151   | A1   | 20050127 | WO 2004-JP10558 | 20040716 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |          |                 |          |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| AU 2004257528   | A2   | 20050127 | AU 2004-257528  | 20040716 |
| AU 2004257528   | A1   | 20050127 |                 |          |
| CA 2532313  | AA   | 20050127 | CA 2004-2532313 | 20040716 |

EP 1649852 A1 20060426 EP 2004-747921 20040716  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR  
 PRIORITY APPLN. INFO.: JP 2003-197807 A 20030716  
 WO 2004-JP10558 W 20040716  
 OTHER SOURCE(S): MARPAT 142:148826  
 ED Entered STN: 28 Jan 2005  
 GI



AB Preventive and/or therapeutic drugs for chromotosis and/or skin cancer, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts of the same, and hydrates and solvates thereof: (I) wherein X is a connecting group whose main chain has 2 to 5 atoms (which group may be substituted); A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -X-E (wherein X and E are each as defined above).

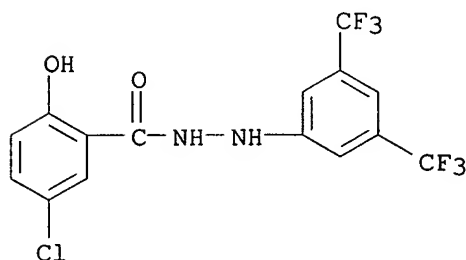
IT 634184-78-4 634184-83-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(trifluoromethylphenylchlorohydroxybenzamide analogs as chromotosis and skin cancer remedies and skin whitening cosmetics)

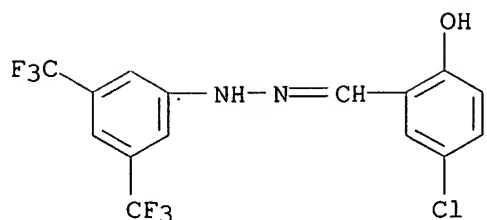
RN 634184-78-4 CAPLUS

CN Benzoic acid, 5-chloro-2-hydroxy-, 2-[3,5-bis(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



RN 634184-83-1 CAPLUS

CN Benzaldehyde, 5-chloro-2-hydroxy-, [3,5-bis(trifluoromethyl)phenyl]hydrazo ne (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:38313 CAPLUS

DOCUMENT NUMBER: 142:355008

TITLE: Synthesis and antibacterial activity of oximes, semicarbazones and phenylhydrazones

AUTHOR(S): Hania, Majed M.

CORPORATE SOURCE: Chemistry Department, The Islamic University, Gaza, Gaza, Israel

SOURCE: Asian Journal of Chemistry (2005), 17(1), 439-442  
CODEN: AJCHEW; ISSN: 0970-7077

PUBLISHER: Asian Journal of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:355008

ED Entered STN: 17 Jan 2005

AB New oximes, semicarbazones and phenylhydrazones were synthesized from o-chloroacetophenone, p-methylacetophenone, and p-methoxybenzaldehyde and their antibacterial activity were studied against E. coli which gave different results of activity. The oximes showed good activity but the semicarbazones and phenylhydrazones showed poor activity against -ve bacteria.

IT 54779-81-6P, 4-Methylacetophenone phenylhydrazone

412296-65-2P, 2-Chloroacetophenone phenylhydrazone

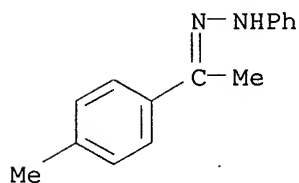
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity)

RN 54779-81-6 CAPLUS

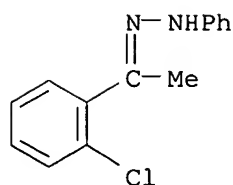
CN Ethanone, 1-(4-methylphenyl)-, phenylhydrazone (9CI) (CA INDEX NAME)



RN 412296-65-2 CAPLUS

CN Ethanone, 1-(2-chlorophenyl)-, phenylhydrazone (9CI) (CA INDEX NAME)





REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:857547 CAPLUS

DOCUMENT NUMBER: 141:350174

TITLE: Preparation of benzaldehyde or heterocycle carboxaldehyde hydrazone derivatives as inhibitors of agglutination and/or deposition of an **amyloid** protein or **amyloid**-like protein

INVENTOR(S): Kawagoe, Keiichi; Motoki, Kayoko; Odagiri, Takashi; Suzuki, Nobuyuki; Chen, Chun-Jen; Mimura, Tetsuya

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 236 pp.

CODEN: PIXXD2

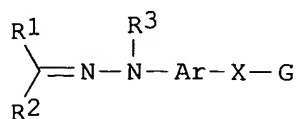
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2004087641   | A1   | 20041014 | WO 2004-JP4607  | 20040331   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW<br>RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |            |
| CA 2521056  | AA   | 20041014 | CA 2004-2521056 | 20040331   |
| EP 1612204  | A1   | 20060104 | EP 2004-724752  | 20040331   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK   |      |          |                 |            |
| PRIORITY APPLN. INFO.:  |      |          | JP 2003-94257   | A 20030331 |
|   |      |          | WO 2004-JP4607  | W 20040331 |
| OTHER SOURCE(S): MARPAT 141:350174  |      |          |                 |            |
| ED Entered STN: 18 Oct 2004   |      |          |                 |            |
| GI  |      |          |                 |            |

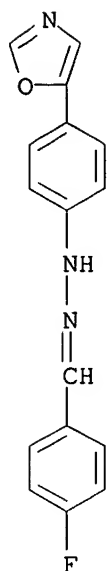


I

AB Compds. represented by the general formula (I), salts thereof, or solvates of either[R1, R2 = H, alkyl, alkenyl, alkynyl, aralkyl, NH2, alkylamino, cyano, halo, haloalkyl, haloalkenyl, haloalkynyl, CO2H, alkoxycarbonyl, CONH2, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, N-hydroxyalkylcarbamoyl, each (un)substituted aryl, (un)saturated 5- to 7-membered heterocyclyl, (un)saturated bi- or tricyclic condensed heterocyclyl, arylalkenyl, (un)saturated heterocyclylalkenyl, or (un)saturated bi- or tricyclic condensed heterocyclylalkenyl; R3 = H, (un)substituted alkyl, acyl, alkoxycarbonyl; Ar = a divalent group derived from aromatic hydrocarbon, (un)saturated 5- to 7-membered heterocyclic group, or (un)saturated bi- or tricyclic condensed heterocyclic group; X = a single bond, a single bond, each (un)substituted linear or branched C1-3 alkylene, C1-3 alkenylene, or C1-3 alkynylene, CO; G = halo, haloalkyl, haloalkenyl, haloalkynyl, alkoxy, alkoxycarbonyl, N-alkylamino, N,N-dialkylamino, each (un)substituted (un)saturated bi- or tricyclic condensed hydrocarbyl, (un)saturated 5- to 7-membered heterocyclyl, or (un)saturated bi- or tricyclic heterocyclyl] are prepared Also disclosed is (1) an agent for inhibiting the agglutination and/or deposition of an amyloid protein or amyloid-like protein or (2) a preventive and/or remedy for conformational diseases or diseases caused by amyloid accumulation, which contains the compound I, its salt, or solvate thereof. In particular, disclosed is a preventive and/or remedy for Alzheimer's disease, Down's syndrome, Creutzfeldt-Jakob disease, type II diabetes, dialysis amyloidosis, AA amyloidosis, Gerstmann-Straussler-Scheinker (GSS) syndrome, Muckle-Wells syndrome, localized atrial amyloidosis, thyroid medullary carcinoma, skin amyloidosis, localized tuberous amyloidosis, AL amyloidosis, AH amyloidosis, familial Mediterranean fever, Parkinson's disease, tauopathy, ALS, or CAG repeat disease. A radiodiagnostic agent containing radionuclide-labeled, in particular radioactive iodine-labeled compound I is also disclosed. Thus, 1.0 g 4-(oxazol-5-yl)phenylhydrazine and 0.61 g 4-pyridinecarboxaldehyde were heated in ethanol at reflux overnight to give, after recrystn. from ethanol, 1.03 g 4-pyridinecarboxaldehyde N-[4-(oxazol-5-yl)phenyl]hydrazone (II). II inhibited the formation of amyloid from amyloid  $\beta$  protein with IC50 of 2.94  $\mu$ M vs. 0.87 and 3.23  $\mu$ M for Cogo Red and 2-(1,1-dicyanopropen-2-yl)-6-dimethylaminonaphthalene (DDNP), resp.

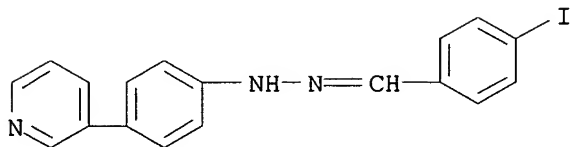
IT 774237-47-7P 774238-15-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(preparation of benzaldehyde or heterocycle carboxaldehyde hydrazone derivs. as inhibitors of agglutination and/or deposition of amyloid protein or amyloid-like protein)

RN 774237-47-7 CAPLUS  
CN Benzaldehyde, 4-fluoro-, [4-(5-oxazolyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



RN 774238-15-2 CAPLUS

CN Benzaldehyde, 4-iodo-, [4-(3-pyridinyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991345 CAPLUS

DOCUMENT NUMBER: 140:42216

TITLE: Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases

INVENTOR(S): Muto, Susumu; Itai, Akiko

PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan

SOURCE: PCT Int. Appl., 418 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

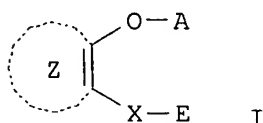
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2003103665  | A1   | 20031218 | WO 2003-JP7120  | 20030605 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, |      |          |                 |          |

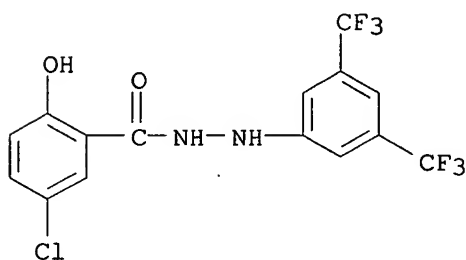
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2488367 AA 20031218 CA 2003-2488367 20030605  
 AU 2003242103 A1 20031222 AU 2003-242103 20030605  
 EP 1514544 A1 20050316 EP 2003-730831 20030605  
 R; AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 CN 1658872 A 20050824 CN 2003-812926 20030605  
 PRIORITY APPLN. INFO.: JP 2002-165148 A 20020606  
 WO 2003-JP7120 W 20030605  
 OTHER SOURCE(S): MARPAT 140:42216  
 ED Entered STN: 21 Dec 2003  
 GI



AB The title compds. I [wherein X = a connecting group; A = H or acetyl; E = (un)substituted aryl or heteroaryl; ring Z = (un)substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and solvates thereof are prepared for the treatment of allergic diseases, endometriosis, and/or hysteromyoma (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared. The compds. I exhibited inhibitory activities against IgE production, cell proliferation, and cell degranulation.

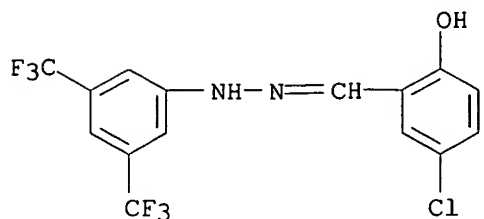
IT **634184-78-4P 634184-83-1P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of phenol or Ph acetate derivs. for treatment of allergic diseases)

RN 634184-78-4 CAPLUS  
 CN Benzoic acid, 5-chloro-2-hydroxy-, 2-[3,5-bis(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



RN 634184-83-1 CAPLUS

CN Benzaldehyde, 5-chloro-2-hydroxy-, [3,5-bis(trifluoromethyl)phenyl]hydrazo  
ne (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991339 CAPLUS

DOCUMENT NUMBER: 140:42204

TITLE: Preparation of immunity-related protein kinase inhibitors

INVENTOR(S): Muto, Susumu; Itai, Akiko

PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan

SOURCE: PCT Int. Appl., 401 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

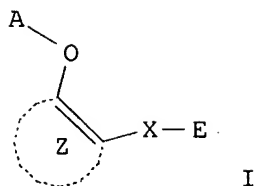
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2003103658          | A1   | 20031218 | WO 2003-JP7130  | 20030605   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2487900             | AA   | 20031218 | CA 2003-2487900 | 20030605   |
| AU 2003242131          | A1   | 20031222 | AU 2003-242131  | 20030605   |
| EP 1510210             | A1   | 20050302 | EP 2003-730840  | 20030605   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |            |
| CN 1658854             | A  | 20050824 | CN 2003-812919  | 20030605   |
| US 2006019958          | A1   | 20060126 | US 2005-515343  | 20050801   |
| PRIORITY APPLN. INFO.: |  |          | JP 2002-164525  | A 20020605 |
|                        |  |          | WO 2003-JP7130  | W 20030605 |

OTHER SOURCE(S): MARPAT 140:42204

ED Entered STN: 21 Dec 2003

GI



AB The title compds. I [X is a connecting group whose main chain has 2 to 5 atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above)] are prepared. Compds. of this invention in vitro at 1  $\mu\text{g/mL}$  gave 90% to 92.6% inhibition of NF- $\kappa$ B activation.

IT 634184-78-4P 634184-83-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

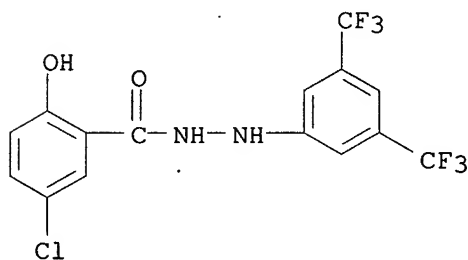
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of immunity-related protein kinase inhibitors)

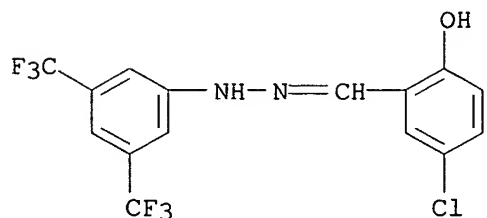
RN 634184-78-4 CAPLUS

CN Benzoic acid, 5-chloro-2-hydroxy-, 2-[3,5-bis(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



RN 634184-83-1 CAPLUS

CN Benzaldehyde, 5-chloro-2-hydroxy-, [3,5-bis(trifluoromethyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT:

20

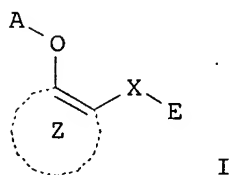
THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

Searched by Barb O'Bryen, STIC 2-2518

ACCESSION NUMBER: 2003:991330 CAPLUS  
 DOCUMENT NUMBER: 140:27850  
 TITLE: Preparation of phenol or phenyl acetate derivatives as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications  
 INVENTOR(S): Muto, Susumu; Itai, Akiko  
 PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan  
 SOURCE: PCT Int. Appl., 396 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2003103648          | A1   | 20031218 | WO 2003-JP7131  | 20030605   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2488342             | AA   | 20031218 | CA 2003-2488342 | 20030605   |
| AU 2003242137          | A1   | 20031222 | AU 2003-242137  | 20030605   |
| EP 1510207             | A1   | 20050302 | EP 2003-730841  | 20030605   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |            |
| CN 1658850             | A  | 20050824 | CN 2003-812943  | 20030605   |
| PRIORITY APPLN. INFO.: |  |          | JP 2002-164524  | A 20020605 |
|                        |  |          | WO 2003-JP7131  | W 20030605 |
| OTHER SOURCE(S):       | MARPAT 140:27850   |          |                 |            |
| ED                     | Entered STN: 21 Dec 2003   |          |                 |            |
| GI                     |  |          |                 |            |



AB Disclosed are medicines for the prevention and/or treatment of diabetes and/or diabetes complications, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or

heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). Also disclosed are medicines possessing insulin-resistance improving, hyperinsulinemia improving, and/or hyperglycemia improving activity. A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared. The compds. I improve insulin resistance by specifically inhibiting IKK- $\beta$  (I  $\kappa$ B kinase  $\beta$ ).

IT 634184-78-4P 634184-83-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

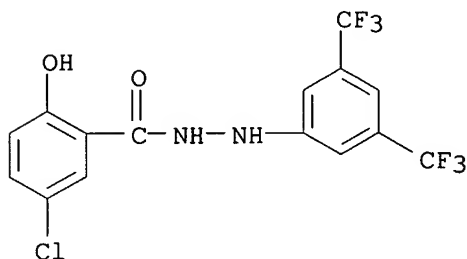
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of phenol or Ph acetate derivs. as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications)

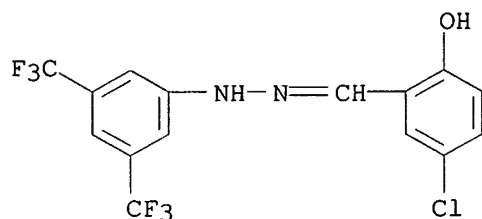
RN 634184-78-4 CAPLUS

CN Benzoic acid, 5-chloro-2-hydroxy-, 2-[3,5-bis(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



RN 634184-83-1 CAPLUS

CN Benzaldehyde, 5-chloro-2-hydroxy-, [3,5-bis(trifluoromethyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991329 CAPLUS

DOCUMENT NUMBER: 140:27849

TITLE: Preparation of phenol or phenyl acetate derivatives as inhibitors against the activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT)

INVENTOR(S): Muto, Susumu; Itai, Akiko

PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan



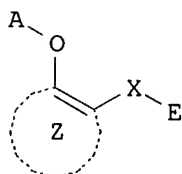
SOURCE: PCT Int. Appl., 401 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2003103647          | A1   | 20031218 | WO 2003-JP7129  | 20030605   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2487891             | AA   | 20031218 | CA 2003-2487891 | 20030605   |
| AU 2003242127          | A1   | 20031222 | AU 2003-242127  | 20030605   |
| EP 1512396             | A1   | 20050309 | EP 2003-730839  | 20030605   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |          |                 |            |
| CN 1658849             | A  | 20050824 | CN 2003-812942  | 20030605   |
| PRIORITY APPLN. INFO.: |  |          | JP 2002-164526  | A 20020605 |
|                        |  |          | WO 2003-JP7129  | W 20030605 |

OTHER SOURCE(S): MARPAT 140:27849

ED Entered STN: 21 Dec 2003

GI



AB Disclosed are medicines for inhibiting the activation of AP-1 or NFAT, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I can exhibit the

inhibitory activity against releasing inflammatory cytokines, inflammatory activity, immunosuppressant activity, and antiallergic activity based on inhibiting the activation of AP-1 or NFAT.

IT 634184-78-4P 634184-83-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

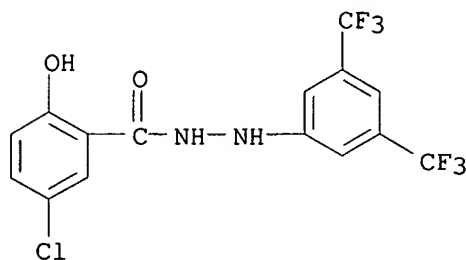
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of phenol or Ph acetate derivs. as inhibitors against activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT))

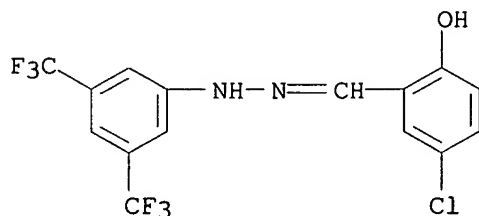
RN 634184-78-4 CAPLUS

CN Benzoic acid, 5-chloro-2-hydroxy-, 2-[3,5-bis(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



RN 634184-83-1 CAPLUS

CN Benzaldehyde, 5-chloro-2-hydroxy-, [3,5-bis(trifluoromethyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:868743 CAPLUS

DOCUMENT NUMBER: 137:352894

TITLE: Preparation of hydrazones and hydrazines for use in increasing erythropoietin and vascularization of tissue

INVENTOR(S): Almstead, Ji-In Kim; Izzo, Nicholas John; Jones, David Robert

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

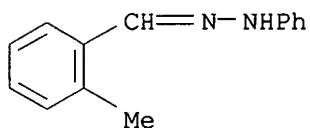
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| WO 2002089809   | A1   | 20021114 | WO 2002-US14106 | 20020506    |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, FL, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |             |
| US 2003092716   | A1   | 20030515 | US 2002-134890  | 20020429    |
| US 6660737  | B2   | 20031209 |                 |             |
| US 2004053977   | A1   | 20040318 | US 2003-661905  | 20030912    |
| PRIORITY APPLN. INFO.:  |      |          | US 2001-288765P | P 20010504  |
|   |      |          | US 2002-134890  | A3 20020429 |
| OTHER SOURCE(S): MARPAT 137:352894  |      |          |                 |             |
| ED Entered STN: 15 Nov 2002   |      |          |                 |             |
| AB R1R2R3CNR4NR5R6 [R1, R6 = aryl, cycloalkyl, heteroaryl, heterocycloalkyl; R2, R4 = bond; R2, R4 = H; R3 = H, alkyl] were prepared for use as VEGF stimulators in increasing erythropoietin and vascularization of tissue. Thus, 2-acetylpyridine was treated with 2-hydrazinopyridine to give the hydrazone which had EC50 for induction of VEGF formation of 0.65 (no units).   |      |          |                 |             |
| IT 59473-50-6P  |      |          |                 |             |
| RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  |      |          |                 |             |
| (preparation of pyridyl hydrazones and hydrazines for use in increasing erythropoietin and vascularization of tissue)   |      |          |                 |             |
| RN 59473-50-6 CAPLUS  |      |          |                 |             |
| CN Benzaldehyde, 2-methyl-, phenylhydrazone (9CI) (CA INDEX NAME)   |      |          |                 |             |



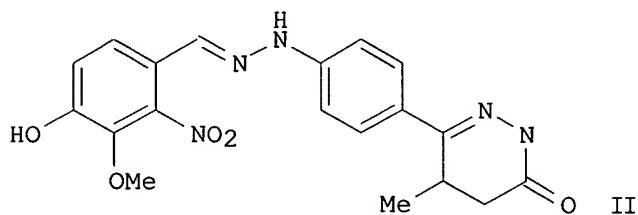
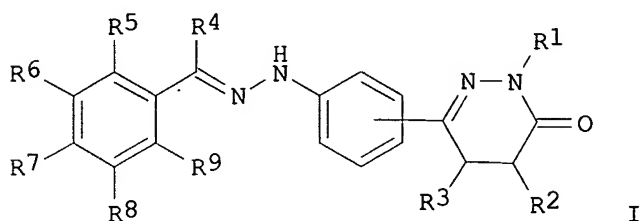
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:693288 CAPLUS  
 DOCUMENT NUMBER: 135:242237  
 TITLE: Preparation of pyridazinylphenyl hydrazones useful against congestive heart failure  
 INVENTOR(S): Pystynen, Jarmo; Pippuri, Aino; Luiro, Anne; Nore, Pentti; Baeckstroem, Reijo; Loennberg, Kari; Haikala, Heimo; Levijoki, Jouko; Kaheinen, Petri; Kaivola, Juha  
 PATENT ASSIGNEE(S): Orion Corporation, Finland  
 SOURCE: PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2001068611          | A1   | 20010920 | WO 2001-FI241   | 20010312   |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2403188             | AA   | 20010920 | CA 2001-2403188 | 20010312   |
| AU 2001046577          | A5   | 20010924 | AU 2001-46577   | 20010312   |
| EP 1265871             | A1   | 20021218 | EP 2001-919489  | 20010312   |
| EP 1265871             | B1   | 20060208 |                 |            |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                 |            |
| BR 2001009136          | A  | 20021224 | BR 2001-9136    | 20010312   |
| JP 2003527375          | T2   | 20030916 | JP 2001-567705  | 20010312   |
| NZ 521162              | A  | 20031128 | NZ 2001-521162  | 20010312   |
| EE 200200520           | A  | 20040415 | EE 2002-520     | 20010312   |
| AT 317388              | E  | 20060215 | AT 2001-919489  | 20010312   |
| ZA 2002006917          | A  | 20030730 | ZA 2002-6917    | 20020828   |
| NO 2002004247          | A  | 20021025 | NO 2002-4247    | 20020905   |
| BG 107175              | A  | 20030530 | BG 2002-107175  | 20021008   |
| US 2003158200          | A1   | 20030821 | US 2002-221348  | 20021226   |
| US 6699868             | B2   | 20040302 |                 |            |
| HK 1052008             | A1   | 20050527 | HK 2003-104272  | 20030616   |
| PRIORITY APPLN. INFO.: |  |          | FI 2000-577     | A 20000313 |
|                        |  |          | WO 2001-FI241   | W 20010312 |

OTHER SOURCE(S): MARPAT 135:242237  
 ED Entered STN: 21 Sep 2001  
 GI



AB The title compds. [I; R1-R4 = H, alkyl, aryl, etc.; or R2 and R3 form a

ring of 5-7 carbon atoms; R5-R9 = H, alkyl, aryl, etc.] which increase the calcium sensitivity of contractile proteins of the cardiac muscle and are thus useful in the treatment of congestive heart failure, were prepared Thus, reacting (R)-6-(4-hydrazinophenyl)-5-methyl-4,5-dihydro-2H-pyridazin-3-one (preparation given) with 4-hydroxy-3-methoxy-2-nitrobenzaldehyde in EtOH afforded (R)-II which showed 207.2% change from control in test for maximum calcium sensitizing effect in skinned cardiac fiber.

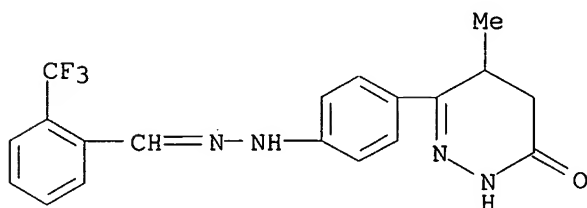
IT 360794-95-2P 360795-21-7P 360795-25-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridazinyphenyl hydrazones useful against congestive heart failure)

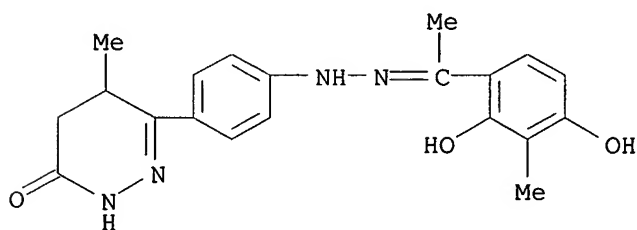
RN 360794-95-2 CAPLUS

CN Benzaldehyde, 2-(trifluoromethyl)-, [4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



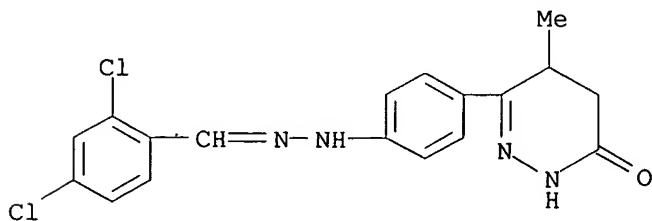
RN 360795-21-7 CAPLUS

CN 3(2H)-Pyridazinone, 6-[4-[[1-(2,4-dihydroxy-3-methylphenyl)ethylidene]hydrazino]phenyl]-4,5-dihydro-5-methyl- (9CI) (CA INDEX NAME)



RN 360795-25-1 CAPLUS

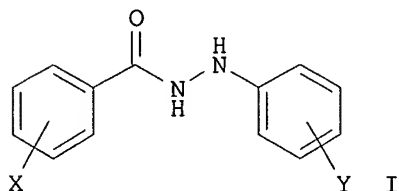
CN Benzaldehyde, 2,4-dichloro-, [4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2000:416635 CAPLUS  
 DOCUMENT NUMBER: 133:38226  
 TITLE: Aryl phenylhydrazides as selective COX-2 inhibitors for the treatment of inflammation  
 INVENTOR(S): Sui, Zhihua; Wachter, Michael  
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA  
 SOURCE: U.S., 4 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| US 6077869   | A    | 20000620 | US 1999-421566  | 19991020   |
| PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 133:38226 |      |          | US 1998-106101P | P 19981029 |
| ED Entered STN: 22 Jun 2000                              |      |          |                 |            |
| GI   |      |          |                 |            |



AB Compds. I (X, Y = H, halo, alkyl, nitro, amino, other O- and S-containing functional groups such as OH, MeO, MeSO<sub>2</sub>) are provided for use as selective COX-2 inhibitors and antiinflammatory agents. Compds. were prepared from the reaction of substituted phenylhydrazines with substituted benzoic acids.

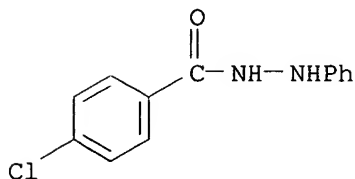
IT 15089-07-3P 36586-31-9P 54812-59-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

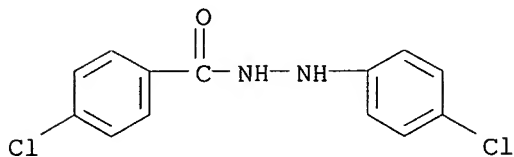
(aryl phenylhydrazide preparation for selective COX-2 inhibitors for treatment of inflammation)

RN 15089-07-3 CAPLUS

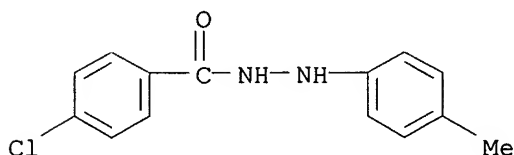
CN Benzoic acid, 4-chloro-, 2-phenylhydrazide (9CI) (CA INDEX NAME)



RN 36586-31-9 CAPLUS  
CN Benzoic acid, 4-chloro-, 2-(4-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



RN 54812-59-8 CAPLUS  
CN Benzoic acid, 4-chloro-, 2-(4-methylphenyl)hydrazide (9CI) (CA INDEX NAME)



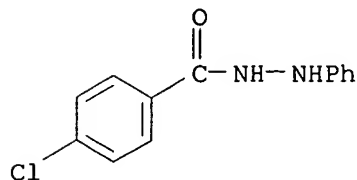
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2000:209103 CAPLUS  
DOCUMENT NUMBER: 132:342810  
TITLE: 1,3-Diarylcycloalkanopyrazoles and diphenyl hydrazides as selective inhibitors of cyclooxygenase-2  
AUTHOR(S): Sui, Zhihua; Guan, Jihua; Ferro, Michael P.; McCoy, Kathy; Wachter, Michael P.; Murray, William V.; Singer, Monica; Steber, Michele; Ritchie, Dave M.; Argentieri, Dennis C.  
CORPORATE SOURCE: The R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(6), 601-604  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 31 Mar 2000  
AB Novel 1,3-diarylcycloalkanopyrazoles 1, and di-Ph hydrazides 2 were identified as selective inhibitors of cyclooxygenase-2. The 1,3-diaryl substitution pattern of the pyrazole ring in 1 differentiates these compds. from most of the known selective COX-2 inhibitors that contain two aryl rings at the adjacent positions on a heterocyclic or a Ph ring. Similarly, the two Ph rings in 2 are also separated by three atoms. SAR of both Ph rings in 1 and 2, and the aliphatic ring in 1 are discussed.  
IT 15089-07-3P 36586-31-9P 54812-59-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(diarylcycloalkanopyrazoles and di-Ph hydrazides as selective

inhibitors of cyclooxygenase-2)

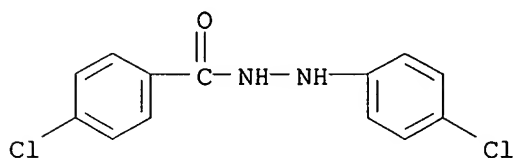
RN 15089-07-3 CAPLUS

CN Benzoic acid, 4-chloro-, 2-phenylhydrazide (9CI) (CA INDEX NAME)



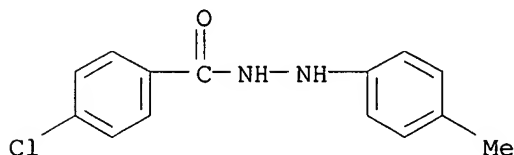
RN 36586-31-9 CAPLUS

CN Benzoic acid, 4-chloro-, 2-(4-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



RN 54812-59-8 CAPLUS

CN Benzoic acid, 4-chloro-, 2-(4-methylphenyl)hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:783866 CAPLUS

DOCUMENT NUMBER: 132:36989

TITLE: Phenylhydrazine derivatives for use in antifouling coatings as antibacterial, antimycotic and algicidal agents

INVENTOR(S): Igarashi, Shinichi; Nishino, Taito; Takeyama, Toshiaki

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---  | ----- | -----           | ----- |



WO 9962335 A1 19991209 WO 1999-JP2934 19990602  
 W: AL, AU, BR, CA, CN, JP, KR, LT, LV, MK, MX, NZ, RO, RU, SG, SI,  
 US, VN  
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE

AU 9940581 A1 19991220 AU 1999-40581 19990602  
 PRIORITY APPLN. INFO.: JP 1998-152585 A 19980602  
 JP 1998-152586 A 19980602  
 WO 1999-JP2934 W 19990602

OTHER SOURCE(S): MARPAT 132:36989

ED Entered STN: 10 Dec 1999

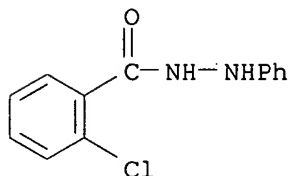
AB The derivs. are compds. WCONHNHR [R = Ph groups which are optionally substituted with halogen, C1-5 (halogenated) alkyl, (halogenated) alkoxy or nitro groups; W = R'(CH<sub>2</sub>)<sub>n</sub>(O)<sub>m</sub> or (optionally substituted) pyrazolyl groups; where R' = R; m, n = 0, 1].

IT 7598-88-1 25957-96-4 36586-31-9  
 36586-32-0 39719-02-3 54812-58-7  
 56049-21-9 56049-28-6 56049-29-7  
 58537-47-6 100716-35-6 105972-71-2  
 107775-54-2 116388-94-4 116388-99-9  
 252258-71-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); TEM (Technical or engineered material use); BIOL (Biological study); USES (Uses) (phenylhydrazine derivs. for use in antifouling coatings as antibacterial, antimycotic and algicidal agents)

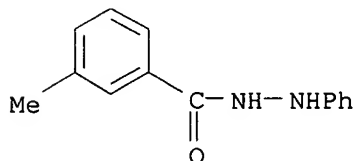
RN 7598-88-1 CAPLUS

CN Benzoic acid, 2-chloro-, 2-phenylhydrazide (9CI) (CA INDEX NAME)



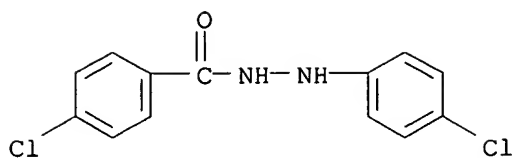
RN 25957-96-4 CAPLUS

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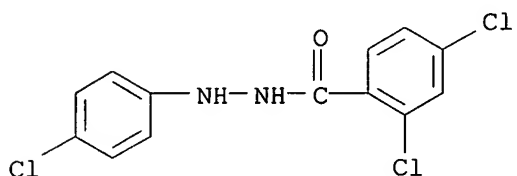
RN 36586-31-9 CAPLUS

CN Benzoic acid, 4-chloro-, 2-(4-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



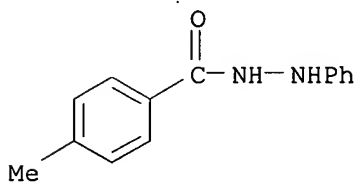
RN 36586-32-0 CAPLUS

CN Benzoic acid, 2,4-dichloro-, 2-(4-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



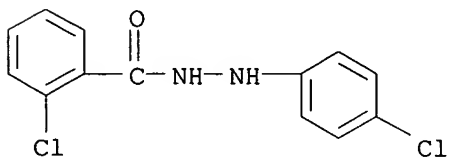
RN 39719-02-3 CAPLUS

CN Benzoic acid, 4-methyl-, 2-phenylhydrazide (9CI) (CA INDEX NAME)



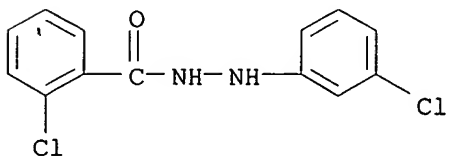
RN 54812-58-7 CAPLUS

CN Benzoic acid, 2-chloro-, 2-(4-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)

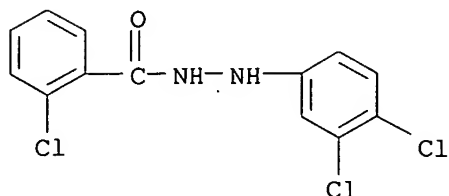


RN 56049-21-9 CAPLUS

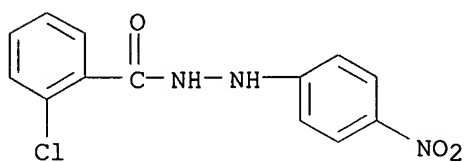
CN Benzoic acid, 2-chloro-, 2-(3-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



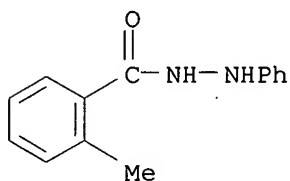
RN 56049-28-6 CAPLUS  
CN Benzoic acid, 2-chloro-, 2-(3,4-dichlorophenyl)hydrazide (9CI) (CA INDEX NAME)



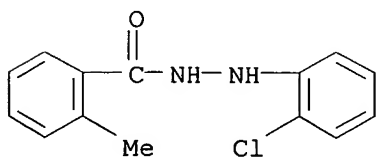
RN 56049-29-7 CAPLUS  
CN Benzoic acid, 2-chloro-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



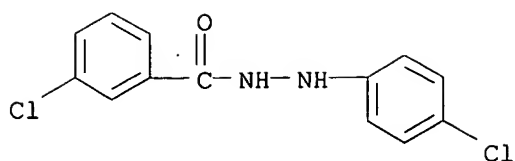
RN 58537-47-6 CAPLUS  
CN Benzoic acid, 2-methyl-, 2-phenylhydrazide (9CI) (CA INDEX NAME)



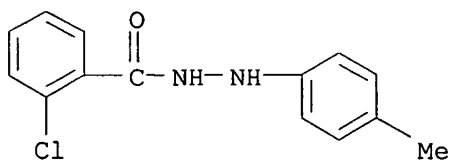
RN 100716-35-6 CAPLUS  
CN Benzoic acid, 2-methyl-, 2-(2-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



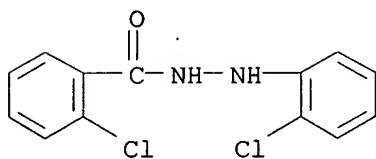
RN 105972-71-2 CAPLUS  
CN Benzoic acid, 3-chloro-, 2-(4-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



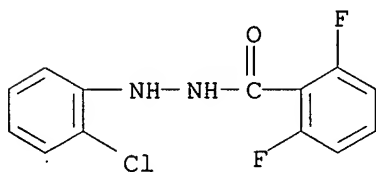
RN 107775-54-2 CAPLUS  
CN Benzoic acid, 2-chloro-, 2-(4-methylphenyl)hydrazide (9CI) (CA INDEX NAME)



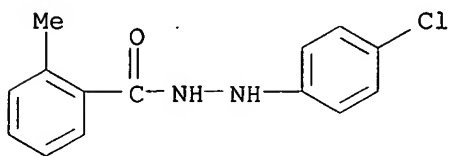
RN 116388-94-4 CAPLUS  
CN Benzoic acid, 2-chloro-, 2-(2-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



RN 116388-99-9 CAPLUS  
CN Benzoic acid, 2,6-difluoro-, 2-(2-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



RN 252258-71-2 CAPLUS  
CN Benzoic acid, 2-methyl-, 2-(4-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1999:487261 CAPLUS  
 DOCUMENT NUMBER: 131:116080  
 TITLE: Organic nitrile derivatives and their use as pesticides  
 INVENTOR(S): Hall, Roger Graham; Steiger, Arthur; Huter, Ottmar Franz; Pascual, Alfons; Kriz, Miroslav; Trah, Stephan  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE       |
|---|------|----------|------------------|------------|
| WO 9937603  | A1   | 19990729 | WO 1999-EP363    | 19990120   |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |            |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |            |
| CA 2316835  | AA   | 19990729 | CA 1999-2316835  | 19990120   |
| AU 9927181  | A1   | 19990809 | AU 1999-27181    | 19990120   |
| AU 744872   | B2   | 20020307 |                  |            |
| BR 9907741  | A    | 20001017 | BR 1999-7741     | 19990120   |
| EP 1049663  | A1   | 20001108 | EP 1999-907393   | 19990120   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |      |          |                  |            |
| JP 2002501038   | T2   | 20020115 | JP 2000-528528   | 19990120   |
| ZA 9900434  | A    | 19990722 | ZA 1999-434      | 19990121   |
| TW 436475   | B    | 20010528 | TW 1999-88100972 | 19990122   |
| PRIORITY APPLN. INFO.:  |      |          | CH 1998-149      | A 19980122 |
|   |      |          | CH 1998-963      | A 19980429 |
|   |      |          | WO 1999-EP363    | W 19990120 |

OTHER SOURCE(S): MARPAT 131:116080

ED Entered STN: 06 Aug 1999

AB Nitriles A1NR2N:CA2CN (I; A1, A2 = aryl, heteroaryl; A1 is substituted with (R3a)n1 and A2 is substituted with (R3b)n2; n1, n2 = 1-4; R3a, R3b = H, halo, alkyl, haloalkyl, NO2, cyano, etc.), having agricultural pesticidal activity, were prepared E.g., ovicidal effect of I on *Heliothis virescens* was determined E.g., 4-{1-[(2,6-dichloro-4-trifluoromethylphenyl)hydrazono]-2-nitriloethyl}nitrobenzene was prepared

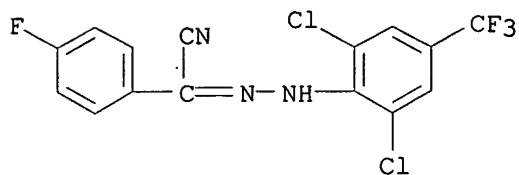
IT 232942-95-9P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and pesticidal activity of organic nitriles)

RN 232942-95-9 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[2,6-dichloro-4-

(trifluoromethyl)phenyl]hydrazono]-4-fluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:87707 CAPLUS

DOCUMENT NUMBER: 128:140515

TITLE: Preparation of pesticidal substituted phenylhydrazonomethylbenzenes

INVENTOR(S): Karrer, Friedrich; Hall, Roger Graham

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Karrer, Friedrich; Hall, Roger Graham

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

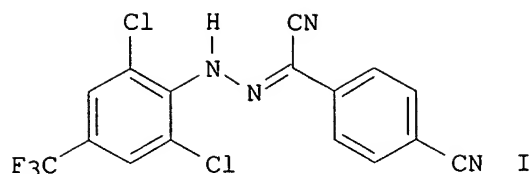
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 9803475  | A1   | 19980129 | WO 1997-EP3772   | 19970715 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
| CA 2260245  | AA   | 19980129 | CA 1997-2260245  | 19970715 |
| AU 9736951  | A1   | 19980210 | AU 1997-36951    | 19970715 |
| AU 721427   | B2   | 20000706 |                  |          |
| CN 1226230  | A    | 19990818 | CN 1997-196694   | 19970715 |
| BR 9711811  | A    | 19990824 | BR 1997-11811    | 19970715 |
| EP 1021398  | A1   | 20000726 | EP 1997-933679   | 19970715 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI   |      |          |                  |          |
| NZ 333863   | A    | 20000929 | NZ 1997-333863   | 19970715 |
| JP 2000514815   | T2   | 20001107 | JP 1998-506523   | 19970715 |
| TW 391859   | B    | 20000601 | TW 1997-86110377 | 19970722 |
| ZA 9706527  | A    | 19980217 | ZA 1997-6527     | 19970723 |
| US 6306798  | B1   | 20011023 | US 1999-230311   | 19990121 |
| US 2001039247   | A1   | 20011108 | US 2001-782629   | 20010213 |
| US 6417386  | B2   | 20020709 |                  |          |

PRIORITY APPLN. INFO.: CH 1996-1853 A 19960724  
 CH 1997-607 A 19970313  
 WO 1997-EP3772 W 19970715  
 US 1999-230311 B3 19990121

OTHER SOURCE(S): MARPAT 128:140515  
 ED Entered STN: 14 Feb 1998

GI



AB The title compds. A1N(R2)N:C(R1)A2 [A1, A2 = substituted mono- or bicyclic aryl or heteroaryl having 1-4 heteroatoms selected from N, O and S; R1 = CN, halo, haloC1-6 alkyl, C(:S)N(R5)2 (wherein R5 = H, C1-8 alkyl); R2 = H, OH, C1-6 alkyl, etc.], useful for pest control, were prepared. Thus, treatment of 4-{1-[(2,6-dichloro-4-trifluoromethylphenyl)hydrazono]-2-nitriloethyl}benzonitrile (preparation described) with NaCN in EtOH/H2O afforded the title compound I which showed activity of > 80% against *Spodoptera littoralis* caterpillars and *Heliothis virescens*.

IT 202274-91-7P 202274-93-9P 202274-94-0P

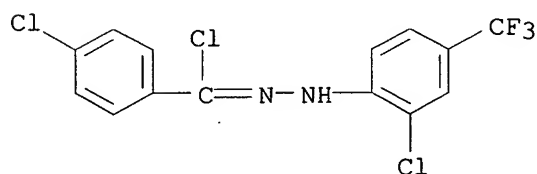
202274-97-3P 202274-99-5P 202275-08-9P

202275-10-3P 202275-26-1P 202275-30-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of pesticidal substituted phenylhydrazonomethylbenzenes)

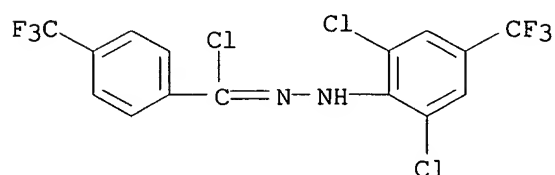
RN 202274-91-7 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-[2-chloro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



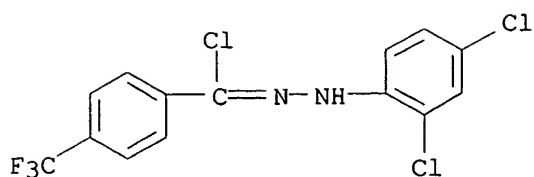
RN 202274-93-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, N-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



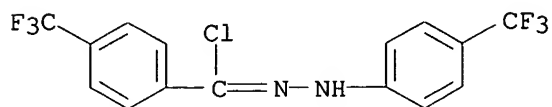
RN 202274-94-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, N-(2,4-dichlorophenyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



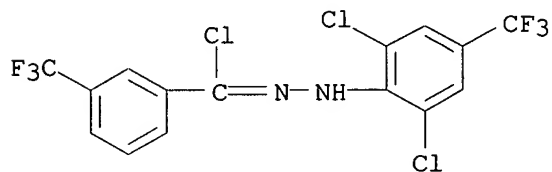
RN 202274-97-3 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-(trifluoromethyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



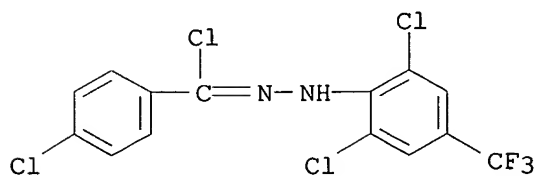
RN 202274-99-5 CAPLUS

CN Benzenecarbohydrazonoyl chloride, N-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



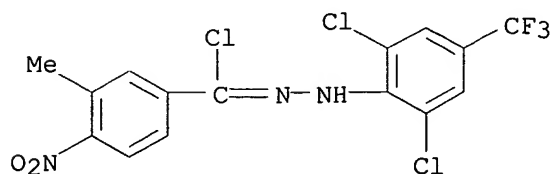
RN 202275-08-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-[2,6-dichloro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 202275-10-3 CAPLUS

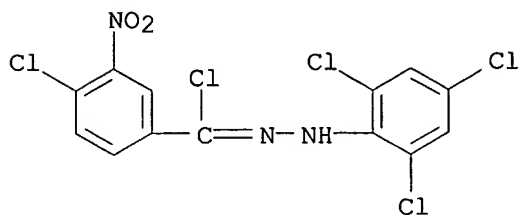
CN Benzenecarbohydrazonoyl chloride, N-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)



RN 202275-26-1 CAPLUS

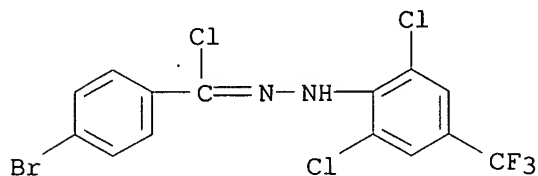


CN Benzenecarbohydrazonoyl chloride, 4-chloro-3-nitro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



RN 202275-30-7 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-bromo-N-[2,6-dichloro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

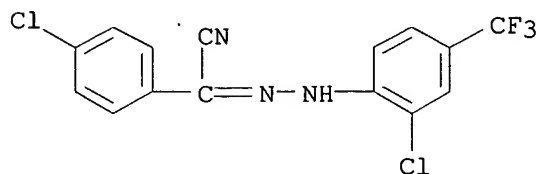


IT 202275-36-3P 202275-38-5P 202275-40-9P  
 202275-42-1P 202275-43-2P 202275-45-4P  
 202275-46-5P 202275-47-6P 202275-49-8P  
 202275-50-1P 202275-52-3P 202275-54-5P  
 202275-55-6P 202275-56-7P 202275-57-8P  
 202275-58-9P 202275-60-3P 202275-71-6P  
 202275-87-4P 202275-89-6P 202275-91-0P  
 202276-09-3P 202276-10-6P 202276-24-2P  
 202276-30-0P 202276-48-0P 202276-69-5P  
 202277-13-2P 202277-14-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pesticidal substituted phenylhydrazonomethylbenzenes)

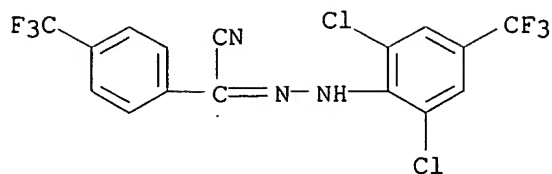
RN 202275-36-3 CAPLUS

CN Benzeneacetonitrile, 4-chloro- $\alpha$ -[[2-chloro-4-(trifluoromethyl)phenyl]hydrazono]- (9CI) (CA INDEX NAME)



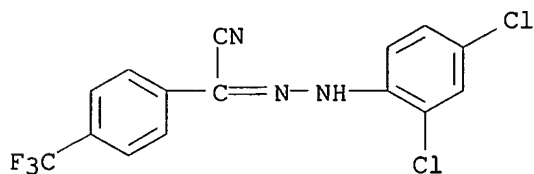
RN 202275-38-5 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



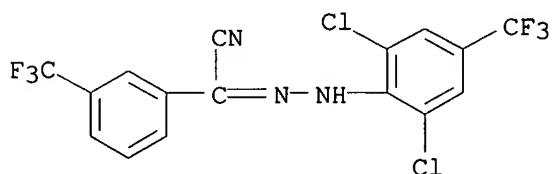
RN 202275-40-9 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[(2,4-dichlorophenyl)hydrazono]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



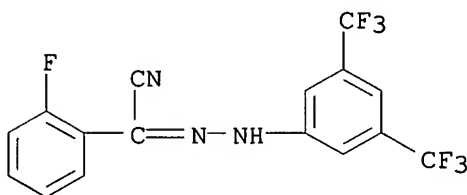
RN 202275-42-1 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



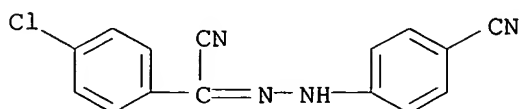
RN 202275-43-2 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[3,5-bis(trifluoromethyl)phenyl]hydrazono]-2-fluoro- (9CI) (CA INDEX NAME)

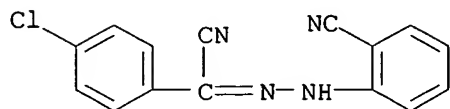


RN 202275-45-4 CAPLUS

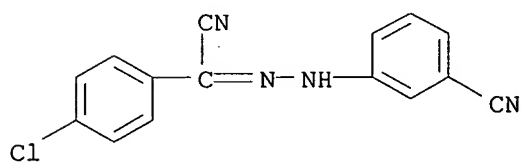
CN Benzeneacetonitrile, 4-chloro- $\alpha$ -[(4-cyanophenyl)hydrazono]- (9CI) (CA INDEX NAME)



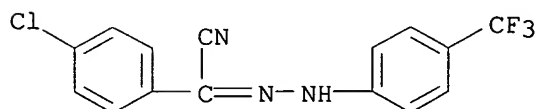
RN 202275-46-5 CAPLUS  
 CN Benzeneacetonitrile, 4-chloro- $\alpha$ -[(2-cyanophenyl)hydrazono]- (9CI)  
 (CA INDEX NAME)



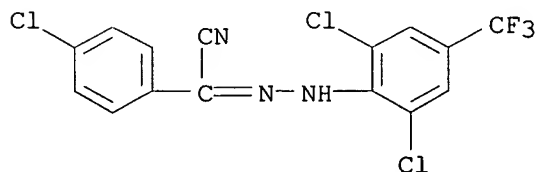
RN 202275-47-6 CAPLUS  
 CN Benzeneacetonitrile, 4-chloro- $\alpha$ -[(3-cyanophenyl)hydrazono]- (9CI)  
 (CA INDEX NAME)



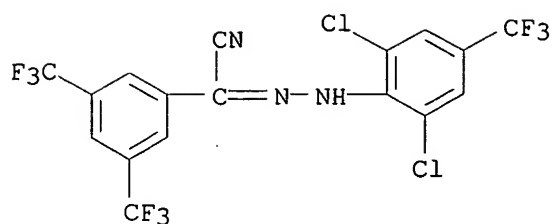
RN 202275-49-8 CAPLUS  
 CN Benzeneacetonitrile, 4-chloro- $\alpha$ -[[4-(trifluoromethyl)phenyl]hydrazono]- (9CI) (CA INDEX NAME)



RN 202275-50-1 CAPLUS  
 CN Benzeneacetonitrile, 4-chloro- $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]- (9CI) (CA INDEX NAME)

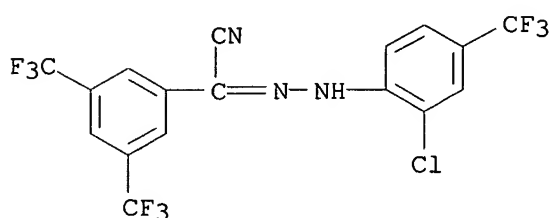


RN 202275-52-3 CAPLUS  
 CN Benzeneacetonitrile,  $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



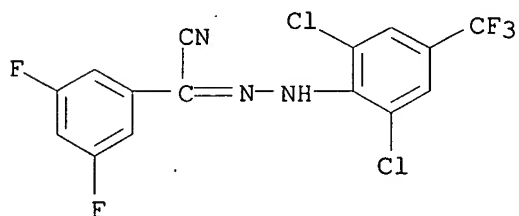
RN 202275-54-5 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[2-chloro-4-(trifluoromethyl)phenyl]hydrazono]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



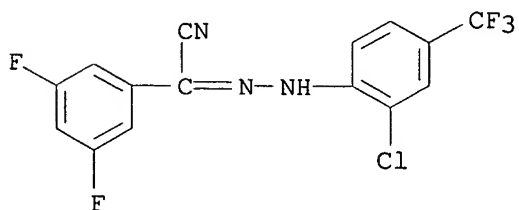
RN 202275-55-6 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-3,5-difluoro- (9CI) (CA INDEX NAME)



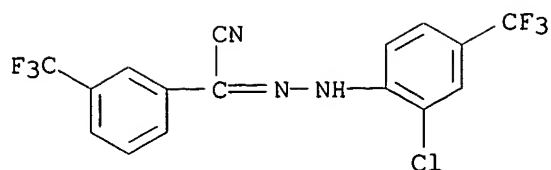
RN 202275-56-7 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[2-chloro-4-(trifluoromethyl)phenyl]hydrazono]-3,5-difluoro- (9CI) (CA INDEX NAME)



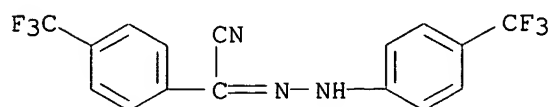
RN 202275-57-8 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[[2-chloro-4-(trifluoromethyl)phenyl]hydrazono]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



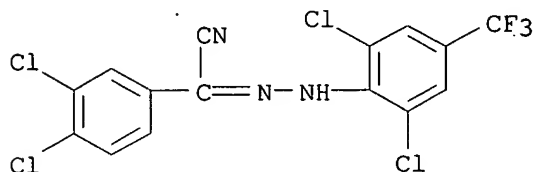
RN 202275-58-9 CAPLUS

CN Benzeneacetonitrile, 4-(trifluoromethyl)-α-[[4-(trifluoromethyl)phenyl]hydrazono]- (9CI) (CA INDEX NAME)



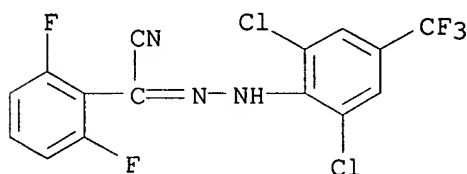
RN 202275-60-3 CAPLUS

CN Benzeneacetonitrile, 3,4-dichloro-α-[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]- (9CI) (CA INDEX NAME)



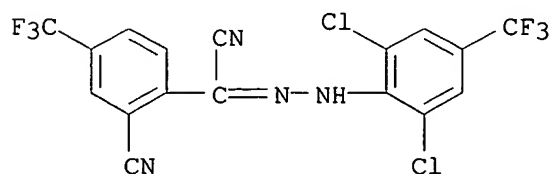
RN 202275-71-6 CAPLUS

CN Benzeneacetonitrile, α-[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-2,6-difluoro- (9CI) (CA INDEX NAME)

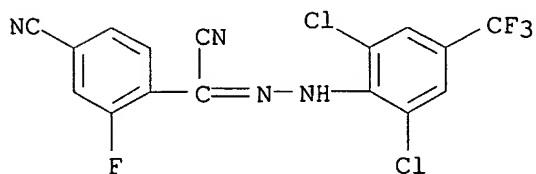


RN 202275-87-4 CAPLUS

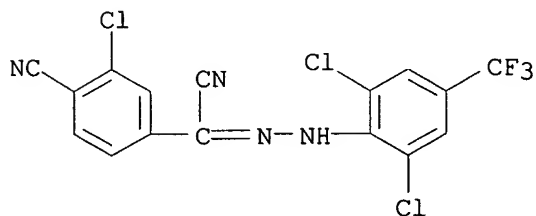
CN Benzeneacetonitrile, 2-cyano-α-[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



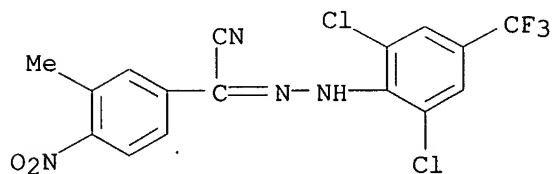
RN 202275-89-6 CAPLUS  
CN Benzeneacetonitrile, 4-cyano- $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-2-fluoro- (9CI) (CA INDEX NAME)



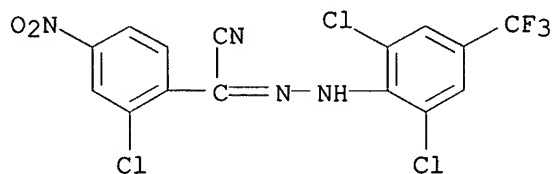
RN 202275-91-0 CAPLUS  
CN Benzeneacetonitrile, 3-chloro-4-cyano- $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]- (9CI) (CA INDEX NAME)



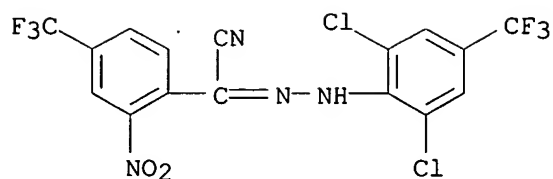
RN 202276-09-3 CAPLUS  
CN Benzeneacetonitrile,  $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-3-methyl-4-nitro- (9CI) (CA INDEX NAME)



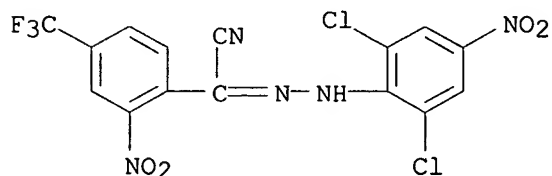
RN 202276-10-6 CAPLUS  
CN Benzeneacetonitrile, 2-chloro- $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-4-nitro- (9CI) (CA INDEX NAME)



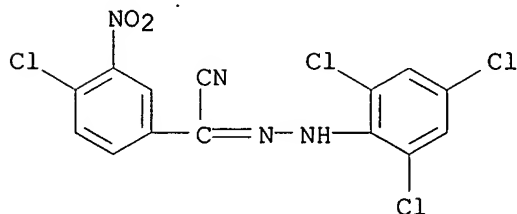
RN 202276-24-2 CAPLUS  
CN Benzeneacetonitrile,  $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]-2-nitro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



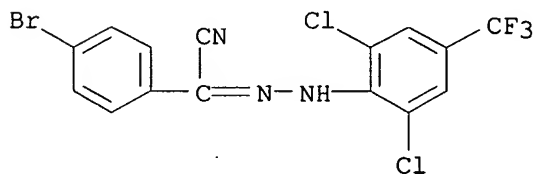
RN 202276-30-0 CAPLUS

CN Benzeneacetonitrile,  $\alpha$ -[(2,6-dichloro-4-nitrophenyl)hydrazono]-2-nitro-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 202276-48-0 CAPLUS

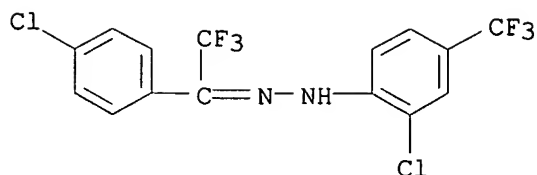
CN Benzeneacetonitrile, 4-chloro-3-nitro- $\alpha$ -[(2,4,6-trichlorophenyl)hydrazono]- (9CI) (CA INDEX NAME)

RN 202276-69-5 CAPLUS

CN Benzeneacetonitrile, 4-bromo- $\alpha$ -[[2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazono]- (9CI) (CA INDEX NAME)

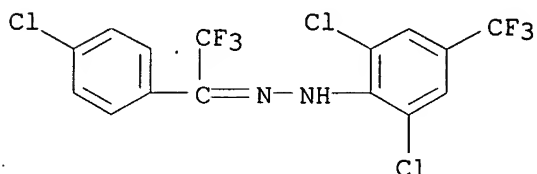
RN 202277-13-2 CAPLUS

CN Ethanone, 1-(4-chlorophenyl)-2,2,2-trifluoro-, [2-chloro-4-(trifluoromethyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



RN 202277-14-3 CAPLUS

CN Ethanone, 1-(4-chlorophenyl)-2,2,2-trifluoro-, [2,6-dichloro-4-(trifluoromethyl)phenyl]hydrazone (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:589253 CAPLUS

DOCUMENT NUMBER: 123:297

TITLE: Some aryl semicarbazones possessing anticonvulsant activities

AUTHOR(S): Dimmock, J. R.; Sidhu, K. K.; Tumber, S. D.; Basran, S. K.; Chen, M.; Quail, J. W.; Yang, J.; Rozas, I.; Weaver, D. F.

CORPORATE SOURCE: College Pharmacy Nutrition, Univ. Saskatchewan, Saskatoon, S7N 0W0, Can.

SOURCE: European Journal of Medicinal Chemistry (1995), 30(4), 287-301

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:297

ED Entered STN: 03 Jun 1995

AB A number of aryl semicarbazones were prepared and displayed anticonvulsant activity in the maximal electroshock (MES) and s.c. pentylenetetrazole (scPTZ) screens when administered i.p. to mice. When the compds. were given by the oral route to rats, protection was afforded in the MES but not scPTZ tests. Correlations were noted between the activities in the rat oral MES screen and the  $\sigma$  and  $\sigma^*$  values of the aryl substituents, the interplanar angles made by the aryl rings with the adjacent carbimino groups and the shapes of certain semicarbazones determined by x-ray crystallog. Mol. modeling studies revealed a number of descriptors which contributed to anticonvulsant activity.

IT 16917-42-3P

RL: BAC (Biological activity or effector, except adverse); BSU

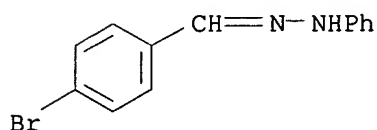
(Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(preparation and mol. modeling and QSAR studies of aryl semicarbazones as anticonvulsants)



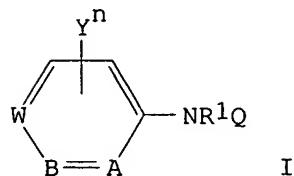
RN 16917-42-3 CAPLUS  
 CN Benzaldehyde, 4-bromo-, phenylhydrazone (9CI) (CA INDEX NAME)



L63 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1994:502030 CAPLUS  
 DOCUMENT NUMBER: 121:102030  
 TITLE: N-arylhydrazine derivatives as insecticides and acaricides.  
 INVENTOR(S): Furch, Joseph Augustus; Kuhn, David George; Hunt, David Allen; Lew, Albert Chieh; Gronostajski, Cynthia Emma  
 PATENT ASSIGNEE(S): American Cyanamid Co., USA  
 SOURCE: Eur. Pat. Appl., 50 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE        |
|---|------|----------|-----------------|-------------|
| EP 604798   | A1   | 19940706 | EP 1993-119754  | 19931208    |
| EP 604798   | B1   | 20020220 |                 |             |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          |                 |             |
| US 5420165  | A    | 19950530 | US 1992-998105  | 19921229    |
| AT 213387   | E    | 20020315 | AT 1993-119754  | 19931208    |
| ES 2173088  | T3   | 20021016 | ES 1993-119754  | 19931208    |
| CZ 286479   | B6   | 20000412 | CZ 1993-2808    | 19931217    |
| AU 9352679  | A1   | 19940714 | AU 1993-52679   | 19931224    |
| AU 675253   | B2   | 19970130 |                 |             |
| RO 113556   | B1   | 19980828 | RO 1993-1796    | 19931227    |
| SK 281733   | B6   | 20010710 | SK 1993-1484    | 19931227    |
| IL 108188   | A1   | 20011125 | IL 1993-108188  | 19931227    |
| CN 1089938  | A    | 19940727 | CN 1993-121610  | 19931228    |
| CN 1044600  | B    | 19990811 |                 |             |
| ZA 9309740  | A    | 19940818 | ZA 1993-9740    | 19931228    |
| JP 06293605   | A2   | 19941021 | JP 1993-350030  | 19931228    |
| BR 9305254  | A    | 19941101 | BR 1993-5254    | 19931228    |
| HU 67294  | A2   | 19950328 | HU 1993-3772    | 19931228    |
| PL 175499   | B1   | 19990129 | PL 1993-317481  | 19931228    |
| PL 176108   | B1   | 19990430 | PL 1993-301659  | 19931228    |
| RU 2140738  | C1   | 19991110 | RU 1993-56849   | 19931228    |
| CA 2112420  | AA   | 19940630 | CA 1994-2112420 | 19940121    |
| US 5585389  | A    | 19961217 | US 1995-431227  | 19950428    |
| US 5646278  | A    | 19970708 | US 1995-431154  | 19950428    |
| US 5693860  | A    | 19971202 | US 1995-430631  | 19950428    |
| JP 2005263809   | A2   | 20050929 | JP 2005-134574  | 20050502    |
| PRIORITY APPLN. INFO.:  |      |          | US 1992-998101  | A 19921229  |
|   |      |          | US 1992-998104  | A 19921229  |
|   |      |          | US 1992-998105  | A 19921229  |
|   |      |          | JP 1993-350030  | A3 19931228 |

OTHER SOURCE(S): MARPAT 121:102030  
 ED Entered STN: 03 Sep 1994  
 GI



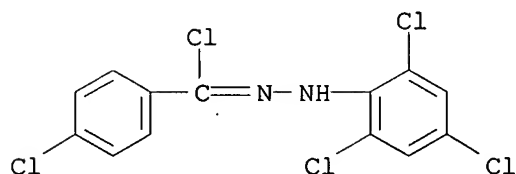
AB The N-arylhydrazine derivs. I [A,B,W=N,CR<sub>4</sub>;Y=halo,CN,NO<sub>2</sub>,(halo)alkyl,(halo)alkoxy;n=0,1,2;Q=NR<sub>2</sub>CRO,N:CRX<sub>1</sub>,N:CR(NR<sub>3</sub>R<sub>4</sub>);R=H,(halo)alkyl,cycloalkyl,(halo)alkoxy,etc.;R<sub>1</sub>,R<sub>2</sub>=H,alkyl;R<sub>3</sub>,R<sub>4</sub>=H,(un)substituted alkyl,Ph or pyridyl,etc.] are prepared as acaricides and insecticides. Treatment of 2,6-dichloro-4-(trifluoromethyl)phenylhydrazine with trimethylacetyl chloride, in Cl<sub>2</sub>CH<sub>2</sub>, gave 2,2-dimethylpropionic acid 2-(2,6-dichloro- $\alpha,\alpha,\alpha$ -trifluoro-p-tolyl)hydrazide (II). Lima bean leaves dipped in 300 ppm II were lethal to Southern armyworm (Spodoptera eridania) 3rd instar larvae.

IT 25939-04-2P 156819-35-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as acaricide and insecticide)

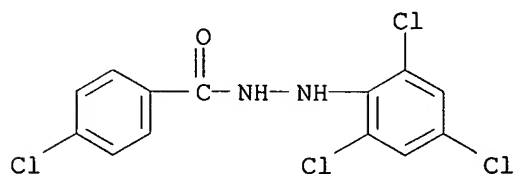
RN 25939-04-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-(2,4,6-trichlorophenyl)-(9CI) (CA INDEX NAME)



RN 156819-35-1 CAPLUS

CN Benzoic acid, 4-chloro-, 2-(2,4,6-trichlorophenyl)hydrazide (9CI) (CA INDEX NAME)



L63 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

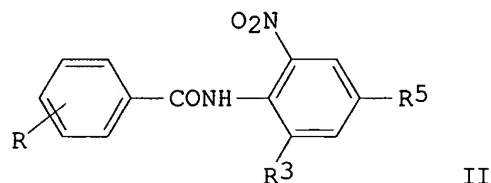
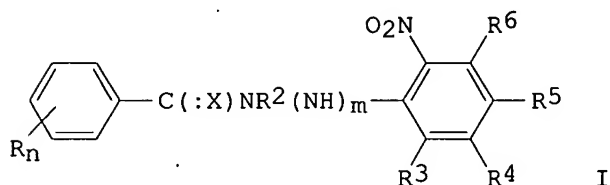
ACCESSION NUMBER: 1990:76626 CAPLUS

DOCUMENT NUMBER: 112:76626

TITLE: Preparation of substituted benzanilides and analogs as

pesticides  
 INVENTOR(S): Kern, Manfred; Knauf, Werner; Matterstock, Karl;  
 Sachse, Burkhard; Schmidt, Ernst; Schuck, Ernst;  
 Waltersdorfer, Anna; Wicke, Heinrich  
 PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 18 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.                    | KIND | DATE     | APPLICATION NO.  | DATE       |
|-------------------------------|------|----------|------------------|------------|
| DE 3802175                    | A1   | 19890803 | DE 1988-3802175  | 19880126   |
| EP 325983                     | A2   | 19890802 | EP 1989-100672   | 19890117   |
| R: CH, DE, ES, FR, GB, IT, LI |      |          |                  |            |
| BR 8900301                    | A    | 19890919 | BR 1989-301      | 19890125   |
| JP 02001441                   | A2   | 19900105 | JP 1989-14237    | 19890125   |
| CN 1037143                    | A    | 19891115 | CN 1989-100451   | 19890126   |
| PRIORITY APPLN. INFO.:        |      |          | DE 1988-3802175  | A 19880126 |
| OTHER SOURCE(S):              |      |          | MARPAT 112:76626 |            |
| ED Entered STN: 03 Mar 1990   |      |          |                  |            |
| GI                            |      |          |                  |            |



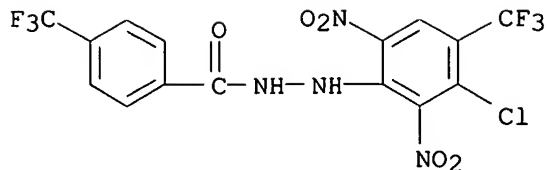
AB The title compds. (I; X = O, S, R1ON; R = H, halo, cyano, NO2, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, SCC13; R3, R5 = NO2, halo, cyano, CO2H, etc.; R4 = H, halo; R6 = H, halo, C1-4 alkoxy, PhO; m = 0, 1; n = 0-5) were prepared. Thus, 2-(AcO)C6H4CONH2 was stirred 2 h at 0° and 12 h at room temperature with 2-chloro-3,5-dinitrobenzotrifluoride in THF containing KOH to give title compound II (R = 2-AcO; R3 = CF3; R5 = NO2).

II (R = 4-CF3, R3 = NO2, R5 = CF3) gave 100% inhibition of *Plasmopara viticola* on grape seedlings when sprayed at 125 mg/L.

IT **125000-13-7P 125000-16-0P**  
 RL: AGR (Agricultural use); **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pesticide)

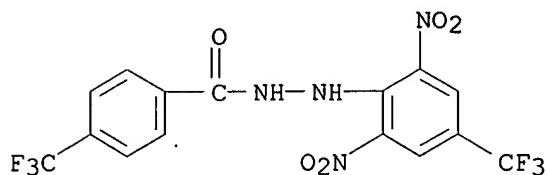
RN 125000-13-7 CAPLUS

CN Benzoic acid, 4-(trifluoromethyl)-, 2-[3-chloro-2,6-dinitro-4-(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



RN 125000-16-0 CAPLUS

CN Benzoic acid, 4-(trifluoromethyl)-, 2-[2,6-dinitro-4-(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



L63 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:548707 CAPLUS

DOCUMENT NUMBER: 111:148707

TITLE: Studies on fungicidal pyrimidinylhydrazones. I.  
Fungicidal activity of aromatic aldehyde  
pyrimidinylhydrazones

AUTHOR(S): Konishi, Kazuo; Kuragano, Takashi; Tsujikawa, Teruaki

CORPORATE SOURCE: Agro Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan

SOURCE: Nippon Noyaku Gakkaishi (1989), 14(2), 189-96

CODEN: NNGADV; ISSN: 0385-1559

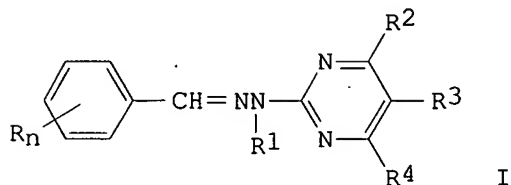
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:148707

ED Entered STN: 28 Oct 1989

GI



AB Pyrimidinylhydrazones (I, R = H, Cl, anthryl, SCH2Ph, Me, etc.; R1 = H, Ac, Me; R2 = Me, H; R3 = H, Me, Et; R4 = H, alkyl, CF3, Cl, MeO, EtO; n = 1-4) were prepared by the condensation of aromatic aldehydes with pyrimidinylhydrazines or by the reaction of aralkylideneaminoguanidines with  $\beta$ -dicarbonyl compds. and their fungicidal activity against *Pyricularia oryzae*, *Helminthosporium oryzae* and *H. sigmoideum irregulare* related to their structures. Aryl and other heteroarylhydrazones were

also prepared and their fungicidal activity compared with I. A pyrimidinylhydrazone function was a requisite for fungicidal activity, as shown by the loss of activity when 2-pyrimidinylhydrazine was replaced by aromatic or other heteroarom. hydrazines. Covering the hydrazine proton by N-acetylation or N-methylation did not attenuate the activity. Steric congestion near the hydrazone bond increased activity.

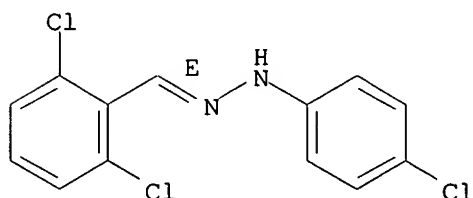
IT 123024-14-6P

RL: AGR (Agricultural use); **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and fungicidal activity of, structure in relation to)

RN 123024-14-6 CAPLUS

CN Benzaldehyde, 2,6-dichloro-, (4-chlorophenyl)hydrazone, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L63 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:111581 CAPLUS

DOCUMENT NUMBER: 110:111581

TITLE: The antiviral effect of some substituted  $\alpha$ -keto hydrazidoyl bromides

AUTHOR(S): Habib, Hala M.

CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt

SOURCE: Egyptian Journal of Microbiology (1988), Volume Date 1987, 22(1), 129-42

CODEN: EJ MBA2; ISSN: 0301-8172

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 03 Apr 1989

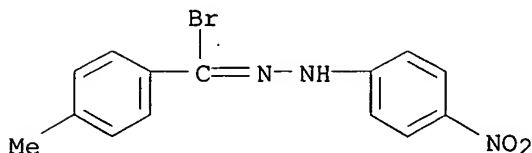
AB The antiviral activity of a series of  $\alpha$ -keto hydrazidoyl bromides was investigated. All compds. reduced the number of local lesions induced by tomato mosaic virus on detached Datura metel leaves.

IT 1090-89-7 40394-52-3

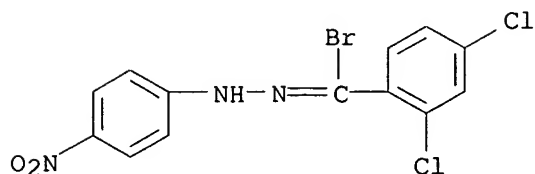
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study) (tomato mosaic virus sensitivity to)

RN 1090-89-7 CAPLUS

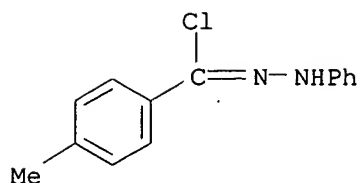
CN Benzenecarbohydrazonoyl bromide, 4-methyl-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



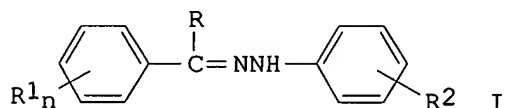
RN 40394-52-3 CAPLUS  
 CN Benzenecarbohydrazonoyl bromide, 2,4-dichloro-N-(4-nitrophenyl)- (9CI)  
 (CA INDEX NAME)



L63 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1988:485599 CAPLUS  
 DOCUMENT NUMBER: 109:85599  
 TITLE: Development of a novel in vitro equine anthelmintic assay  
 AUTHOR(S): Folz, S. D.; Pax, R. A.; Klei, T. R.; Thomas, E. M.;  
 Ash, K. A.; Conder, G. A.; Bennett, J. L.  
 CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI, 49001, USA  
 SOURCE: Journal of Veterinary Pharmacology and Therapeutics  
 (1988), 11(2), 177-82  
 CODEN: JVPTD9; ISSN: 0140-7783  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 17 Sep 1988  
 AB An in vitro assay involving the use of a horse strongyle (*Strongylus edentatus*) and the micromotility meter was developed to test for equine anthelmintic activity. Three com. available equine anthelmintics (dichlorvos, ivermectin, and pyrantel pamoate) and an investigational drug (p-toluoyl chloride phenylhydrazone) were evaluated in this assay at 4 concns. After a 24-h incubation,  $\geq 10$   $\mu\text{g/mL}$  of all 4 drugs reduced the motility of ensheathed *S. edentatus* larvae, thereby indicating anthelmintic activity. Pyrantel pamoate also reduced motility at 1  $\mu\text{g/mL}$ , while the hydrazone significantly increased movement at this level. At 0.1  $\mu\text{g/mL}$ , none of the compds. reduced motility; dichlorvos increased larval motility. Incubation for 48 h resulted in significant activity (reduction in motility) at  $\geq 1$   $\mu\text{g/mL}$  with 2 drugs (ivermectin, pyrantel pamoate); dichlorvos and the hydrazone reduced motility at  $\geq 10$   $\mu\text{g/mL}$ . None of the treatments reduced motility at the lowest concentration (0.1  $\mu\text{g/mL}$ ); however, at 48 h, 2 compds. (dichlorvos, hydrazone) increased motility at the lowest concentration (0.1  $\mu\text{g/mL}$ ). The in vitro *S. edentatus* motility assay proved to be sensitive, accurate and rapid. This assay system should be a valuable addition to tests used to identify potential equine anthelmintics, monitor helminth resistance to drugs, and perhaps define the kinetics and mode of action of drugs.  
 IT 25939-01-9, p-Toluoyl chloride phenylhydrazone  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anthelmintic activity of, in horse, method for evaluation of)  
 RN 25939-01-9 CAPLUS  
 CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L63 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1981:208482 CAPLUS  
 DOCUMENT NUMBER: 94:208482  
 TITLE: Structure-activity relationships in a broad-spectrum anthelmintic series. Acid chloride phenylhydrazones. I. Aryl substitutions and chloride variations  
 AUTHOR(S): Rector, Douglas L.; Folz, S. D.; Conklin, R. D.; Nowakowski, L. H.; Kaugars, Girts  
 CORPORATE SOURCE: Agric. Res. Dev., Upjohn Co., Kalamazoo, MI, 49001, USA  
 SOURCE: Journal of Medicinal Chemistry (1981), 24(5), 532-8  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 94:208482  
 ED Entered STN: 12 May 1984  
 GI



AB Phenylhydrazones I [R = Me, CF<sub>3</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>; R<sub>n1</sub> = Me, NO<sub>2</sub>, halo, (MeO)<sub>2</sub>, CF<sub>3</sub>, alkoxy, cyano, (OH)Me<sub>2</sub>, H, Cl<sub>2</sub>, F<sub>5</sub>, MeS; R<sub>2</sub> = Me, Br, Cl<sub>n</sub> (n = 1-3), H, (NO<sub>2</sub>)<sub>n</sub> (n = 1, 2), Br<sub>n</sub> (n = 1, 2)] were prepared from the phenylhydrazine and the aldehyde or ketone. I (R = Cl) were prepared either by chlorination of the benzaldehyde hydrazone or treating the benzhydrazide with PCl<sub>5</sub>. Also prepared were 2,4-dichlorophenylglyoxal bis(dichlorophenylhydrazones). These compds. were screened for anthelmintic activity. I (R = Cl) were active. In this series, superior anthelmintic activity was shown by I [R = Cl, R<sub>1</sub> = m- and(or) p-halo, alkoxy, alkyl, and alkylthio]. I (R = Me, CF<sub>3</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>, Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>NHN:CH) lacked activity as compared with I (R = Cl).

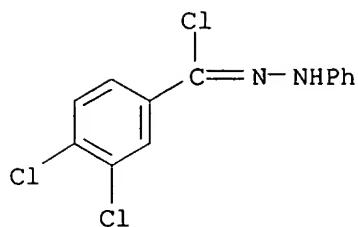
IT 25938-99-2 25939-06-4 25939-08-6  
 25939-10-0 25939-12-2 25939-16-6  
 25939-18-8 25939-19-9 25995-92-0  
 36457-11-1 36590-47-3 36590-52-0  
 50656-07-0 50656-24-1 50656-30-9  
 50802-13-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anthelmintic activity of)

RN 25938-99-2 CAPLUS

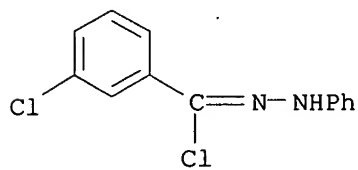
CN Benzenecarbohydrazonoyl chloride, 3,4-dichloro-N-phenyl- (9CI) (CA INDEX

NAME)



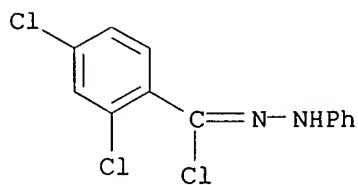
RN 25939-06-4 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-chloro-N-phenyl- (9CI) (CA INDEX NAME)



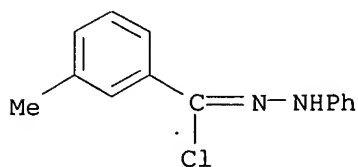
RN 25939-08-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,4-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



RN 25939-10-0 CAPLUS

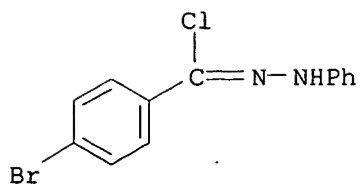
CN Benzenecarbohydrazonoyl chloride, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 25939-12-2 CAPLUS

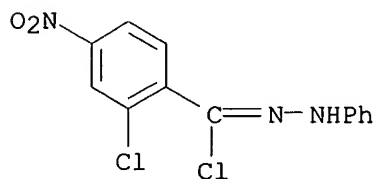
CN Benzenecarbohydrazonoyl chloride, 4-bromo-N-phenyl- (9CI) (CA INDEX NAME)





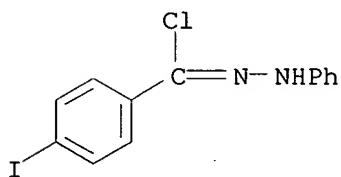
RN 25939-16-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2-chloro-4-nitro-N-phenyl- (9CI) (CA INDEX NAME)



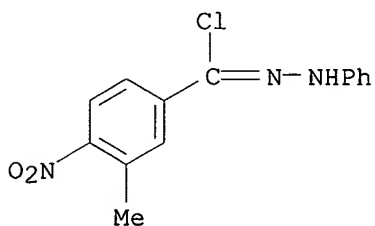
RN 25939-18-8 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-iodo-N-phenyl- (9CI) (CA INDEX NAME)



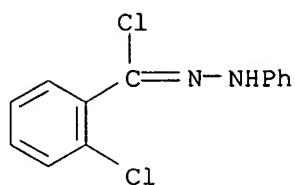
RN 25939-19-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-methyl-4-nitro-N-phenyl- (9CI) (CA INDEX NAME)



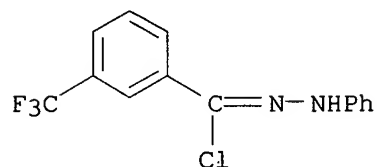
RN 25995-92-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2-chloro-N-phenyl- (9CI) (CA INDEX NAME)



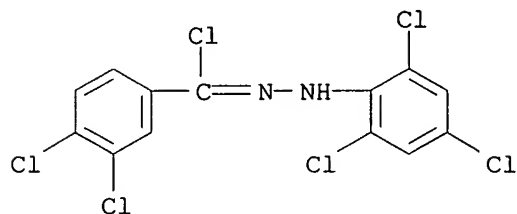
RN 36457-11-1 CAPLUS

CN Benzenecarbohydrazonoyl chloride, N-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



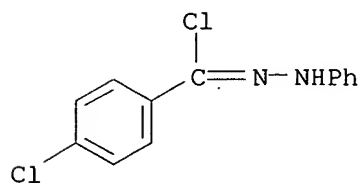
RN 36590-47-3 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3,4-dichloro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



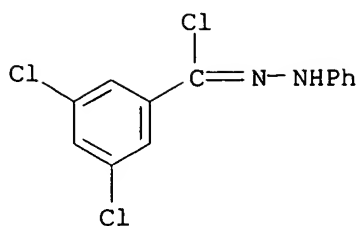
RN 36590-52-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-phenyl- (9CI) (CA INDEX NAME)

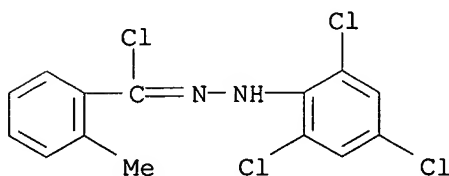


RN 50656-07-0 CAPLUS

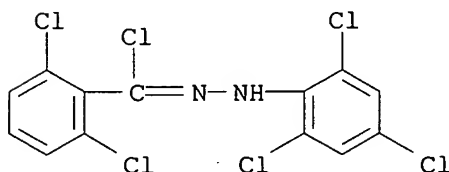
CN Benzenecarbohydrazonoyl chloride, 3,5-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



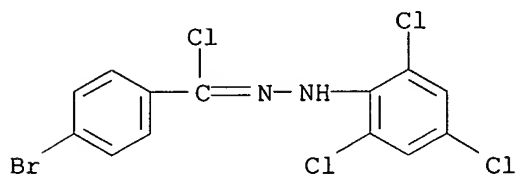
RN 50656-24-1 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2-methyl-N-(2,4,6-trichlorophenyl)-  
(9CI) (CA INDEX NAME)

RN 50656-30-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,6-dichloro-N-(2,4,6-trichlorophenyl)-  
(9CI) (CA INDEX NAME)

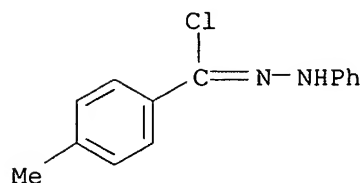
RN 50802-13-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-bromo-N-(2,4,6-trichlorophenyl)- (9CI)  
(CA INDEX NAME)IT 25939-01-9P 50656-23-0P 77635-35-9P  
77635-36-0P 77635-37-1P 77635-54-2P  
77635-55-3P 77635-56-4P 77635-63-3P  
77635-64-4PRL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation and anthelmintic activity of)

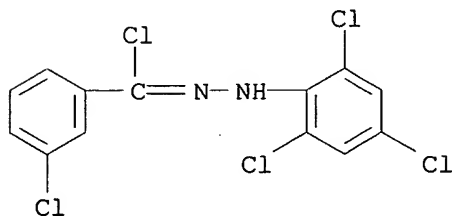
RN 25939-01-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



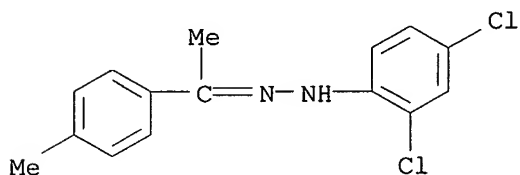
RN 50656-23-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-chloro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



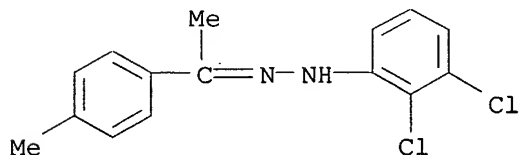
RN 77635-35-9 CAPLUS

CN Ethanone, 1-(4-methylphenyl)-, (2,4-dichlorophenyl)hydrazone (9CI) (CA INDEX NAME)



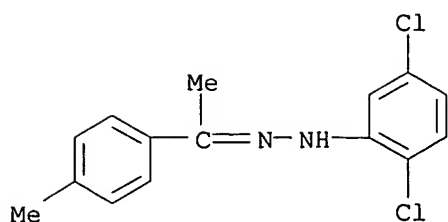
RN 77635-36-0 CAPLUS

CN Ethanone, 1-(4-methylphenyl)-, (2,3-dichlorophenyl)hydrazone (9CI) (CA INDEX NAME)

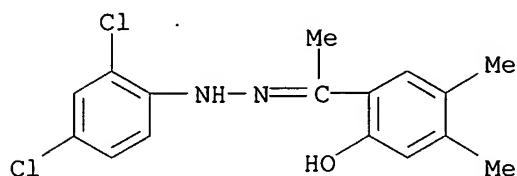


RN 77635-37-1 CAPLUS

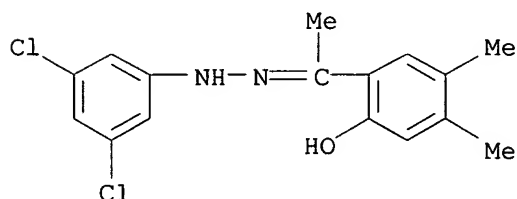
CN Ethanone, 1-(4-methylphenyl)-, (2,5-dichlorophenyl)hydrazone (9CI) (CA INDEX NAME)



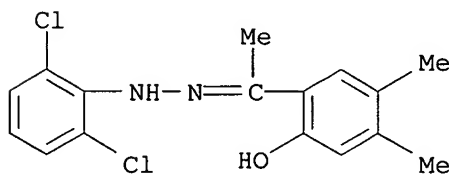
RN 77635-54-2 CAPLUS  
 CN Ethanone, 1-(2-hydroxy-4,5-dimethylphenyl)-, (2,4-dichlorophenyl)hydrazone  
 (9CI) (CA INDEX NAME)



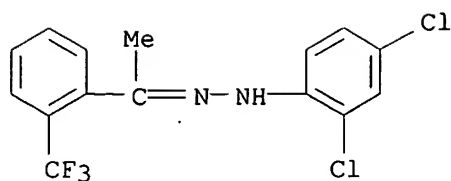
RN 77635-55-3 CAPLUS  
 CN Ethanone, 1-(2-hydroxy-4,5-dimethylphenyl)-, (3,5-dichlorophenyl)hydrazone  
 (9CI) (CA INDEX NAME)



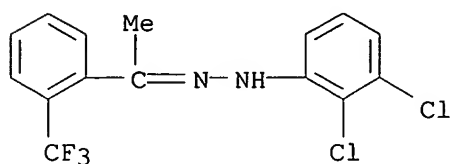
RN 77635-56-4 CAPLUS  
 CN Ethanone, 1-(2-hydroxy-4,5-dimethylphenyl)-, (2,6-dichlorophenyl)hydrazone  
 (9CI) (CA INDEX NAME)



RN 77635-63-3 CAPLUS  
 CN Ethanone, 1-[2-(trifluoromethyl)phenyl]-, (2,4-dichlorophenyl)hydrazone  
 (9CI) (CA INDEX NAME)



RN 77635-64-4 CAPLUS

CN Ethanone, 1-[2-(trifluoromethyl)phenyl]-, (2,3-dichlorophenyl)hydrazone  
(9CI) (CA INDEX NAME)

L63 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1978:443103 CAPLUS

DOCUMENT NUMBER: 89:43103

TITLE: Arylhydrazone derivatives useful in biocidal compositions

INVENTOR(S): Clark, Michael Thomas; Ten Haken, Pieter

PATENT ASSIGNEE(S): Shell Internationale Research Maatschappij B. V.,  
Neth.

SOURCE: Ger. Offen., 34 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

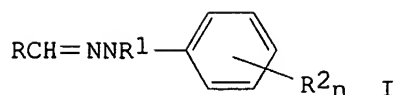
| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|-------------|------|----------|-----------------|------------|
| DE 2744385  | A1   | 19780406 | DE 1977-2744385 | 19771003   |
| DE 2744385  | C2   | 19861218 |                 |            |
| GB 1592851  | A    | 19810708 | GB 1976-41300   | 19761005   |
| BE 859314   | A1   | 19780403 | BE 1977-181401  | 19771003   |
| SE 7711055  | A    | 19780406 | SE 1977-11055   | 19771003   |
| JP 53046931 | A2   | 19780427 | JP 1977-117983  | 19771003   |
| JP 62009084 | B4   | 19870226 |                 |            |
| FR 2367056  | A1   | 19780505 | FR 1977-29680   | 19771003   |
| FR 2367056  | B1   | 19801024 |                 |            |
| BR 7706586  | A    | 19780606 | BR 1977-6586    | 19771003   |
| DD 132289   | C    | 19780920 | DD 1977-201318  | 19771003   |
| ES 462862   | A1   | 19781216 | ES 1977-462862  | 19771003   |
| CH 633675   | A    | 19821231 | CH 1977-12073   | 19771003   |
| NL 7710838  | A    | 19780407 | NL 1977-10838   | 19771004   |
| AU 7729339  | A1   | 19790412 | AU 1977-29339   | 19771004   |
| ZA 7705875  | A    | 19780530 | ZA 1977-5875    | 19771023   |
|             |      |          | GB 1976-41300   | A 19761005 |

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): CASREACT 89:43103

ED Entered STN: 12 May 1984

GI



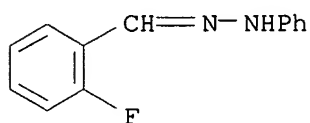
AB Hydrazones I (R = Ph, pyridyl, thienyl, pyrrolyl, furyl, optionally substituted by halogen, alkyl, alkoxy, NO<sub>2</sub>, OCH<sub>2</sub>O; R<sub>1</sub> = H, CHO; R<sub>2</sub> = halogen, NO<sub>2</sub>, alkyl, alkoxy; n = 0-2) (63 compds.) were prepared. Thus, 2-thiophenecarboxaldehyde was treated with 4-ClC<sub>6</sub>H<sub>4</sub>NHNH<sub>2</sub>.HCl to give 73% I (R = 2-thienyl, R<sub>1</sub> = H, R<sub>2</sub> = 4-Cl), which at 1 kg/ha gave >80% inhibition of *Plasmopara uticola* infection and also had insecticidal and acaricidal activities.

IT 348-14-1P 2829-25-6P 3101-04-0P  
16917-42-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and fungicidal activity of)

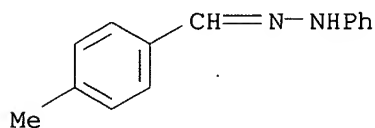
RN 348-14-1 CAPLUS

CN Benzaldehyde, 2-fluoro-, phenylhydrazone (9CI) (CA INDEX NAME)



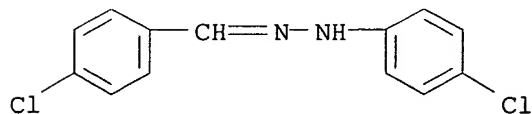
RN 2829-25-6 CAPLUS

CN Benzaldehyde, 4-methyl-, phenylhydrazone (9CI) (CA INDEX NAME)



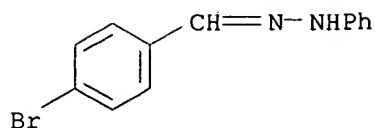
RN 3101-04-0 CAPLUS

CN Benzaldehyde, 4-chloro-, (4-chlorophenyl)hydrazone (9CI) (CA INDEX NAME)



RN 16917-42-3 CAPLUS

CN Benzaldehyde, 4-bromo-, phenylhydrazone (9CI) (CA INDEX NAME)



L63 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1977:422800 CAPLUS  
 DOCUMENT NUMBER: 87:22800  
 TITLE: Benzoyl chloride phenylhydrazones against insects and mites  
 INVENTOR(S): Kaugars, Girts; Gemrich, Edwin G., II  
 PATENT ASSIGNEE(S): Upjohn Co., USA  
 SOURCE: U.S., 14 pp. Cont.-in-part of U.S. 3,879,543.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE        |
|------------------------|------|----------|-----------------|-------------|
| US 4017540             | A    | 19770412 | US 1972-228359  | 19720222    |
| US 3879543             | A    | 19750422 | US 1968-779251  | 19681126    |
| IL 31671               | A1   | 19730531 | IL 1969-31671   | 19690221    |
| NL 6903247             | A    | 19690908 | NL 1969-3247    | 19690303    |
| FR 2003172             | A5   | 19691107 | FR 1969-5745    | 19690303    |
| GB 1254585             | A    | 19711124 | GB 1969-1254585 | 19690303    |
| GB 1254586             | A    | 19711124 | GB 1969-1254586 | 19690303    |
| BR 6906846             | A0   | 19730419 | BR 1969-206846  | 19690304    |
| CA 948212              | A2   | 19740528 | CA 1972-134645  | 19720214    |
| PRIORITY APPLN. INFO.: |      |          | US 1968-709943  | A2 19680304 |
|                        |      |          | US 1968-779251  | A2 19681126 |
|                        |      |          | CA 1969-44160   | A3 19690227 |

ED Entered STN: 12 May 1984

AB PhCCl:NNHPh (I) derivs. in which 1 or both benzene rings are substituted independently by 1 or more halo atoms or NO<sub>2</sub> or alkyl groups were prepared by treating the corresponding derivative of BzNHNHPh with PCl<sub>5</sub> to obtain a PhCl:NNHPh(O)Cl<sub>2</sub> derivative, which was treated with PhOH to obtain the I derivative, or I or a I derivative was further treated, e.g., halogenated, to obtain the desired product. I derivs. were useful as insecticides, acaricides, anthelmintics, defoliants, and anorexigenic agents; anthelmintic data were given for 4-MeC<sub>6</sub>H<sub>4</sub>CCl:NNHPh. Among 24 other I derivs. prepared were 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CCl:NNHPh, 4-ClC<sub>6</sub>H<sub>4</sub>CCl:NNHC<sub>6</sub>H<sub>2</sub>Cl<sub>3</sub>-2,4,6, PhCCl:NNHC<sub>6</sub>H<sub>3</sub>Br<sub>2</sub>-2,4, and C<sub>6</sub>F<sub>5</sub>CCl:NNHPh.

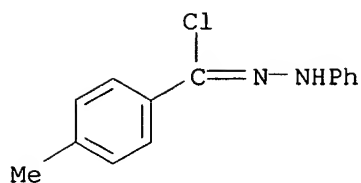
IT 25939-01-9P 25939-02-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and anthelmintic activity of)

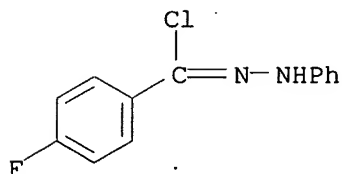
RN 25939-01-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-phenyl- (9CI) (CA INDEX NAME)

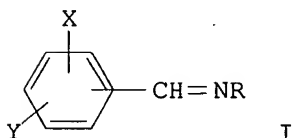




RN 25939-02-0 CAPLUS  
 CN Benzenecarbohydrazonoyl chloride, 4-fluoro-N-phenyl- (9CI) (CA INDEX NAME)



L63 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1976:442100 CAPLUS  
 DOCUMENT NUMBER: 85:42100  
 TITLE: Phytotoxicity of hydrazones of aromatic aldehydes  
 AUTHOR(S): Mazza, M.; Montanari, L.; Pavanetto, F.  
 CORPORATE SOURCE: Dep. Chim. Farm., Univ. Pavia, Pavia, Italy  
 SOURCE: Farmaco, Edizione Scientifica (1976), 31(5), 334-44  
 CODEN: FRPSAX; ISSN: 0430-0920  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Italian  
 ED Entered STN: 12 May 1984  
 GI

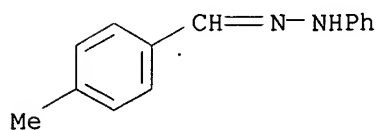


AB The title compds. I (X and Y = H, OH, Me, OMe, halo, NO<sub>2</sub>, etc.; R = NHPh, NMePh, NMe<sub>2</sub>, NHAc and 1,2,4-triazolyl) and the related compds. were prepared and tested for herbicidal activity on 7 weed species. Most compds. were active, especially against *Amaranthus retroflexus*. The highest activity was shown i.e. by 4-(4-isopropylbenzylidene)amino-1,2,4-triazole [32787-77-2], 2-methoxybenzaldehyde methylphenylhydrazone [23718-92-5] and salicylaldehyde methylphenylhydrazone [59670-28-9].  
 IT 2829-25-6P 2829-26-7P 6579-24-4P  
 16917-42-3P 21719-62-0P 21719-63-1P  
 34158-76-4P 42963-59-7P 59473-50-6P  
 59670-13-2P 59670-70-1P 59670-78-9P  
 RL: AGR (Agricultural use); BAC (Biological activity or effector,

**except adverse**); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and herbicidal activity of)

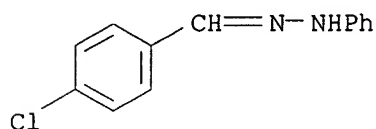
RN 2829-25-6 CAPLUS

CN Benzaldehyde, 4-methyl-, phenylhydrazone (9CI) (CA INDEX NAME)



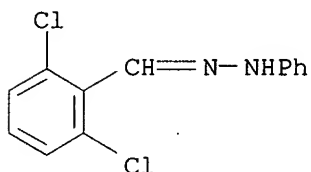
RN 2829-26-7 CAPLUS

CN Benzaldehyde, 4-chloro-, phenylhydrazone (9CI) (CA INDEX NAME)



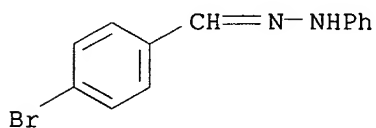
RN 6579-24-4 CAPLUS

CN Benzaldehyde, 2,6-dichloro-, phenylhydrazone (7CI, 8CI, 9CI) (CA INDEX NAME)



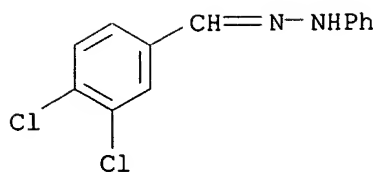
RN 16917-42-3 CAPLUS

CN Benzaldehyde, 4-bromo-, phenylhydrazone (9CI) (CA INDEX NAME)

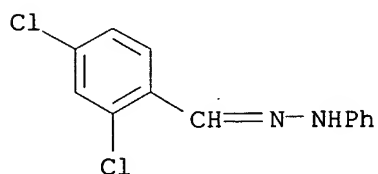


RN 21719-62-0 CAPLUS

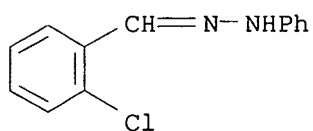
CN Benzaldehyde, 3,4-dichloro-, phenylhydrazone (6CI, 8CI, 9CI) (CA INDEX NAME)



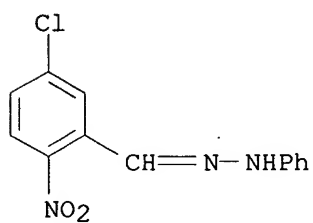
RN 21719-63-1 CAPLUS  
CN Benzaldehyde, 2,4-dichloro-, phenylhydrazone (6CI, 8CI, 9CI) (CA INDEX NAME)



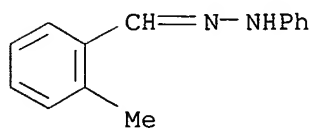
RN 34158-76-4 CAPLUS  
CN Benzaldehyde, 2-chloro-, phenylhydrazone (9CI) (CA INDEX NAME)



RN 42963-59-7 CAPLUS  
CN Benzaldehyde, 5-chloro-2-nitro-, phenylhydrazone (6CI, 9CI) (CA INDEX NAME)

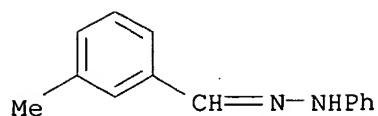


RN 59473-50-6 CAPLUS  
CN Benzaldehyde, 2-methyl-, phenylhydrazone (9CI) (CA INDEX NAME)



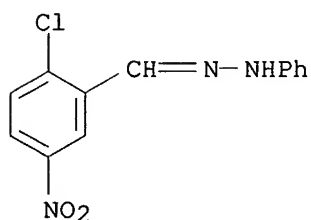
RN 59670-13-2 CAPLUS

CN Benzaldehyde, 3-methyl-, phenylhydrazone (9CI) (CA INDEX NAME)



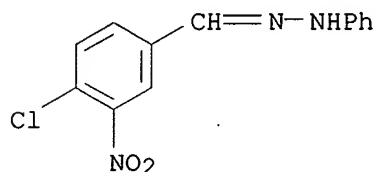
RN 59670-70-1 CAPLUS

CN Benzaldehyde, 2-chloro-5-nitro-, phenylhydrazone (9CI) (CA INDEX NAME)



RN 59670-78-9 CAPLUS

CN Benzaldehyde, 4-chloro-3-nitro-, phenylhydrazone (9CI) (CA INDEX NAME)



L63 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1976:99621 CAPLUS

DOCUMENT NUMBER: 84:99621

TITLE: Anthelmintic methods employing benzoyl chloride phenylhydrazones

INVENTOR(S): Kaugars, Girts

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: U.S., 15 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

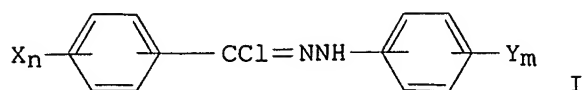
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE        |
|------------------------|------|----------|-----------------|-------------|
| US 3932661             | A    | 19760113 | US 1974-461705  | 19740417    |
| US 3879543             | A    | 19750422 | US 1968-779251  | 19681126    |
| FR 2003172             | A5   | 19691107 | FR 1969-5745    | 19690303    |
| BR 6906846             | A0   | 19730419 | BR 1969-206846  | 19690304    |
| CA 948212              | A2   | 19740528 | CA 1972-134645  | 19720214    |
| PRIORITY APPLN. INFO.: |      |          | US 1968-709943  | A2 19680304 |
|                        |      |          | US 1968-779251  | A2 19681126 |
|                        |      |          | US 1970-67220   | A2 19700826 |

CA 1969-44160

A3 19690227

ED Entered STN: 12 May 1984  
GI



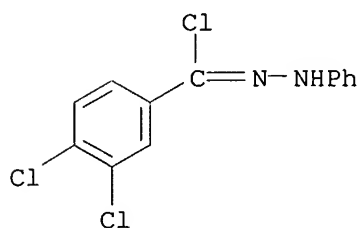
AB Twenty-seven benzoyl chloride phenylhydrazones I (X and Y = halogen, nitro, or alkyl of 1-6 carbon atoms) were useful as anthelmintics in sheep. Thus, p-toluoyl chloride phenylhydrazone (I: X = p-Me; Y = H) [25939-01-9] ( $\geq 100$  mg/kg, orally) was effective against worm infestation. I were prepared by reacting a benzoic acid phenylhydrazine with phosphorus pentachloride [10026-13-8] yielding a benzoyl chloride phenylhydrazone. This product was reacted with phenol [108-95-2] producing the desired benzoyl chloride phenylhydrazone.

IT 25938-99-2P 25939-01-9P 25939-02-0P  
25939-03-1P 25939-04-2P 25939-06-4P  
25939-08-6P 25939-10-0P 25939-12-2P  
25939-15-5P 25939-16-6P 25939-18-8P  
25939-19-9P 25939-20-2P 25995-92-0P  
39719-33-0P 39719-44-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and anthelmintic activity of)

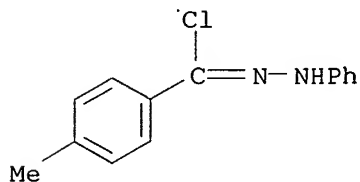
RN 25938-99-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3,4-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



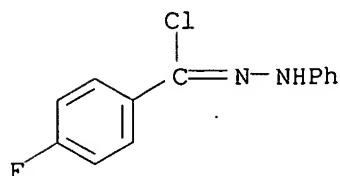
RN 25939-01-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



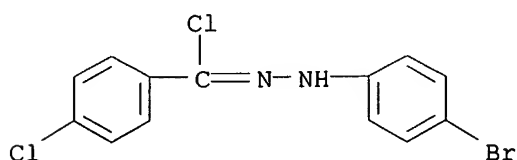
RN 25939-02-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-fluoro-N-phenyl- (9CI) (CA INDEX NAME)



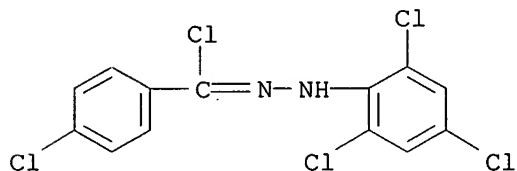
RN 25939-03-1 CAPLUS

CN Benzenecarbohydrazonoyl chloride, N-(4-bromophenyl)-4-chloro- (9CI) (CA INDEX NAME)



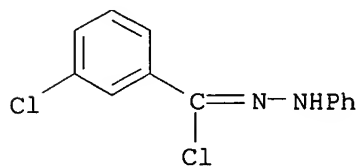
RN 25939-04-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



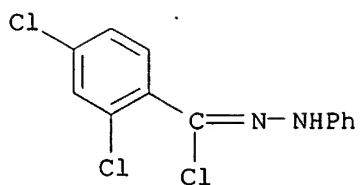
RN 25939-06-4 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-chloro-N-phenyl- (9CI) (CA INDEX NAME)



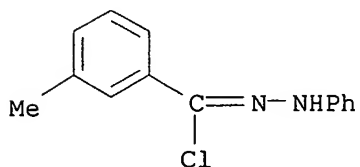
RN 25939-08-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,4-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



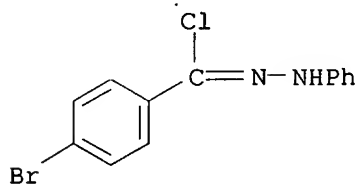
RN 25939-10-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



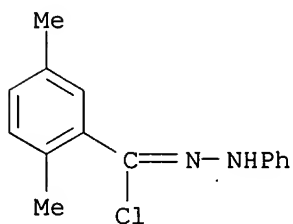
RN 25939-12-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-bromo-N-phenyl- (9CI) (CA INDEX NAME)



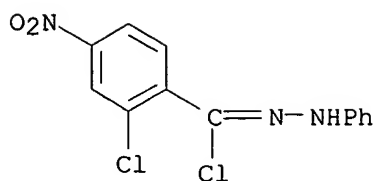
RN 25939-15-5 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



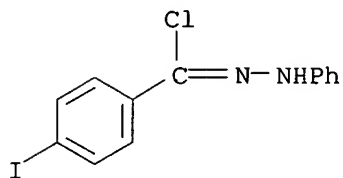
RN 25939-16-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2-chloro-4-nitro-N-phenyl- (9CI) (CA INDEX NAME)



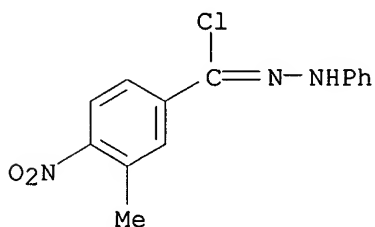
RN 25939-18-8 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-2-nitro-N-phenyl- (9CI) (CA INDEX NAME)



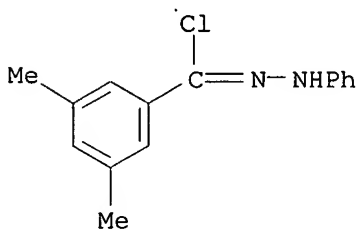
RN 25939-19-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-iodo-N-phenyl- (9CI) (CA INDEX NAME)



RN 25939-20-2 CAPLUS

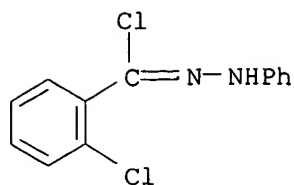
CN Benzenecarbohydrazonoyl chloride, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



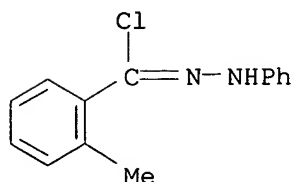
RN 25995-92-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2-chloro-N-phenyl- (9CI) (CA INDEX NAME)

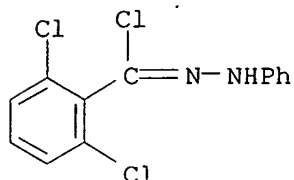




RN 39719-33-0 CAPLUS  
 CN Benzenecarbohydrazonoyl chloride, 2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 39719-44-3 CAPLUS  
 CN Benzenecarbohydrazonoyl chloride, 2,6-dichloro-N-phenyl- (9CI) (CA INDEX NAME)

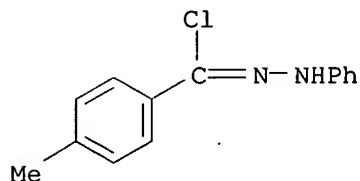


L63 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1976:13472 CAPLUS  
 DOCUMENT NUMBER: 84:13472  
 TITLE: Repellent additives to reduce pesticide hazards to honeybees. Laboratory testing  
 AUTHOR(S): Atkins, E. L.; MacDonald, R. L.; McGovern, T. P.; Beroza, M.; Greywood-Hale, E. A.  
 CORPORATE SOURCE: Dep. Entomol., Univ. California, Riverside, CA, USA  
 SOURCE: Journal of Apicultural Research (1975), 14(2), 85-97  
 CODEN: JACRAQ; ISSN: 0021-8839  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 AB Of 143 materials tested as repellents for honeybees, 13 showed antifeeding activity, 18 showed repellency as space repellents, and 3 showed both antifeedant and space repellency. Chems. most repellent to honeybees were: 5-7-membered heterocyclics such as 1-hexanoylpyrrolidine [3389-56-8] straight-chain amides, and short-chain -amide-substituted Ph derivs.  
 IT 25939-01-9 25939-02-0 39719-44-3  
 RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); BIOL (Biological study)  
(insect repellent, for honeybee)

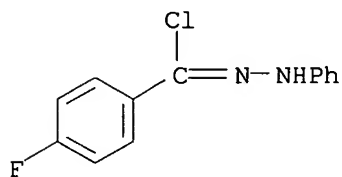
RN 25939-01-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



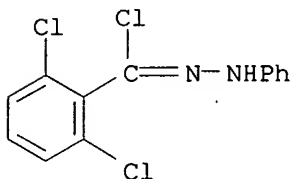
RN 25939-02-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-fluoro-N-phenyl- (9CI) (CA INDEX NAME)



RN 39719-44-3 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,6-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



L63 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1975:472591 CAPLUS

DOCUMENT NUMBER: 83:72591

TITLE: Newer antimycotics. I. Derivatives of phenylhydrazine

AUTHOR(S): Zsolnai, Tibor

CORPORATE SOURCE: Inst. Hyg. Epidemiol., Med. Univ. Debrecen, Debrecen, Hung.

SOURCE: Zentralblatt fuer Bakteriologie, Parasitenkunde, Infektionskrankheiten und Hygiene, Abteilung 1: Originale, Reihe A: Medizinische Mikrobiologie und Parasitologie (1975), 232(1), 119-28  
CODEN: ZMMPAO; ISSN: 0300-9688

DOCUMENT TYPE: Journal

LANGUAGE: German

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

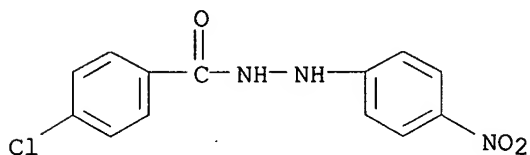
AB Of 101 substituted phenylhydrazines of several types, the N-benzoyl, N-(2- or 4-chlorobenzoyl)-, and N-furoyl derivs. of 3-chloro-, 4-chloro-, and 3,4-dichlorophenylhydrazine were the most effective fungistats, having low mammalian toxicity; they are potentially useful in chemotherapy of superficial human and animal mycoses and chemoprophylaxis of certain phytomycoses. Thus, N-(2-chlorobenzoyl)-N'-(4-chlorophenyl)hydrazine (I) [54812-58-7] was fungistatic in vitro at  $10^{-4}$ M against *Trichophyton*, *Trichothecium roseum*, *Alternaria solani*, *Cladosporium herbarum*, and *Septoria lycopersici* and at  $2 \times 10^{-4}$ M against *Ustilago maydis* and *Nigrospora oryzae*.

IT 965-06-0 7598-88-1 36590-39-3  
56049-21-9 56049-28-6 56049-29-7  
56049-30-0 56049-31-1

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); BIOL (Biological study)  
(fungistatic activity of)

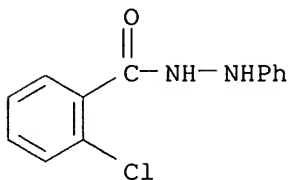
RN 965-06-0 CAPLUS

CN Benzoic acid, 4-chloro-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



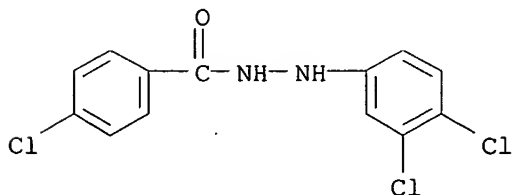
RN 7598-88-1 CAPLUS

CN Benzoic acid, 2-chloro-, 2-phenylhydrazide (9CI) (CA INDEX NAME)



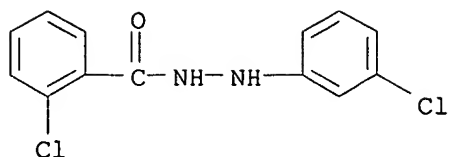
RN 36590-39-3 CAPLUS

CN Benzoic acid, 4-chloro-, 2-(3,4-dichlorophenyl)hydrazide (9CI) (CA INDEX NAME)



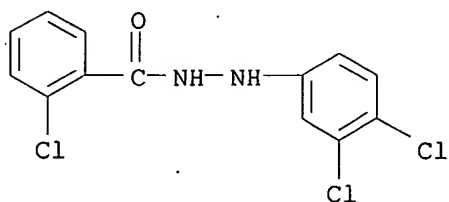
RN 56049-21-9 CAPLUS

CN Benzoic acid, 2-chloro-, 2-(3-chlorophenyl)hydrazide (9CI) (CA INDEX NAME)



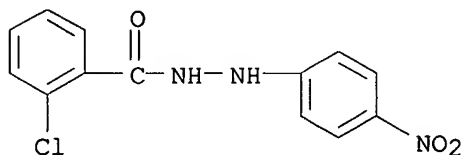
RN 56049-28-6 CAPLUS

CN Benzoic acid, 2-chloro-, 2-(3,4-dichlorophenyl)hydrazide (9CI) (CA INDEX NAME)



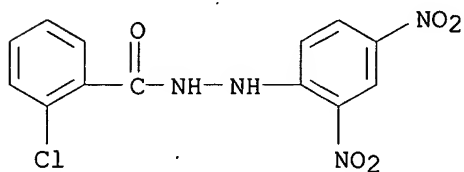
RN 56049-29-7 CAPLUS

CN Benzoic acid, 2-chloro-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



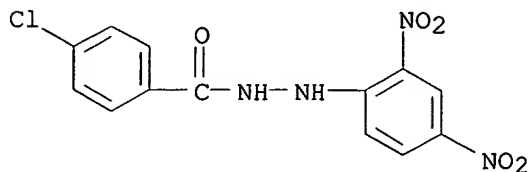
RN 56049-30-0 CAPLUS

CN Benzoic acid, 2-chloro-, 2-(2,4-dinitrophenyl)hydrazide (9CI) (CA INDEX NAME)

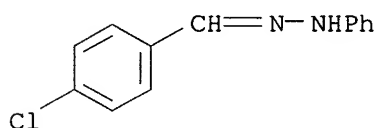


RN 56049-31-1 CAPLUS

CN Benzoic acid, 4-chloro-, 2-(2,4-dinitrophenyl)hydrazide (9CI) (CA INDEX NAME)



L63 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1974:515180 CAPLUS  
DOCUMENT NUMBER: 81:115180  
TITLE: Antimicrobial effect of some hydrazones and  
phenylhydrazones of aromatic aldehydes  
AUTHOR(S): Rotmistrov, M. N.; Kulik, G. V.; Skrynik, E. M.;  
Bredikhina, A. N.  
CORPORATE SOURCE: Kiiv. Derzh. Univ., Kiev, USSR  
SOURCE: Mikrobiologichnii Zhurnal (1934-1977) (1974), 36(2),  
244-6  
CODEN: MZUKAV; ISSN: 0026-3664  
DOCUMENT TYPE: Journal  
LANGUAGE: Ukrainian  
ED Entered STN: 12 May 1984  
AB Of 32 tested hydrazones, phenylhydrazones, and 2,4-  
dinitrophenylhydrazones, salicylic aldehyde hydrazone [3291-00-7],  
o-chlorobenzaldehyde hydrazone [52372-78-8], p-nitrobenzaldehyde hydrazone  
[6310-10-7], p-dimethylaminobenzaldehyde hydrazone [41463-93-8], cinnamic  
aldehyde hydrazone [52372-79-9], salicylic aldehyde phenylhydrazone  
[614-65-3], p-chlorobenzaldehyde phenylhydrazone [2829-26-7],  
p-chlorobenzaldehyde hydrazone [52372-80-2], p-dimethylaminobenzaldehyde  
phenylhydrazone [41463-93-8], and p-diethylaminobenzaldehyde  
phenylhydrazone [3101-58-4] exerted the greatest fungicidal effects on  
Trichophyton gypseum and Candida albicans. The preps. also showed  
bactericidal effects on Staphylococcus aureus and Escherichia coli.  
IT 2829-26-7  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); BIOL (Biological study)  
(bactericidal and fungicidal activity of)  
RN 2829-26-7 CAPLUS  
CN Benzaldehyde, 4-chloro-, phenylhydrazone (9CI) (CA INDEX NAME)



L63 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1973:512347 CAPLUS  
DOCUMENT NUMBER: 79:112347  
TITLE: Miticidal activity of benzoyl chloride  
phenylhydrazones  
AUTHOR(S): Kaugars, Girts; Gemrich, Edwin G., II; Rizzo, Victor  
L.  
CORPORATE SOURCE: Agric. Res. Lab., Upjohn Co., Kalamazoo, MI, USA  
SOURCE: Journal of Agricultural and Food Chemistry (1973),  
21(4), 647-50  
CODEN: JAFCAU; ISSN: 0021-8561  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 12 May 1984  
AB When 70 benzoyl chloride phenylhydrazones (I) were screened for acaricidal  
and acaride-repellent activity, using the 2-spotted spider mite (Moon, N.  
W., et al, 1972), the highest activity was shown by I (X and/or Y = halo).

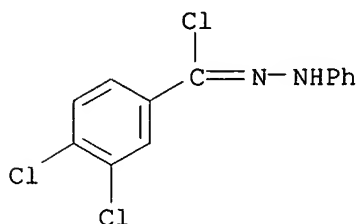
Thus, very high activity was shown by 4-chlorobenzoyl chloride (2-chlorophenyl)hydrazone (I, X = 4-Cl, Y = 2-Cl) [36590-53-1], 4-bromobenzoyl chloride (2-chlorophenyl)hydrazone (X = 4-Br, Y = Cl) [42013-08-1] and benzoyl chloride (3-trifluoromethylphenyl)hydrazone (I, X = H, Y = 3-CF<sub>3</sub>) [36590-50-8]. Replacement of the Cl attached to C:N by other groups, or replacement of the hydrazone NH by NMe, decreased the activity.

IT 25938-99-2 25939-01-9 25939-02-0  
 25939-03-1 25939-04-2 25939-06-4  
 25939-08-6 25939-10-0 25939-12-2  
 25939-16-6 25939-18-8 25939-19-9  
 36457-11-1 36590-47-3 36590-52-0  
 36590-53-1 39719-44-3 50656-05-8  
 50656-06-9 50656-07-0 50656-23-0  
 50656-24-1 50656-25-2 50656-29-6  
 50656-30-9 50656-31-0 50802-13-6

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses) (acaricides)

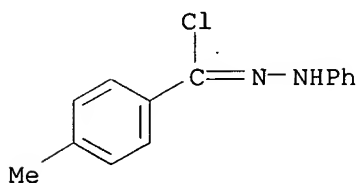
RN 25938-99-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3,4-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



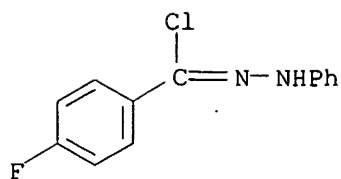
RN 25939-01-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



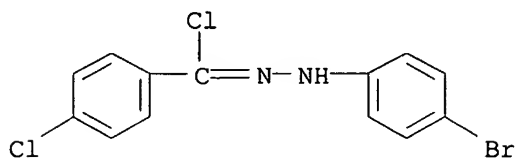
RN 25939-02-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-fluoro-N-phenyl- (9CI) (CA INDEX NAME)



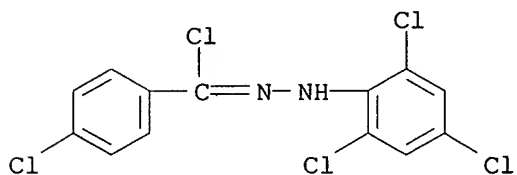
RN 25939-03-1 CAPLUS

CN Benzenecarbohydrazonoyl chloride, N-(4-bromophenyl)-4-chloro- (9CI) (CA INDEX NAME)



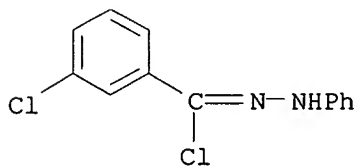
RN 25939-04-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



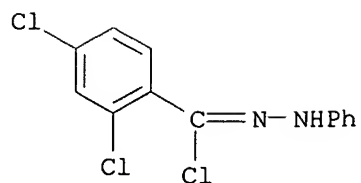
RN 25939-06-4 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-chloro-N-phenyl- (9CI) (CA INDEX NAME)



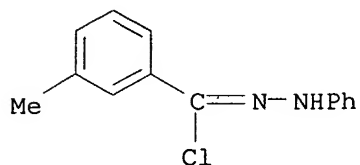
RN 25939-08-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,4-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



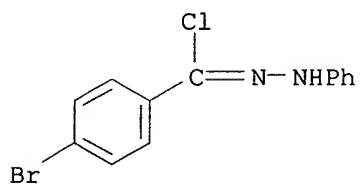
RN 25939-10-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



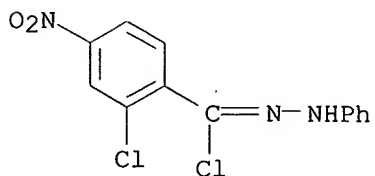
RN 25939-12-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-bromo-N-phenyl- (9CI) (CA INDEX NAME)



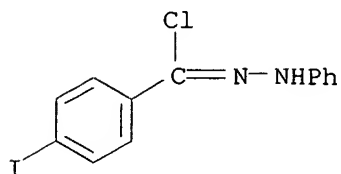
RN 25939-16-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2-chloro-4-nitro-N-phenyl- (9CI) (CA INDEX NAME)



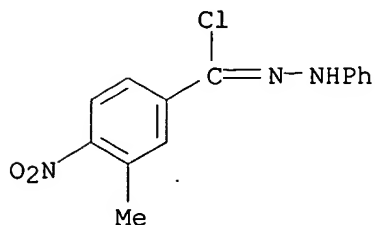
RN 25939-18-8 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-iodo-N-phenyl- (9CI) (CA INDEX NAME)

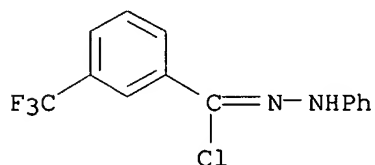




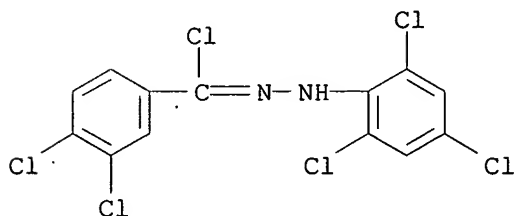
RN 25939-19-9 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 3-methyl-4-nitro-N-phenyl- (9CI) (CA INDEX NAME)



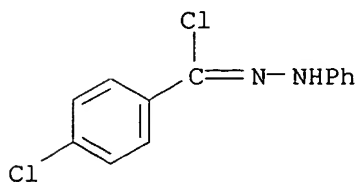
RN 36457-11-1 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, N-phenyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 36590-47-3 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 3,4-dichloro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)

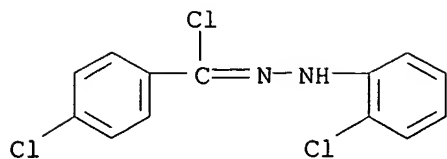


RN 36590-52-0 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-phenyl- (9CI) (CA INDEX NAME)

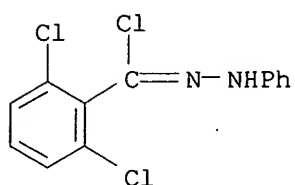


RN 36590-53-1 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

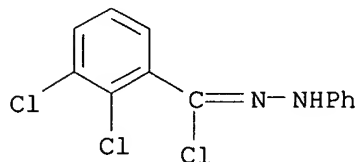
INDEX NAME)



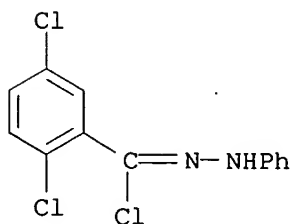
RN 39719-44-3 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 2,6-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



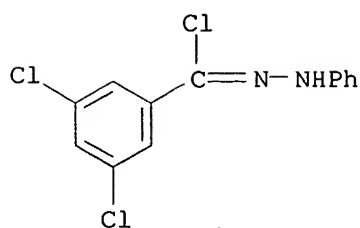
RN 50656-05-8 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 2,3-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



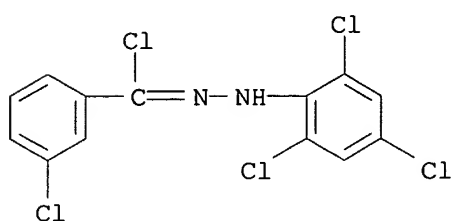
RN 50656-06-9 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 2,5-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



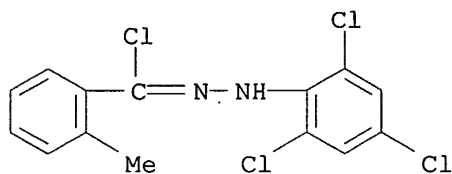
RN 50656-07-0 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 3,5-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



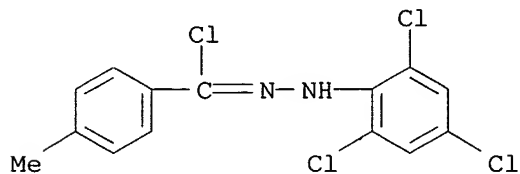
RN 50656-23-0 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 3-chloro-N-(2,4,6-trichlorophenyl)-  
(9CI) (CA INDEX NAME)



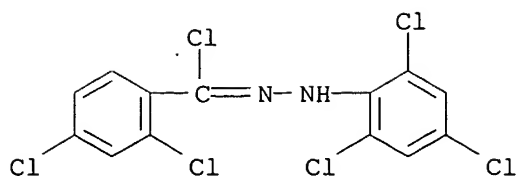
RN 50656-24-1 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 2-methyl-N-(2,4,6-trichlorophenyl)-  
(9CI) (CA INDEX NAME)



RN 50656-25-2 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-(2,4,6-trichlorophenyl)-  
(9CI) (CA INDEX NAME)

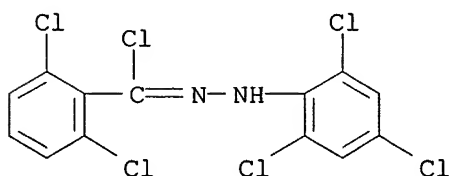


RN 50656-29-6 CAPLUS  
CN Benzenecarbohydrazonoyl chloride, 2,4-dichloro-N-(2,4,6-trichlorophenyl)-  
(9CI) (CA INDEX NAME)



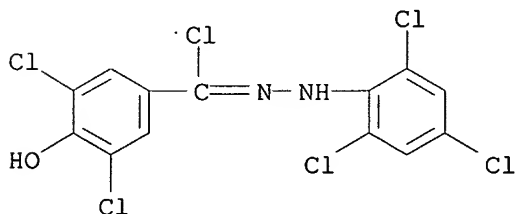
RN 50656-30-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,6-dichloro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



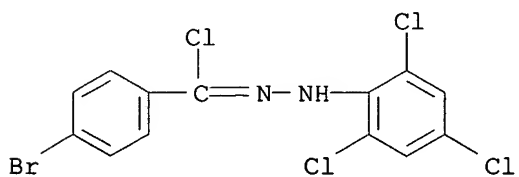
RN 50656-31-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3,5-dichloro-4-hydroxy-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



RN 50802-13-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-bromo-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



L63 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:97663 CAPLUS

DOCUMENT NUMBER: 72:97663

TITLE: Benzaldehyde phenylhydrazone against yeast-like fungi

AUTHOR(S): Muftic, Mahmoud

CORPORATE SOURCE: Dep. Med. Microbiol., Schering A.-G., Berlin, Fed.

Rep. Ger.

SOURCE: Quarterly Journal of Crude Drug Research (1969), 9(4), 1455-9

CODEN: QJDRAZ; ISSN: 0033-5525

DOCUMENT TYPE: Journal  
LANGUAGE: English

ED Entered STN: 12 May 1984

AB Phenylhydrazones (I) were tested against 4 species of yeast-like fungi which became very refractory to treatment: *Candida albicans*, *Histoplasma capsulatum*, *Blastomyces dermatitidis*, and *Coccidiomyces immitis*. A series of I was prepared, in which the phenol ring was halogenated in some, and the benzaldehyde ring was halogenated in others. The effects on the 4 species were similar and *C. albicans* sufficed as a test organism. The most active compds. were the benzaldehyde halphenylhydrazones, i.e., with halogen on the I ring, for example, benzaldehyde p-bromophenylhydrazone, with min. inhibitory concentration (MIC) of 5-10  $\gamma$ /ml. The most significant increase in activity or decrease in MIC came with NH<sub>2</sub> groups on the benzaldehyde ring, e.g., 4-dimethylaminobenzaldehyde 4-bromophenylhydrazone with MIC of 0.1-1  $\gamma$ /ml. Of the various halogens, the fungistatic potency followed the order Br > Cl = I > F. Introduction of a 2nd halogen atom in the Ph ring did not decrease MIC values. Introduction of the MeO, EtO, OH, and dioxy groups into the benzaldehyde ring decreased fungistatic activity considerably as did alkyl substituents (e.g., iso-Pr). The LD<sub>50</sub> values were determined for oral and IV administration to mice of 20 g average

weight

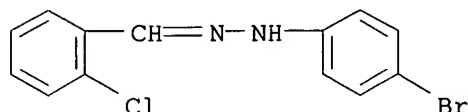
Animal toxicity increased with halogen content following the order: I > Cl > F > Br. In addition to studies on the 30 I compds., and pyrrole and acetophenone derivs., results are reported with I.HCl and its 3-bromo derivative

IT 27241-91-4 27241-93-6 27246-77-1  
27246-78-2 27246-83-9 27246-84-0  
27246-86-2 27246-87-3 27246-88-4  
27246-90-8 27246-93-1 27246-95-3

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); BIOL (Biological study)  
(fungicidal activity of)

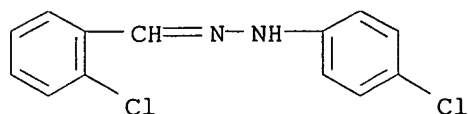
RN 27241-91-4 CAPLUS

CN Benzaldehyde, o-chloro-, (p-bromophenyl)hydrazone (8CI) (CA INDEX NAME)



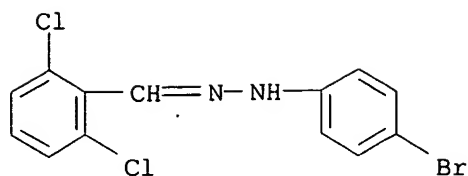
RN 27241-93-6 CAPLUS

CN Benzaldehyde, o-chloro-, (p-chlorophenyl)hydrazone (8CI) (CA INDEX NAME)

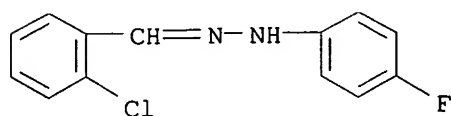


RN 27246-77-1 CAPLUS

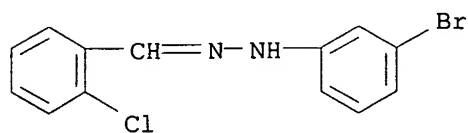
CN Benzaldehyde, 2,6-dichloro-, (4-bromophenyl)hydrazone (9CI) (CA INDEX NAME)



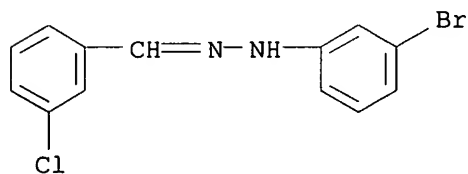
RN 27246-78-2 CAPLUS  
CN Benzaldehyde, o-chloro-, (p-fluorophenyl)hydrazone (8CI) (CA INDEX NAME)



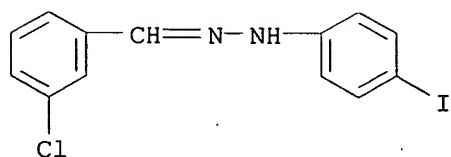
RN 27246-83-9 CAPLUS  
CN Benzaldehyde, o-chloro-, (m-bromophenyl)hydrazone (8CI) (CA INDEX NAME)



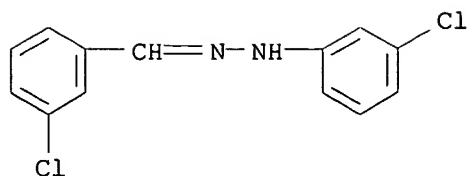
RN 27246-84-0 CAPLUS  
CN Benzaldehyde, m-chloro-, (m-bromophenyl)hydrazone (8CI) (CA INDEX NAME)



RN 27246-86-2 CAPLUS  
CN Benzaldehyde, m-chloro-, (p-iodophenyl)hydrazone (8CI) (CA INDEX NAME)

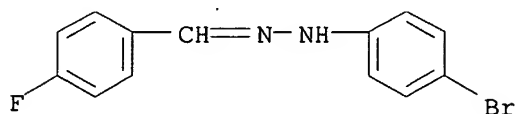


RN 27246-87-3 CAPLUS  
CN Benzaldehyde, m-chloro-, (m-chlorophenyl)hydrazone (8CI) (CA INDEX NAME)



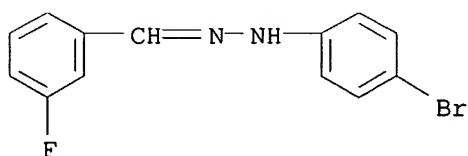
RN 27246-88-4 CAPLUS

CN Benzaldehyde, p-fluoro-, (p-bromophenyl)hydrazone (8CI) (CA INDEX NAME)



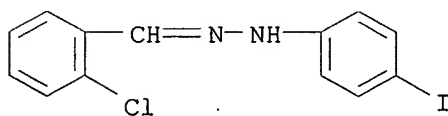
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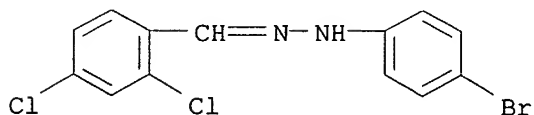
RN 27246-93-1 CAPLUS

CN Benzaldehyde, o-chloro-, (p-iodophenyl)hydrazone (8CI) (CA INDEX NAME)



RN 27246-95-3 CAPLUS

CN Benzaldehyde, 2,4-dichloro-, (p-bromophenyl)hydrazone (8CI) (CA INDEX NAME)



L63 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:54978 CAPLUS

DOCUMENT NUMBER: 72:54978

TITLE: Insecticidal and miticidal benzoyl chloride phenylhydrazones

INVENTOR(S): Kaugars, Girts; Gemrich, Edwin G., II

PATENT ASSIGNEE(S): Upjohn Co.

SOURCE: Ger. Offen., 40 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE        |
|------------------------|------|----------|-----------------|-------------|
| DE 1909868             | A    | 19691016 | DE 1969-1909868 | 19690227    |
| US 3879543             | A    | 19750422 | US 1968-779251  | 19681126    |
| IL 31671               | A1   | 19730531 | IL 1969-31671   | 19690221    |
| NL 6903247             | A    | 19690908 | NL 1969-3247    | 19690303    |
| FR 2003172             | A5   | 19691107 | FR 1969-5745    | 19690303    |
| GB 1254585             | A    | 19711124 | GB 1969-1254585 | 19690303    |
| GB 1254586             | A    | 19711124 | GB 1969-1254586 | 19690303    |
| BR 6906846             | A0   | 19730419 | BR 1969-206846  | 19690304    |
| CA 948212              | A2   | 19740528 | CA 1972-134645  | 19720214    |
| PRIORITY APPLN. INFO.: |      |          | US 1968-709943  | A 19680304  |
|                        |      |          | US 1968-779251  | A 19681126  |
|                        |      |          | CA 1969-44160   | A3 19690227 |

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB I are prepared by reaction of II with  $\text{PCl}_5$  and treating the product with  $\text{PhOH}$ . Also, I are prepared by chlorination of benzaldehyde phenylhydrazones, or by reaction of  $\alpha, \alpha$ -trichlorotoluene with 2,4-dinitrophenylhydrazine. II, prepared by known methods, are used as starting materials (X, Y, and m.p. given): 3,4- $\text{Cl}_2$ , H, 171.5-3°; H, 2,5- $\text{Cl}_2$ , 161-2°; 4-F, H, 177-9°; 4-Cl, 4-Br, 184.5°; 4-Cl, H, 156-7.5°; 2-Cl, H, 154.5-5.5°; 4-iso-Pr, H, 200.5-2.5°; H, 2-Me, 183-4.5°; 2,4- $\text{Cl}_2$ , H, 181-2°; 3-Me, H, 162-3°; H, 4-Cl, 153.5-4.5°; 4-I, H, 210-11°; 3,5-Me<sub>2</sub>, H, 197.5-8.5°; 3,4-Me-(O<sub>2</sub>N), H, 163-4.5°; 2,5-Me<sub>2</sub>, H, 208-9°; 2,4-Cl(O<sub>2</sub>N), H, 179-80°; 2,3,4,5,6-F<sub>5</sub>, H, 152.5-3.5°, and 4-Br, H, 200-1°. Thus, 12.86 g p-nitrobenzoylphenylhydrazine was added to 10.41 g  $\text{PCl}_5$  in 75 ml  $\text{CCl}_4$ , refluxed, cooled, and added to a mixture of 15.5 g  $\text{PhOH}$  and 50 ml  $\text{CCl}_4$  to give 4.1 g I (X = 4-NO<sub>2</sub>, Y = H), m. 156-7.5°. ( $\text{C}_6\text{H}_6$ -ligroine, b. 95-100°, 1:3). The following I were prepared (X, Y, and m.p. given): 3,4- $\text{Cl}_2$ , H, 122-3.5°; H, 2,5- $\text{Cl}_2$ , 84.5-6°; 4-Me, H, 133-4.5°; 4-F, H, 118-20°; 4-Cl, 4-Br, 142-3.5°; 3-Cl, H, 80-81.5°; 4-iso-Pr, H, 100.5-2°; 2,4- $\text{Cl}_2$ , H, 88.5-9.5°; H, 4-Cl, 107-8.5°; 4-Br, H, 151.5-3°; H, 4-NO<sub>2</sub>, 195-6°; 2,4-Cl(O<sub>2</sub>N), H, 124-6°; 2,6- $\text{Cl}_2$ , H, -; 2,3,4,5,6-F<sub>5</sub>, H, 117-18°; 4-I, H, 164-5°; 3,4-Me(O<sub>2</sub>N), H, 146-7.5°; 4-Bu, H, -; 4-(1-methylbutyl), H, -; 4-hexyl, H, -; 3,4,5-Me<sub>3</sub>, H, -; 2,4,6-iso-Pr<sub>3</sub>, 3,5-iso-Pr<sub>2</sub>, -; 4-Me, 4-Et, -; 4-hexyl, 4-hexyl, -; 3,5-Cl(Me), H, -; 4-Me, 4-Br, -; 4-NO<sub>2</sub>, 4-Br, -; 4-NO<sub>2</sub>, 4-iso-Pr, -; 4-iso-Pr, 2-Cl-4-NO<sub>2</sub>, -. The following I prepared by the same method gave oily products which were purified by chromatog. (X, Y, and m.p. given): 2-Me, H, -; 2-Cl, H, -; H, 2-Me, 64.5-6°; 3-Me, H, 66-7°; 2,5-Me<sub>2</sub>, H, 48.5-9°; 3,4-Me<sub>2</sub>, H, -; 3,5-Me<sub>2</sub>, H, 47.5-8.5°. In another method, 7.0 ml Cl was added to a suspension of 6.92 g p-chlorobenzaldehyde phenylhydrazone in 100 ml AcOH. The mixture was diluted with 100 ml AcOH to give 8.13 g I (X = 4-Cl, Y = 2,4,6- $\text{Cl}_3$ ), m. 123-4°. Similarly prepared were (X, Y, and m.p. given): H, 2,4,6- $\text{Cl}_3$ , 93-4.5°; 3-Me, H, -; 4-iso-Pr, 2,4,6- $\text{Cl}_3$ , -; H, 2,6,4- $\text{Cl}_2$ (Me), -. Reaction of 5.0 g I (X = H, Y = H) with 10.8 g Br in 200 ml  $\text{CCl}_4$  at 0° gave I (X = H, Y = 2,4-Br<sub>2</sub>), m. 103-4°.



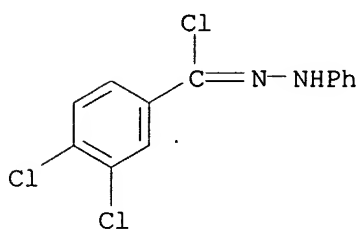
I are used as active compds. in insecticidal and miticidal compns. for the eradication of arthropods e.g. insects and mites, I (X = H, Y = 2,5 -Cl<sub>2</sub>) and I (X = 4-Cl, Y = 2,4,6-Cl<sub>3</sub>) are anorexigenic agents.

IT 25938-99-2 25939-01-9 25939-02-0  
25939-04-2 25939-06-4 25939-08-6  
25939-10-0 25939-12-2 25939-15-5  
25939-16-6 25939-18-8 25939-19-9  
25939-20-2

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); BIOL (Biological study)  
(pesticidal activity of)

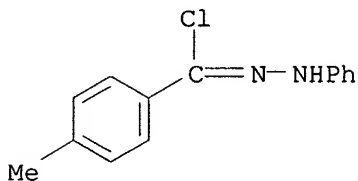
RN 25938-99-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3,4-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



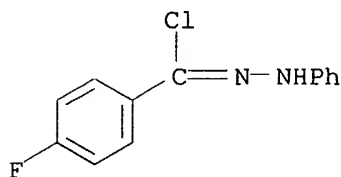
RN 25939-01-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-methyl-N-phenyl- (9CI) (CA INDEX NAME)



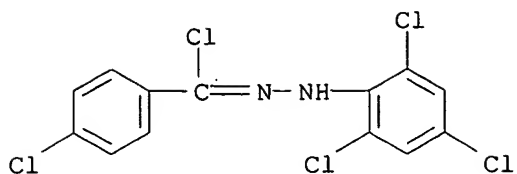
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CN Benzenecarbohydrazonoyl chloride, 4-fluoro-N-phenyl- (9CI) (CA INDEX NAME)



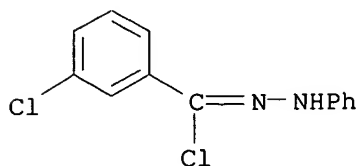
RN 25939-04-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-chloro-N-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



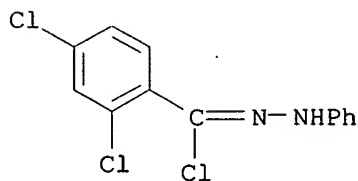
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CN Benzenecarbohydrazonoyl chloride, 3-chloro-N-phenyl- (9CI) (CA INDEX NAME)



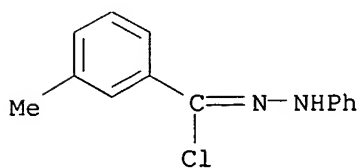
RN 25939-08-6 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 2,4-dichloro-N-phenyl- (9CI) (CA INDEX NAME)



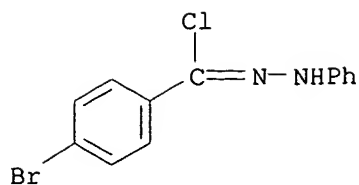
RN 25939-10-0 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



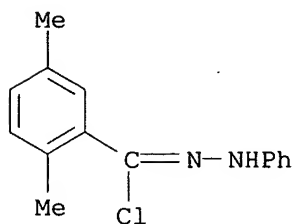
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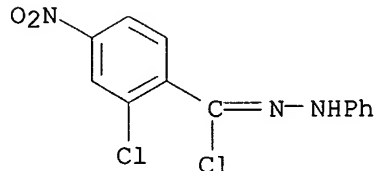
RN 25939-15-5 CAPLUS

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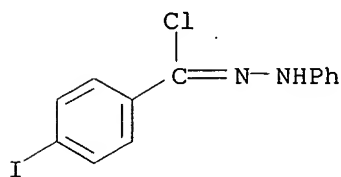
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CN Benzenecarbohydrazonoyl chloride, 2-chloro-4-nitro-N-phenyl- (9CI) (CA INDEX NAME)



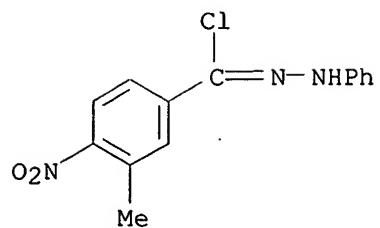
RN 25939-18-8 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 4-iodo-N-phenyl- (9CI) (CA INDEX NAME)



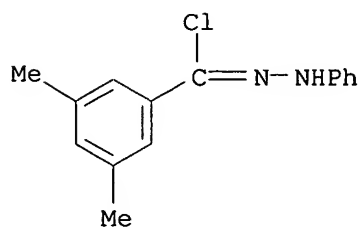
RN 25939-19-9 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3-methyl-4-nitro-N-phenyl- (9CI) (CA INDEX NAME)



RN 25939-20-2 CAPLUS

CN Benzenecarbohydrazonoyl chloride, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



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